

320178

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STIC-EIC1600/2900

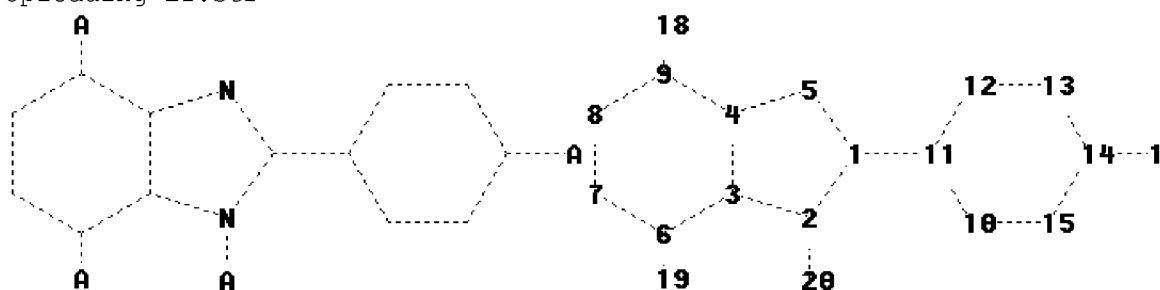
**From:** STIC-EIC1600/2900@uspto.gov  
**Sent:** Wednesday, January 20, 2010 8:45 AM  
**To:** Basquill, Sean M.  
**Cc:** STIC-EIC1600/2900  
**Subject:** Confirmation Receipt: 1600 Search Request - 10/585,480

Identify the novelty:

**The compound of Claim 1**

Structures uploaded into STN REGISTRY

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

ring/chain nodes :

17 18 19 20

chain bonds :

1-11 2-20 6-19 9-18 14-17

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14

14-15

exact/norm bonds :

1-2 1-5 1-11 2-3 2-20 3-4 3-6 4-5 4-9 6-7 6-19 7-8 8-9 9-18 10-11

10-15 11-12 12-13 13-14 14-15 14-17

Connectivity :

5:2 E exact RC ring/chain

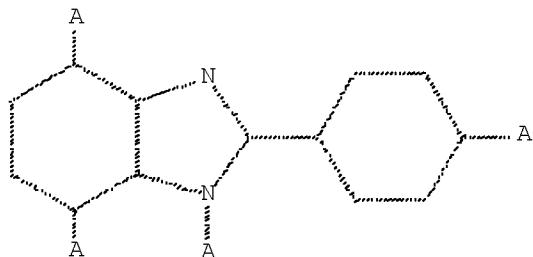
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS

Structure search history

```
=> d stat query L5  
L1          STR
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Structure attributes must be viewed using STN Express query preparation.

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L3      216 SEA FILE=REGISTRY SSS FUL L1  
L4      14 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L3  
L5      8 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L4 AND (AY<2006 OR  
PY<2006 OR PRY<2006 OR REVIEW/DT)
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## Structure search results

=> d L5 1-8 ibib ed abs hitrn hitstr

L5 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:89655 HCAPLUS Full-text  
 DOCUMENT NUMBER: 146:184467  
 TITLE: Preparation of naphth[2,3-d]imidazole derivatives as organic electronic materials  
 INVENTOR(S): Bae, Jae-Soon; Lee, Dong-Hoon; Lee, Dae-Woong; Jang, Jun-Gi  
 PATENT ASSIGNEE(S): Lg Chem. Ltd., S. Korea  
 SOURCE: PCT Int. Appl., 47pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011170	A1	20070125	WO 2006-KR2847	20060719 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW				
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KR 2007012220	A	20070125	KR 2006-67576	20060719 <--
KR 765078	B1	20071009		
CN 101090893	A	20071219	CN 2006-80001472	20060719 <--
EP 1907366	A1	20080409	EP 2006-783359	20060719 <--
R: DE, FR, GB				
JP 2008531469	T	20080814	JP 2007-544281	20060719 <--
PRIORITY APPLN. INFO.:			KR 2005-66730	A 20050722 <--
			WO 2006-KR2847	W 20060719

### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:184467; MARPAT 146:184467

ED Entered STN: 26 Jan 2007

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1-R6 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R7 = (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocycle, etc.; R8 = (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocycle] were prepared For example, compound II was prepared from 2,3-dichloronaphthoquinone in 7 steps. An organic light-

emitting device using compound II showed green light emission of 3.9 cd/A at a forward elec. field of 7.7 V.

IT 921208-97-1P 921208-98-2P 921208-99-3P  
 921209-00-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphth[2,3-d]imidazole derivs. as organic electronic materials)

IT 921208-53-9P 921208-55-1P 921208-59-5P  
 921208-61-9P 921208-62-0P 921208-63-1P  
 921208-64-2P 921208-65-3P 921208-66-4P  
 921208-67-5P 921208-70-0P 921208-75-5P  
 921208-77-7P 921208-81-3P 921208-82-4P  
 921208-88-0P 921208-90-4P 921208-96-0P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(preparation of naphth[2,3-d]imidazole derivs. as organic electronic materials)

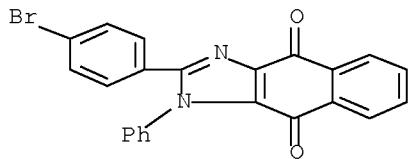
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphth[2,3-d]imidazole derivs. as organic electronic materials)

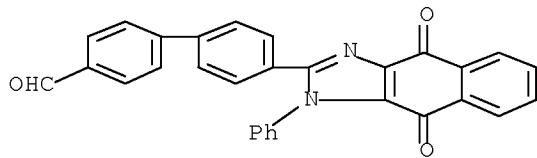
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CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 2-(4-bromophenyl)-1-phenyl- (CA INDEX NAME)



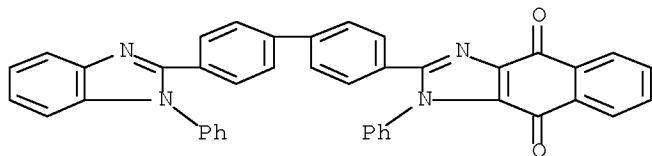
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CN [1,1'-Biphenyl]-4-carboxaldehyde, 4'-(4,9-dihydro-4,9-dioxo-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)- (CA INDEX NAME)

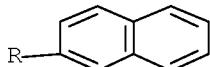
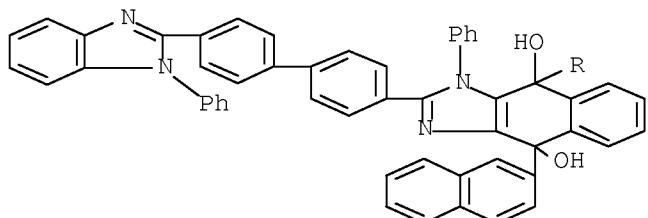


RN 921208-99-3 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione,  
 1-phenyl-2-[4'-(1-phenyl-1H-benzimidazol-2-yl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)



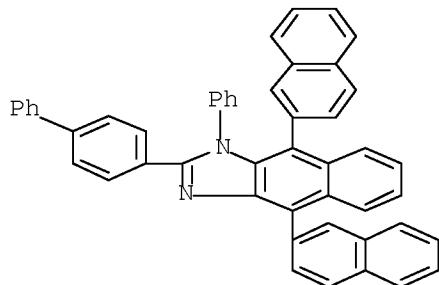
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 4,9-dihydro-4,9-di-2-naphthalenyl-1-phenyl-2-[4'-(1-phenyl-1H-benzimidazol-2-yl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)



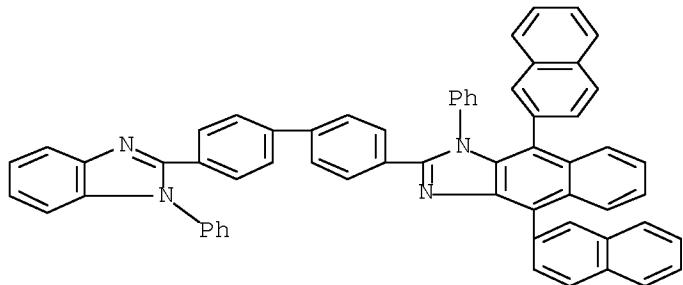
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 921208-67-5P 921208-70-0P 921208-75-5P  
 921208-77-7P 921208-81-3P 921208-82-4P  
 921208-88-0P 921208-90-4P 921208-96-0P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (preparation of naphth[2,3-d]imidazole derivs. as organic electronic materials)

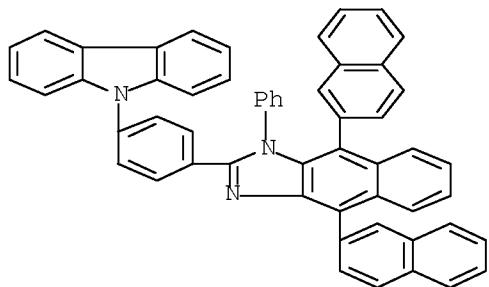
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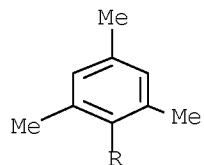
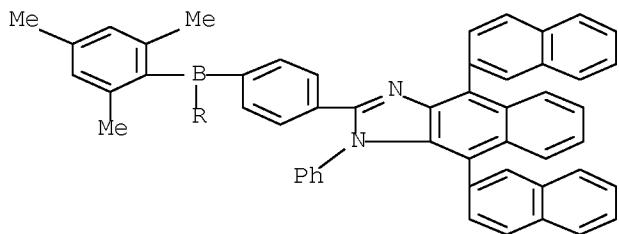
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 CN 1H-Naphth[2,3-d]imidazole, 4,9-di-2-naphthalenyl-1-phenyl-2-[4'-(1-phenyl-1H-benzimidazol-2-yl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)



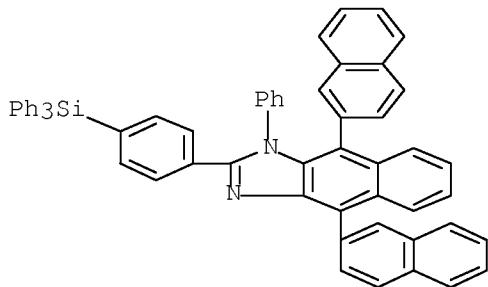
RN 921208-59-5 HCAPLUS  
 CN 1H-Naphth[2,3-d]imidazole, 2-[4-(9H-carbazol-9-yl)phenyl]-4,9-di-2-naphthalenyl-1-phenyl- (CA INDEX NAME)



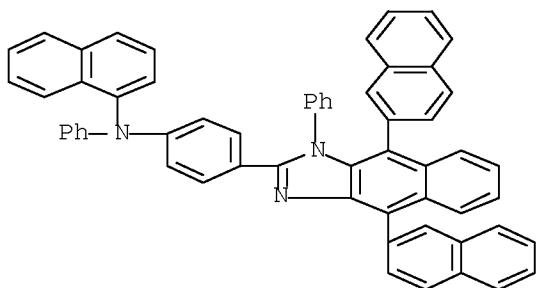
RN 921208-61-9 HCAPLUS  
 CN 1H-Naphth[2,3-d]imidazole, 2-[4-[bis(2,4,6-trimethylphenyl)boryl]phenyl]-4,9-di-2-naphthalenyl-1-phenyl- (CA INDEX NAME)



RN 921208-62-0 HCPLUS  
 CN 1H-Naphth[2,3-d]imidazole, 4,9-di-2-naphthalenyl-1-phenyl-2-[4-(triphenylsilyl)phenyl]- (CA INDEX NAME)

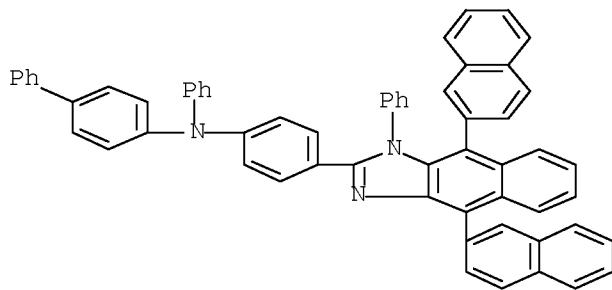


RN 921208-63-1 HCPLUS  
 CN 1-Naphthalenamine, N-[4-(4,9-di-2-naphthalenyl-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)phenyl]-N-phenyl- (CA INDEX NAME)



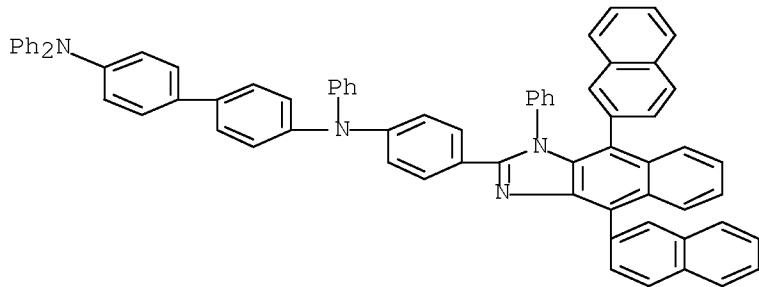
RN 921208-64-2 HCPLUS

CN [1,1'-Biphenyl]-4-amine, N-[4-(4,9-di-2-naphthalenyl-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)phenyl]- (CA INDEX NAME)



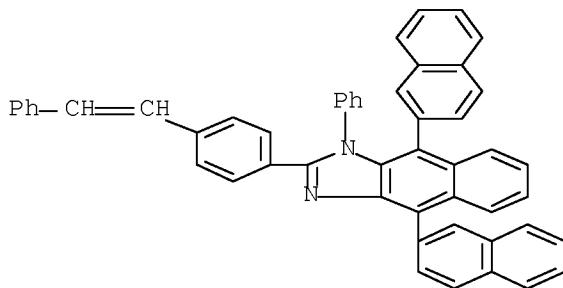
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CN [1,1'-Biphenyl]-4,4'-diamine, N4-[4-(4,9-di-2-naphthalenyl-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)phenyl]-N4,N4',N4'-triphenyl- (CA INDEX NAME)



RN 921208-66-4 HCAPLUS

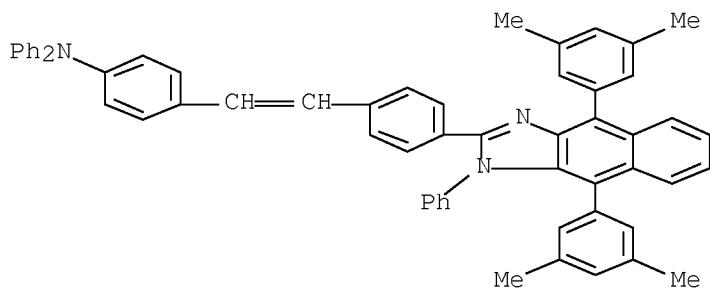
CN 1H-Naphth[2,3-d]imidazole, 4,9-di-2-naphthalenyl-1-phenyl-2-[4-(2-phenylethenyl)phenyl]- (CA INDEX NAME)



RN 921208-67-5 HCAPLUS

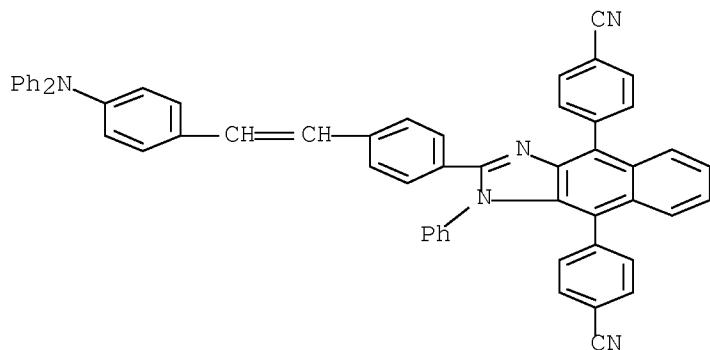
CN Benzenamine, 4-[2-[4-[4,9-bis(3,5-dimethylphenyl)-1-phenyl-1H-naphth[2,3-

d]imidazol-2-yl]phenyl]ethenyl]-N,N-diphenyl- (CA INDEX NAME)



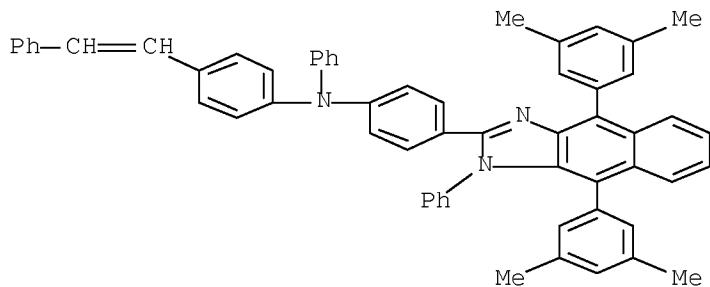
RN 921208-70-0 HCAPLUS

CN Benzonitrile, 4,4'-(2-[4-[2-[4-(diphenylamino)phenyl]ethenyl]phenyl]-1-phenyl-1H-naphth[2,3-d]imidazole-4,9-diyl)bis- (CA INDEX NAME)



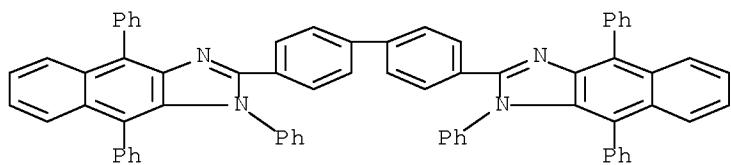
RN 921208-75-5 HCAPLUS

CN Benzenamine, 4-[4,9-bis(3,5-dimethylphenyl)-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl]-N-phenyl-N-[4-(2-phenylethenyl)phenyl]- (CA INDEX NAME)

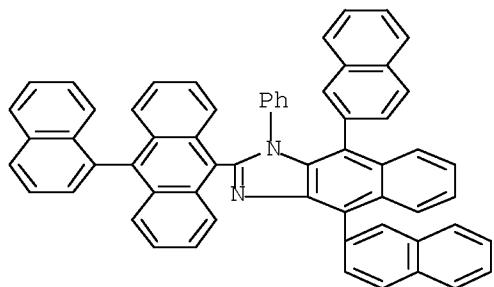


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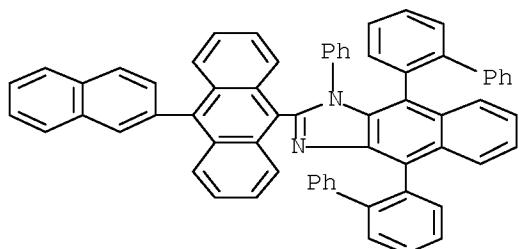
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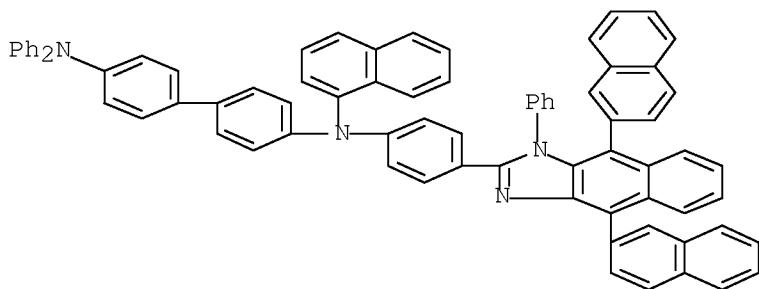
RN 921208-81-3 HCAPLUS  
 CN 1H-Naphth[2,3-d]imidazole, 4,9-di-2-naphthalenyl-2-[10-(1-naphthalenyl)-9-anthracenyl]-1-phenyl- (CA INDEX NAME)



RN 921208-82-4 HCAPLUS  
 CN 1H-Naphth[2,3-d]imidazole, 4,9-bis([1,1'-biphenyl]-2-yl)-2-[10-(2-naphthalenyl)-9-anthracenyl]-1-phenyl- (CA INDEX NAME)

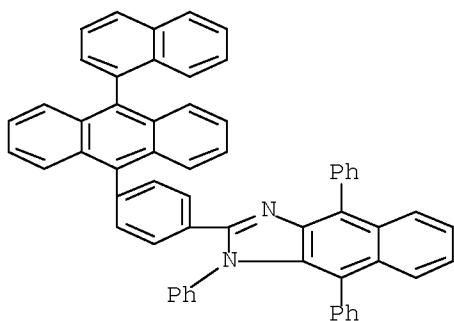


RN 921208-88-0 HCAPLUS  
 CN [1,1'-Biphenyl]-4,4'-diamine, N4-[4-(4,9-di-2-naphthalenyl-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)phenyl]-N4-1-naphthalenyl-N4',N4'-diphenyl- (CA INDEX NAME)



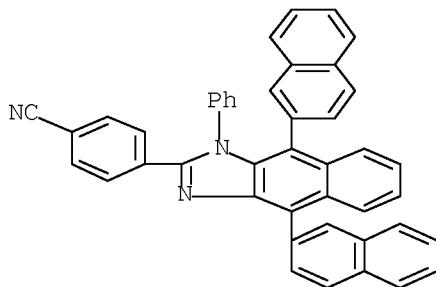
RN 921208-90-4 HCAPLUS

CN 1H-Naphth[2,3-d]imidazole, 2-[4-[10-(1-naphthalenyl)-9-anthracylphenyl]-1,4,9-triphenyl- (CA INDEX NAME)



RN 921208-96-0 HCAPLUS

CN Benzonitrile, 4-(4,9-di-2-naphthalenyl-1-phenyl-1H-naphth[2,3-d]imidazol-2-yl)- (CA INDEX NAME)



OS.CITING REF COUNT:

2

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
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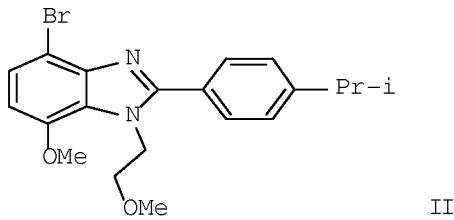
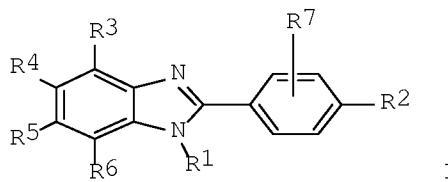
L5 ANSWER 2 OF 8 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:673269 HCPLUS Full-text  
 DOCUMENT NUMBER: 143:153379  
 TITLE: Preparation of benzimidazoles as antagonists of parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions  
 INVENTOR(S): Gerspacher, Marc; Weiler, Sven  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 151 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005068433	A1	20050728	WO 2005-EP291	20050113 <--
WO 2005068433	A9	20050915		
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AU 2005205141	B2	20081211		
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SG 149831	A1	20090227	SG 2009-257	20050113 <--
RU 2361863	C2	20090720	RU 2006-129348	20050113 <--
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			WO 2005-EP291	W 20050113 <--

OTHER SOURCE(S): CASREACT 143:153379; MARPAT 143:153379

ED Entered STN: 29 Jul 2005

GI



AB Title compds. I [R1 = (un)substituted lower cyclo/thio/alkyl, alkoxy, alkenyl, etc.; R2 = (un)substituted cyclo/lower alkyl, hetero/aryl, aryl-lower alkyl, etc.; R3 = halo, CN, (un)substituted hetero/aryl, etc.; R4 = H, halo, CN, OH, etc.; R5 = H, halo, CN, OH, hetero/aryl, etc.; R6 = halo, CN, (un)substituted lower alk(en/yn)yl, hetero/aryl, etc.; R7 = one or more substituents independently selected from H, halo, OH, NH<sub>2</sub> and derivs., etc.; their pharmaceutically acceptable salts and prodrug esters] were prepared for promoting the release of parathyroid hormone. For example, reacting 4-bromo-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole (preparation given) with (2-bromoethyl) Me ether gave benzimidazole II. I had IC<sub>50</sub> in the range of 10 nM or less to 1000 nM for the human parathyroid calcium-sensing receptor (hPcaR) in assays measuring the inhibition of Ca-induced inositol phosphate formation in CCL39 fibroblasts stably transfected with hPcaR, demonstrating their antagonistic activity. Thus, I and their compns., are useful for preventing or treating bone conditions associated with increased Ca depletion or resorption or in which stimulation of bone formation and Ca fixation is desirable.

IT 860465-87-8P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860465-97-0P,  
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 4-Bromo-5-iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-47-3P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carbonitrile 860466-48-4P,  
 4-Bromo-5-fluoro-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-56-4P,  
 5-Benzyl-4-ido-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-59-7P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-69-9P,  
 4-Bromo-2-(4-isopropylphenyl)-5-(2-methylsulfinylbenzyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-81-5P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl](3-methoxyphenyl)methanone 860466-88-2P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-4-carbonitrile 860467-29-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenylsulfanyl-1H-benzimidazole

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)

- IT 860466-00-3P, 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-03-1P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-05-3P,  
 4-Bromo-1-cyclopropylmethyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-07-5P,  
 4-Bromo-1-propyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-09-7P, 4-Bromo-1-butyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-11-1P,  
 4-Bromo-1-ethyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-12-2P, [2-[4-Bromo-2-(4-isopropylphenyl)-7-methoxybenzimidazol-1-yl]ethyl]dimethylamine 860466-14-4P,  
 4-Chloro-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-15-5P,  
 4-Ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-17-7P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-phenyl-1H-benzimidazole 860466-19-9P,  
 2-(4-Isopropylphenyl)-7-methoxy-4-[3-(2-methoxyethoxy)phenyl]-1-(2-methoxyethyl)-1H-benzimidazole 860466-20-2P,  
 4-(3,5-Dimethoxyphenyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-21-3P,  
 4-Methyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-22-4P,  
 4-Ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-23-5P,  
 4-Ethylsulfanyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-25-7P,  
 4-Bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-26-8P,  
 4-Bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-27-9P,  
 4-Bromo-1-cyclopropylmethyl-2-(4-cyclopropylphenyl)-7-methoxy-1H-benzimidazole 860466-34-8P,  
 4,5-Dibromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-35-9P,  
 4,5-Dibromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-36-0P,  
 4,5-Dibromo-2-(4-isopropyl-2-methoxyphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-37-1P,  
 4-Iodo-5-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-38-2P,  
 5-Bromo-4-iodo-2-(4-isopropyl-2-methoxyphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-39-3P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-trifluoromethyl-1H-benzimidazole 860466-41-7P,  
 4-Bromo-1-cyclopropylmethyl-2-(4-isopropylphenyl)-7-methoxy-5-trifluoromethyl-1H-benzimidazole 860466-45-1P,  
 5-Bromo-4-ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-51-9P,  
 5-Benzyl-4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-57-5P,  
 5-Benzyl-4-ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-58-6P,

4-Ethynyl-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-60-0P,  
 4-Bromo-5-ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-61-1P,  
 4-Bromo-5-cyclobutylmethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-62-2P,  
 4-Bromo-5-(3-fluorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-63-3P,  
 4-Bromo-5-(3-chlorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-64-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(thiazol-2-yl)methyl]-1H-benzimidazole 860466-65-5P,  
 4-Bromo-5-(3,5-difluorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-66-6P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-3-yl)methyl]-1H-benzimidazole 860466-67-7P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(2-methylsulfanylbenzyl)-1H-benzimidazole 860466-71-3P,  
 4-Bromo-2-(4-isopropylphenyl)-5-(2-methylsulfonylbenzyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-72-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)methyl]-1H-benzimidazole 860466-74-6P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-76-8P,  
 4-Bromo-5-(3,4-dimethoxybenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-77-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(3-methoxypyridin-2-yl)methyl]-1H-benzimidazole 860466-78-0P,  
 5-Benzyl-4-ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-80-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-(3-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-82-6P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl](2-methoxyphenyl)methanone 860466-83-7P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(1-phenylethyl)-1H-benzimidazole 860466-87-1P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carbonitrile 860466-89-3P,  
 4-Isobutyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-90-6P,  
 4-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-91-7P,  
 4,7-Dibromo-2-(4-isopropylphenyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-92-8P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenyl-1H-benzimidazole 860466-93-9P,  
 4-Bromo-5-(3,4-dimethoxyphenyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-94-0P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]phenol 860466-95-1P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(3-methoxyphenyl)-1H-benzimidazole 860466-96-2P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzoic acid ethyl ester 860466-97-3P,  
 4-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzoic acid ethyl ester 860466-98-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(pyridin-3-yl)-1H-benzimidazole 860466-99-5P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzonitrile 860467-00-1P,  
 1-[5-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-

benzimidazol-5-yl]-2-methoxyphenyl]ethanone 860467-01-2P,  
 2-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzonitrile 860467-03-4P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(pyridin-4-yl)-1H-benzimidazole 860467-05-6P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(4-methylpyrazol-1-yl)methyl]-1H-benzimidazole 860467-08-9P,  
 4-Bromo-5-[(imidazol-1-yl)methyl]-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-09-0P,  
 4-Bromo-5-(4-bromo-5-methylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-10-3P,  
 4-Bromo-5-(4-bromo-3-methylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-11-4P,  
 4-Bromo-5-(3,5-dimethylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-12-5P,  
 1-[(4-Bromo-1-(2-hydroxyethyl)-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazol-5-yl)methyl]-1H-imidazole-2-carboxylic acid ethyl ester  
 860467-13-6P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methoxymethylimidazol-1-yl)methyl]-1H-benzimidazole  
 860467-14-7P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methylsulfanylimidazol-1-yl)methyl]-1H-benzimidazole  
 860467-15-8P, 1-[(4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl)methyl]-1H-benzimidazol-2-ol  
 860467-16-9P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methylsulfanylbenzimidazol-1-yl)methyl]-1H-benzimidazole  
 860467-17-0P,  
 4-Bromo-2-(4-isopropylphenyl)-5-[(2-methylsulfinylbenzimidazol-1-yl)methyl]-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-18-1P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-[(2-methoxybenzimidazol-1-yl)methyl]-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-19-2P, 3-[(4-Bromo-1-(2-hydroxyethyl)-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazol-5-yl)methyl]-3H-imidazole-4-carboxylic acid  
 methyl ester 860467-20-5P,  
 2-[4-Bromo-5-[(imidazo[4,5-b]pyridin-3-yl)methyl]-2-(4-isopropylphenyl)-7-methoxybenzimidazol-1-yl]ethanol 860467-21-6P,  
 2-[4-Bromo-5-[(indazol-1-yl)methyl]-2-(4-isopropylphenyl)-7-methoxybenzimidazol-1-yl]ethanol 860467-22-7P  
 860467-23-8P, 4-Bromo-5-(4-bromo-5-methylpyrazol-1-ylmethyl)-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-24-9P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-[(4-methylpyrazol-1-yl)methyl]-1-(2-methylsulfanylethyl)-1H-benzimidazole  
 860467-25-0P, 4-Bromo-5-(isopropoxymethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-26-1P,  
 1-[(4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl)methyl]pyrrolidin-2-one 860467-31-8P,  
 5-Phenylsulfinyl-4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-32-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenoxy-1H-benzimidazole 860467-33-0P,  
 5-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-36-3P,  
 2-(4-Isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-37-4P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)methyl]-4-trifluoromethyl-1H-benzimidazole 860467-38-5P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(thiazol-2-yl)methyl]-4-trifluoromethyl-1H-benzimidazole 860467-39-6P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyrazol-1-yl)methyl]-4-trifluoromethyl-1H-benzimidazole 860467-40-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-

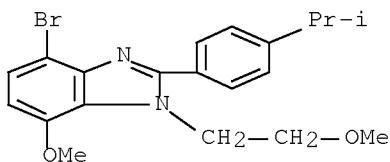
(phenoxyethyl)-1H-benzimidazole 860467-41-0P,  
 2-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]ethanol 860467-42-1P,  
 2-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenoxy]ethanol 860467-43-2P,  
 [2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]methanol 860467-44-3P,  
 N-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]acetamide 860467-45-4P,  
 2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]benzamide 860467-46-5P,  
 2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]benzenesulfonamide 860467-47-6P,  
 [2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]amine 860467-48-7P,  
 1-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]ethanone 860467-49-8P,  
 2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenol 860467-50-1P,  
 2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]pyridin-3-ol 860467-51-2P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)oxy]methyl]-1H-benzimidazole 860467-52-3P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(2-methoxyphenoxy)methyl]-1H-benzimidazole 860467-53-4P,  
 [3-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]-2-methylphenyl]methanol 860467-54-5P  
 , 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-3-yl)oxy]methyl]-1H-benzimidazole 860467-55-6P,  
 4-Bromo-2-(4-isopropylphenyl)-5-[(2-methylsulfonylphenoxy)methyl]-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-56-7P,  
 2-[3-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenoxy]ethanol 860467-57-8P,  
 2-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methoxy]phenyl]acetamide 860467-59-0P,  
 2-[2-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methoxy]phenoxy]ethanol  
 860467-60-3P, 2-[2-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methoxy]phenyl]ethanol 860467-61-4P,  
 N-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]phenylamine 860467-62-5P,  
 [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](2-methylsulfonylphenyl)amine  
 860467-63-6P, [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl][2-(2-methylsulfonylethyl)phenyl]amine 860467-64-7P,  
 2-[2-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]amino]phenyl]acetamide 860467-65-8P,  
 2-[[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]amino]benzenesulfonic acid 860467-66-9P  
 , [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](2-fluorophenyl)amine 860467-67-0P,  
 [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](pyridin-2-yl)amine 860467-68-1P,  
 2-[[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]amino]benzoic acid methyl ester  
 860467-69-2P, [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](pyridin-3-yl)amine  
 860467-70-3P, N-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-

methoxyethyl)-1H-benzimidazol-5-yl]methyl]-N-(methyl)phenylamine  
 860467-71-6P, [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](3-methylsulfonylphenyl)amine  
 860467-72-7P, 2-[2-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]amino]phenylacetamide 860467-73-8P,  
 [[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl](2-methylsulfonylphenyl)amine  
 860467-74-9P, [[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl][2-(2-methylsulfonylethyl)phenyl]amine 860467-76-1P,  
 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid methyl ester  
 860467-77-2P, 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid dimethylamide 860467-78-3P,  
 1-[[1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazol-2-yl]ethanone 860467-79-4P  
 , 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-indole-2,3-dione 860467-80-7P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(oxazol-2-yl)methyl]-1H-benzimidazole 860467-81-8P,  
 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carbonitrile  
 860467-82-9P, 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid methylamide 860467-83-0P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-bromo-4-trifluoromethyl-1H-benzimidazole 860467-84-1P,  
 N-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl]phenylamine 860467-85-2  
 P, [[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl](pyridin-2-yl)amine 860467-86-3P,  
 2-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl]amino]benzenesulfonamide  
 860467-87-4P, 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(phenoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-88-5P  
 , 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)oxy]methyl]-4-trifluoromethyl-1H-benzimidazole 860467-89-6P  
 , 2-[[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methoxy]benzenesulfonamide 860467-90-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)oxy]-1H-benzimidazole  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)

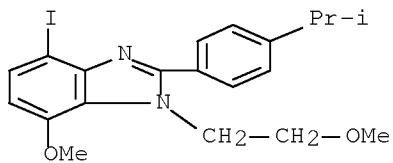
IT 860466-16-6P, 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-[(trimethylsilanyl)ethynyl]-1H-benzimidazole 860466-24-6P,  
 7-Ethylsulfanyl-2-(4-isopropylphenyl)-3-(2-methoxyethyl)-3H-benzimidazol-4-ol 860466-75-7P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carboxaldehyde 860466-79-1P,  
 5-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-vinyl-1H-benzimidazole 860467-06-7P, Methanesulfonic acid  
 [4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl ester 860467-07-8P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methanol 860467-34-1P,

- 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole-5-carboxaldehyde 860467-58-9P,  
 5-Bromomethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-75-0P,  
 [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methanol  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)
- IT 860467-35-2, 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carboxaldehyde 1034276-53-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)
- IT 860465-87-8P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860465-87-0P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-18-8P, 3-[2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-4-yl]phenol 860466-42-8P,  
 4-Bromo-5-iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-47-3P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carbonitrile 860466-48-4P,  
 4-Bromo-5-fluoro-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-56-4P,  
 5-Benzyl-4-iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-59-7P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-69-9P,  
 4-Bromo-2-(4-isopropylphenyl)-5-(2-methylsulfinylbenzyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-81-5P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl](3-methoxyphenyl)methanone 860466-88-2P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-4-carbonitrile 860467-29-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenylsulfanyl-1H-benzimidazole  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)
- RN 860465-87-8 HCPLUS  
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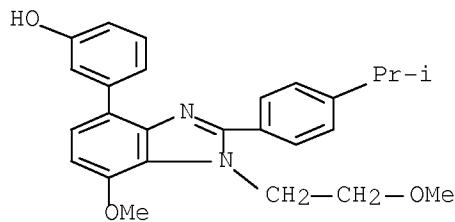
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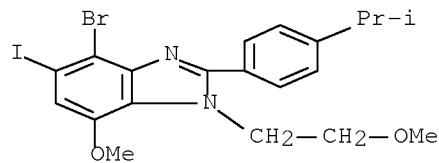
RN 860466-18-8 HCAPLUS

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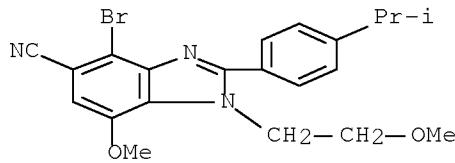
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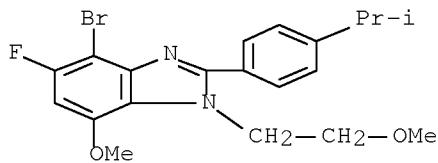
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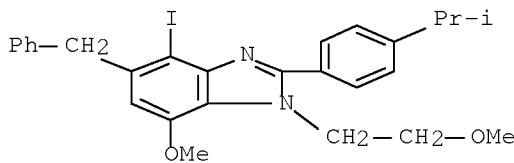
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CN 1H-Benzimidazole, 4-bromo-5-fluoro-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



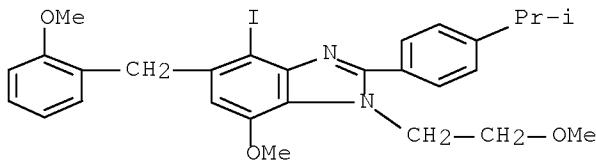
RN 860466-56-4 HCAPLUS

CN 1H-Benzimidazole, 4-iodo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylmethyl)- (CA INDEX NAME)



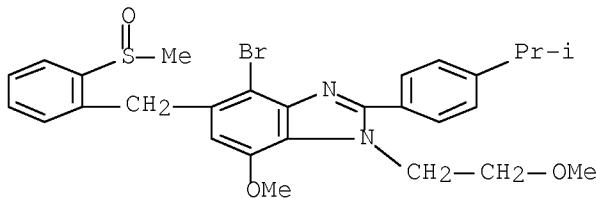
RN 860466-59-7 HCAPLUS

CN 1H-Benzimidazole, 4-iodo-7-methoxy-1-(2-methoxyethyl)-5-[ (2-methoxyphenyl)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



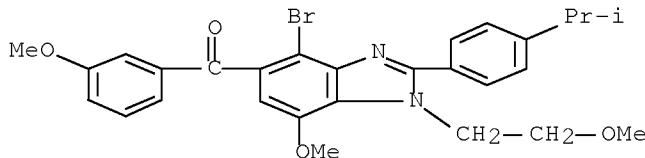
RN 860466-69-9 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[ [2-(methylsulfinyl)phenyl]methyl]- (CA INDEX NAME)



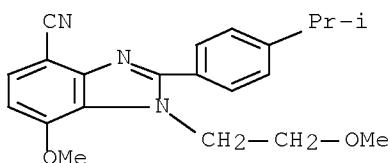
RN 860466-81-5 HCAPLUS

CN Methanone, [4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl](3-methoxyphenyl)-(CA INDEX NAME)



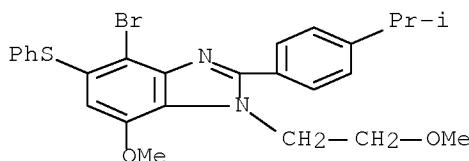
RN 860466-88-2 HCAPLUS

CN 1H-Benzimidazole-4-carbonitrile, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-(CA INDEX NAME)



RN 860467-29-4 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylthio)-(CA INDEX NAME)



IT 860466-00-8P, 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-03-1P,

4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-05-3P,

4-Bromo-1-cyclopropylmethyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-07-5P,

4-Bromo-1-propyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-09-7P, 4-Bromo-1-butyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-11-1P,

4-Bromo-1-ethyl-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole 860466-12-2P, [2-[4-Bromo-2-(4-isopropylphenyl)-7-

methoxybenzimidazol-1-yl]ethyl]dimethylamine 860466-14-4P,

4-Chloro-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-15-5P,

4-Ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-17-7P,

2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-phenyl-1H-benzimidazole 860466-19-9P,  
 2-(4-Isopropylphenyl)-7-methoxy-4-[3-(2-methoxyethoxy)phenyl]-1-(2-methoxyethyl)-1H-benzimidazole 860466-20-2P,  
 4-(3,5-Dimethoxyphenyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-21-3P,  
 4-Methyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-22-4P,  
 4-Ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-23-5P,  
 4-Ethylsulfanyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-25-7P,  
 4-Bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-26-8P,  
 4-Bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methylsulfanylethyl)-1H-benzimidazole 860466-27-9P,  
 4-Bromo-1-cyclopropylmethyl-2-(4-cyclopropylphenyl)-7-methoxy-1H-benzimidazole 860466-34-8P,  
 4,5-Dibromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-35-9P,  
 4,5-Dibromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-36-0P,  
 4,5-Dibromo-2-(4-isopropyl-2-methoxyphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-37-1P,  
 4-Iodo-5-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-38-2P,  
 5-Bromo-4-iodo-2-(4-isopropyl-2-methoxyphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-39-3P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-trifluoromethyl-1H-benzimidazole 860466-41-7P,  
 4-Bromo-1-cyclopropylmethyl-2-(4-isopropylphenyl)-7-methoxy-5-trifluoromethyl-1H-benzimidazole 860466-45-1P,  
 5-Bromo-4-ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-51-9P,  
 5-Benzyl-4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-57-5P,  
 5-Benzyl-4-ethynyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-58-6P,  
 4-Ethynyl-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-60-0P,  
 4-Bromo-5-ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-61-1P,  
 4-Bromo-5-cyclobutylmethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-62-2P,  
 4-Bromo-5-(3-fluorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-63-3P,  
 4-Bromo-5-(3-chlorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-64-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(thiazol-2-yl)methyl]-1H-benzimidazole 860466-65-5P,  
 4-Bromo-5-(3,5-difluorobenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-66-6P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-3-yl)methyl]-1H-benzimidazole 860466-67-7P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(2-methylsulfanylbenzyl)-1H-benzimidazole 860466-71-3P,  
 4-Bromo-2-(4-isopropylphenyl)-5-(2-methylsulfonylbenzyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-72-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)methyl]-1H-benzimidazole 860466-74-6P,

4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-76-8P,  
 4-Bromo-5-(3,4-dimethoxybenzyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-77-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(3-methoxypyridin-2-yl)methyl]-1H-benzimidazole 860466-78-0P,  
 5-Benzyl-4-ethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-80-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-(3-methoxybenzyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-82-6P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl](2-methoxyphenyl)methanone 860466-83-7P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(1-phenylethyl)-1H-benzimidazole 860466-87-1P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carbonitrile 860466-89-3P,  
 4-Isobutyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-90-6P,  
 4-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-91-7P,  
 4,7-Dibromo-2-(4-isopropylphenyl)-1-(2-methoxyethyl)-1H-benzimidazole 860466-92-8P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenyl-1H-benzimidazole 860466-93-9P,  
 4-Bromo-5-(3,4-dimethoxyphenyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860466-94-0P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]phenol 860466-95-1P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(3-methoxyphenyl)-1H-benzimidazole 860466-96-2P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzoic acid ethyl ester 860466-97-3P,  
 4-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzoic acid ethyl ester 860466-98-4P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(pyridin-3-yl)-1H-benzimidazole 860466-99-5P,  
 3-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzonitrile 860467-00-1P,  
 1-[5-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]-2-methoxyphenyl]ethanone 860467-01-2P,  
 2-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]benzonitrile 860467-03-4P,  
 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(pyridin-4-yl)-1H-benzimidazole 860467-05-6P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(4-methylpyrazol-1-yl)methyl]-1H-benzimidazole 860467-08-9P,  
 4-Bromo-5-[(imidazol-1-yl)methyl]-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-09-0P,  
 4-Bromo-5-(4-bromo-5-methylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-10-3P,  
 4-Bromo-5-(4-bromo-3-methylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-11-4P,  
 4-Bromo-5-(3,5-dimethylpyrazol-1-ylmethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-12-5P,  
 1-[4-Bromo-1-(2-hydroxyethyl)-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid ethyl ester 860467-13-6P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methoxymethylimidazol-1-yl)methyl]-1H-benzimidazole 860467-14-7P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methylsulfanylimidazol-1-yl)methyl]-1H-benzimidazole 860467-15-8P, 1-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-

methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-benzimidazol-2-ol  
 860467-16-9P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(2-methylsulfanylbenzimidazol-1-yl)methyl]-1H-benzimidazole 860467-17-0P,  
 4-Bromo-2-(4-isopropylphenyl)-5-[(2-methylsulfinylbenzimidazol-1-yl)methyl]-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-18-1P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-[(2-methoxybenzimidazol-1-yl)methyl]-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-19-2P, 3-[[4-Bromo-1-(2-hydroxyethyl)-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazol-5-yl)methyl]-3H-imidazole-4-carboxylic acid  
 methyl ester 860467-20-5P,  
 2-[4-Bromo-5-[(imidazo[4,5-b]pyridin-3-yl)methyl]-2-(4-isopropylphenyl)-7-methoxybenzimidazol-1-yl]ethanol 860467-21-6P,  
 2-[4-Bromo-5-[(indazol-1-yl)methyl]-2-(4-isopropylphenyl)-7-methoxybenzimidazol-1-yl]ethanol 860467-22-7P  
 860467-23-8P, 4-Bromo-5-(4-bromo-5-methylpyrazol-1-ylmethyl)-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole  
 860467-24-9P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-5-[(4-methylpyrazol-1-yl)methyl]-1-(2-methylsulfanylethyl)-1H-benzimidazole  
 860467-25-0P, 4-Bromo-5-(isopropoxymethyl)-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-26-1P,  
 1-[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]pyrrolidin-2-one 860467-31-8P,  
 5-Phenylsulfinyl-4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole 860467-32-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-phenoxy-1H-benzimidazole 860467-33-0P,  
 5-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-36-3P,  
 2-(4-Isopropylphenyl)-7-methoxy-5-(2-methoxybenzyl)-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-37-4P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(pyridin-2-yl)methyl]-4-trifluoromethyl-1H-benzimidazole 860467-38-5P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[(thiazol-2-yl)methyl]-4-trifluoromethyl-1H-benzimidazole 860467-39-6P,  
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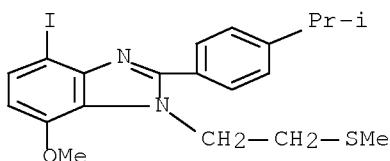
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 , [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](2-fluorophenyl)amine 860467-67-0P,  
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 860467-69-2P, [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](pyridin-3-yl)amine  
 860467-70-3P, N-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-N-(methyl)phenylamine  
 860467-71-6P, [[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl](3-methylsulfonylphenyl)amine  
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 860467-77-2P, 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid  
 dimethylamide 860467-78-3P,  
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 , 1-[[4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-indole-2,3-dione 860467-80-7P,  
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 860467-82-9P, 1-[ [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl]-1H-imidazole-2-carboxylic acid methylamide 860467-83-0P,  
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 N-[ [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl]phenylamine 860467-85-2P,  
 [ [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl] (pyridin-2-yl) amine 860467-86-3P,  
 2-[ [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methyl]amino]benzenesulfonamide  
 860467-87-4P, 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(phenoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-88-5P  
 , 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[ (pyridin-2-yl)oxy]methyl]-4-trifluoromethyl-1H-benzimidazole 860467-89-6P  
 , 2-[ [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methoxy]benzenesulfonamide 860467-90-9P,  
 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-[ (pyridin-2-yl)oxy]-1H-benzimidazole  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)

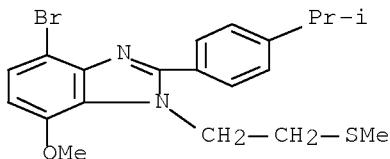
RN 860466-00-8 HCPLUS

CN 1H-Benzimidazole, 4-iodo-7-methoxy-2-[4-(1-methylethyl)phenyl]-1-[2-(methylthio)ethyl]- (CA INDEX NAME)



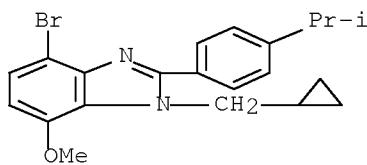
RN 860466-03-1 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-2-[4-(1-methylethyl)phenyl]-1-[2-(methylthio)ethyl]- (CA INDEX NAME)

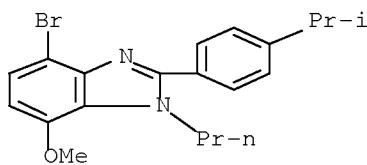


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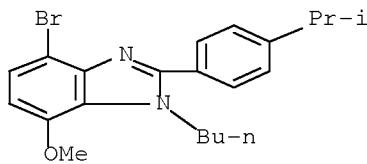
CN 1H-Benzimidazole, 4-bromo-1-(cyclopropylmethyl)-7-methoxy-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



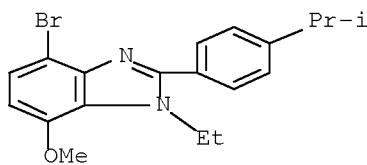
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 CN 1H-Benzimidazole, 4-bromo-7-methoxy-2-[4-(1-methylethyl)phenyl]-1-propyl-  
 (CA INDEX NAME)



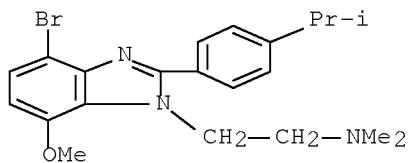
RN 860466-09-7 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-1-butyl-7-methoxy-2-[4-(1-methylethyl)phenyl]-  
 (CA INDEX NAME)



RN 860466-11-1 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-1-ethyl-7-methoxy-2-[4-(1-methylethyl)phenyl]-  
 (CA INDEX NAME)

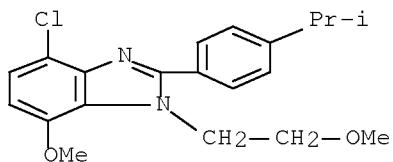


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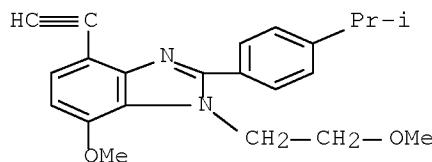
RN 860466-14-4 HCAPLUS

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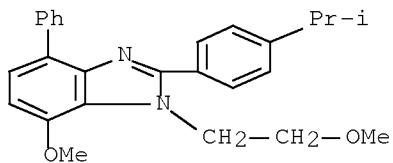
RN 860466-15-5 HCAPLUS

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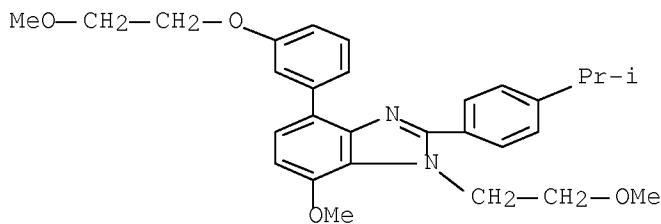
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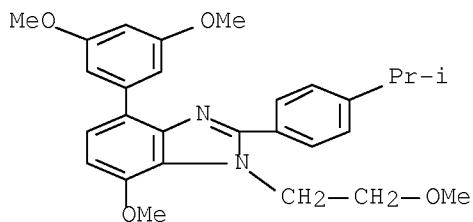


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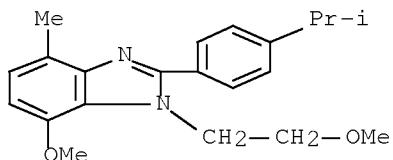
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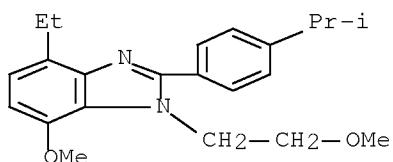
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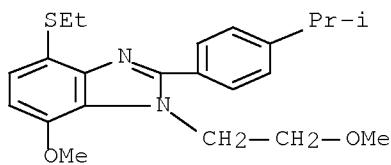
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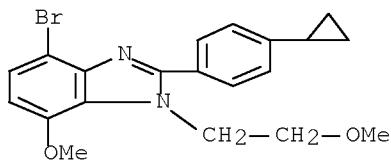
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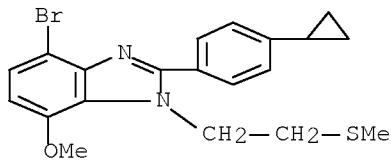
RN 860466-23-5 HCPLUS  
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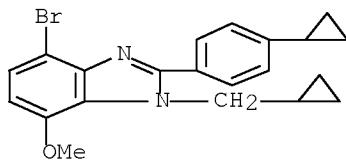
RN 860466-25-7 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)- (CA INDEX NAME)



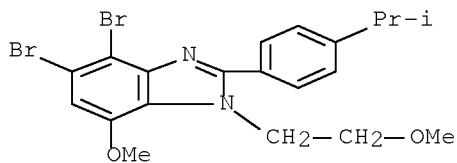
RN 860466-26-8 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-2-(4-cyclopropylphenyl)-7-methoxy-1-[2-(methylthio)ethyl]- (CA INDEX NAME)



RN 860466-27-9 HCAPLUS  
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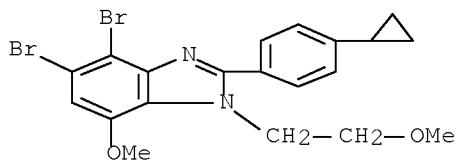


RN 860466-34-8 HCAPLUS  
CN 1H-Benzimidazole, 4,5-dibromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



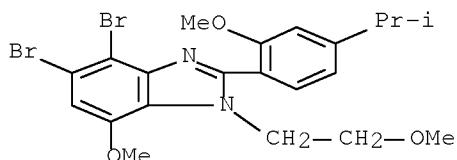
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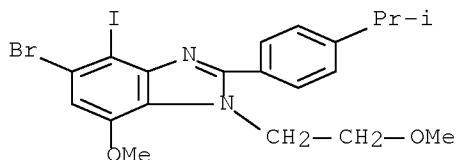
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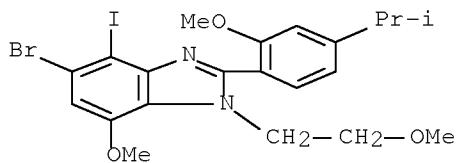
RN 860466-37-1 HCAPLUS

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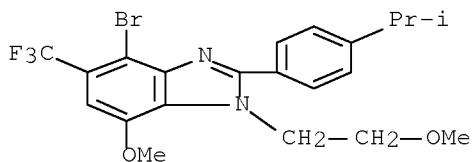


RN 860466-38-2 HCAPLUS

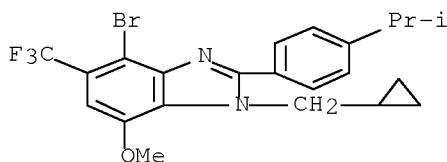
CN 1H-Benzimidazole, 5-bromo-4-iodo-7-methoxy-1-(2-methoxyethyl)-2-[2-methoxy-4-(1-methylethyl)phenyl]- (CA INDEX NAME)



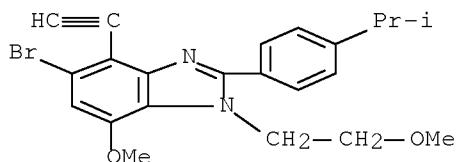
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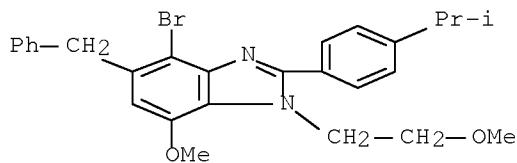
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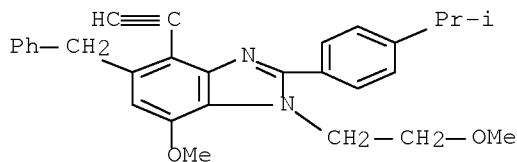
RN 860466-45-1 HCAPLUS  
 CN 1H-Benzimidazole, 5-bromo-4-ethynyl-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



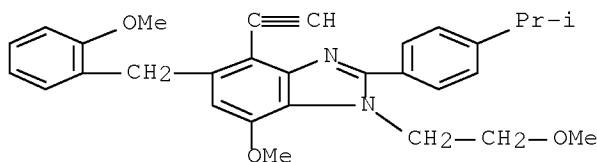
RN 860466-51-9 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylmethyl)- (CA INDEX NAME)



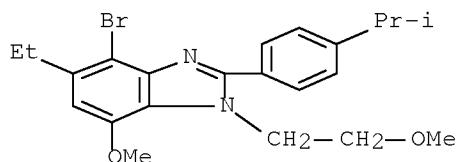
RN 860466-57-5 HCAPLUS  
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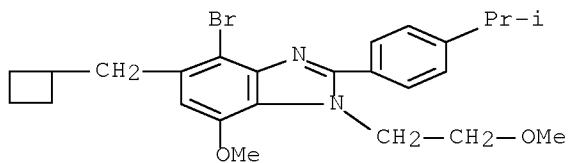
RN 860466-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 4-ethynyl-7-methoxy-1-(2-methoxyethyl)-5-[ (2-methoxyphenyl)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



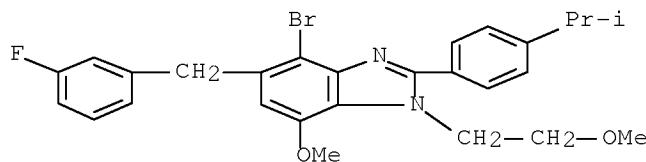
RN 860466-60-0 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-5-ethyl-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



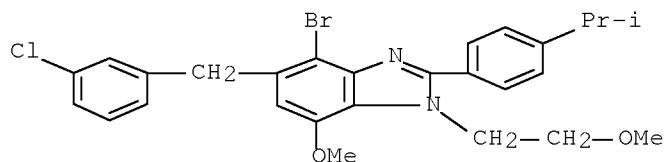
RN 860466-61-1 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-5-(cyclobutylmethyl)-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



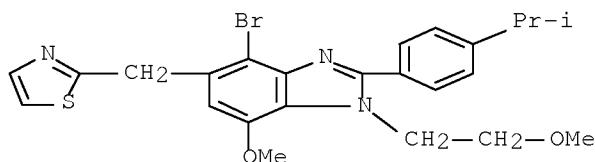
RN 860466-62-2 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-5-[(3-fluorophenyl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



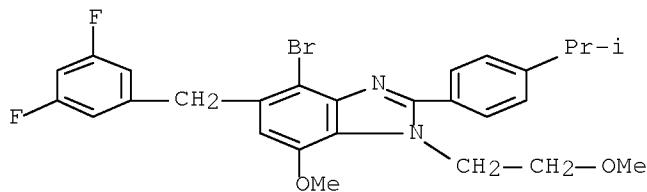
RN 860466-63-3 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-5-[(3-chlorophenyl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



RN 860466-64-4 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-thiazolylmethyl)- (CA INDEX NAME)

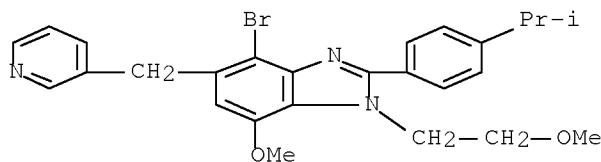


RN 860466-65-5 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-5-[(3,5-difluorophenyl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



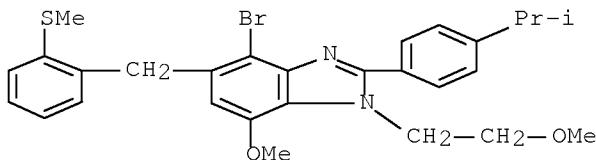
RN 860466-66-6 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(3-pyridinylmethyl)- (CA INDEX NAME)



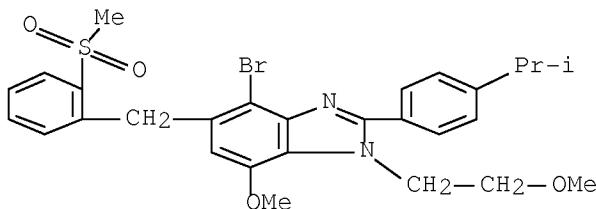
RN 860466-67-7 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[2-(methylthio)phenyl]methyl- (CA INDEX NAME)



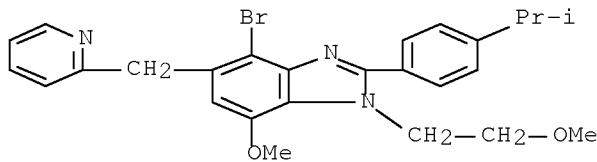
RN 860466-71-3 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[2-(methylsulfonyl)phenyl]methyl- (CA INDEX NAME)



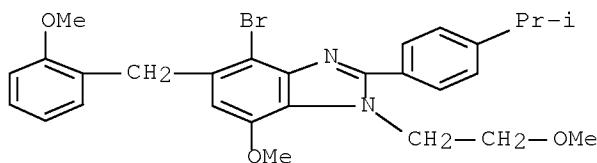
RN 860466-72-4 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-pyridinylmethyl)- (CA INDEX NAME)



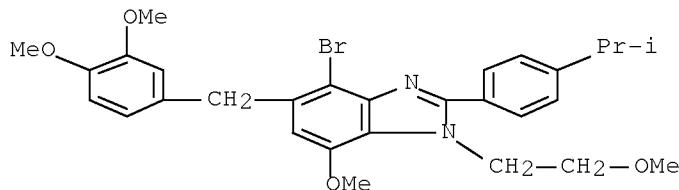
RN 860466-74-6 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[ (2-methoxyphenyl)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



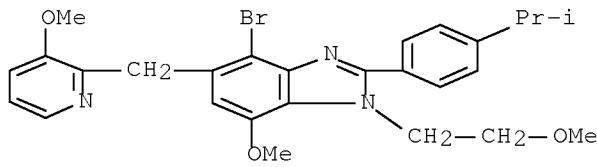
RN 860466-76-8 HCPLUS

CN 1H-Benzimidazole, 4-bromo-5-[ (3,4-dimethoxyphenyl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



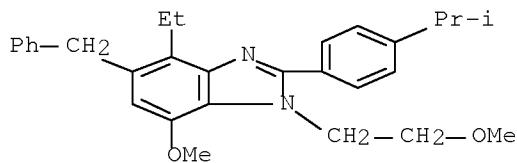
RN 860466-77-9 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[ (3-methoxy-2-pyridinyl)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)

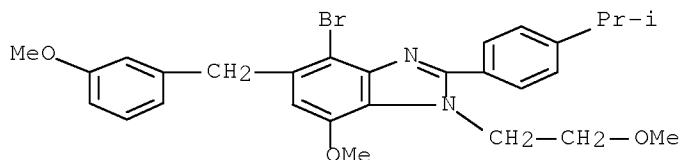


RN 860466-78-0 HCPLUS

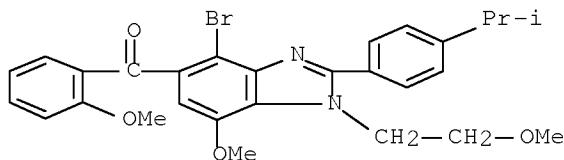
CN 1H-Benzimidazole, 4-ethyl-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylmethyl)- (CA INDEX NAME)



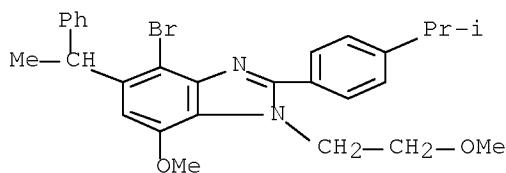
RN 860466-80-4 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[(3-methoxyphenyl)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



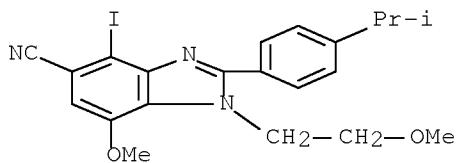
RN 860466-82-6 HCAPLUS  
 CN Methanone, [4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl](2-methoxyphenyl)- (CA INDEX NAME)



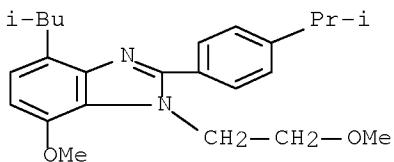
RN 860466-83-7 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(1-phenylethyl)- (CA INDEX NAME)



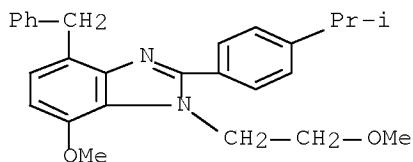
RN 860466-87-1 HCAPLUS  
 CN 1H-Benzimidazole-5-carbonitrile, 4-iodo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



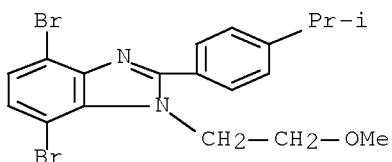
RN 860466-89-3 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(2-methylpropyl)- (CA INDEX NAME)



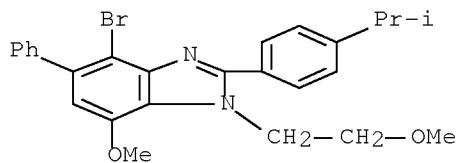
RN 860466-90-6 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(phenylmethyl)- (CA INDEX NAME)



RN 860466-91-7 HCAPLUS  
 CN 1H-Benzimidazole, 4,7-dibromo-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)

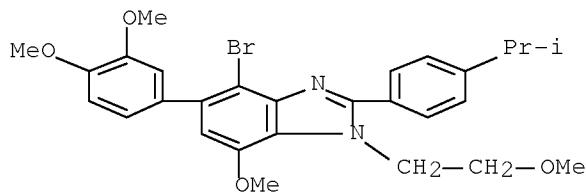


RN 860466-92-8 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-phenyl- (CA INDEX NAME)



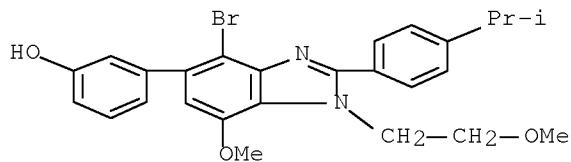
RN 860466-93-9 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-5-(3,4-dimethoxyphenyl)-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



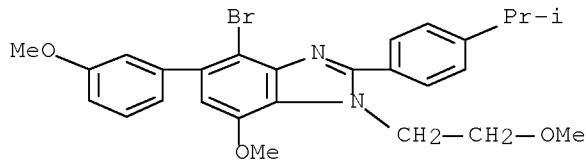
RN 860466-94-0 HCAPLUS

CN Phenol, 3-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



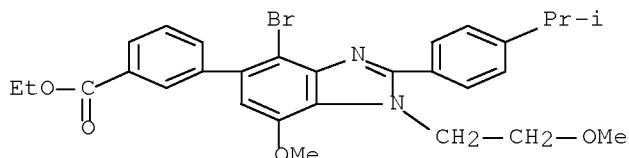
RN 860466-95-1 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-(3-methoxyphenyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



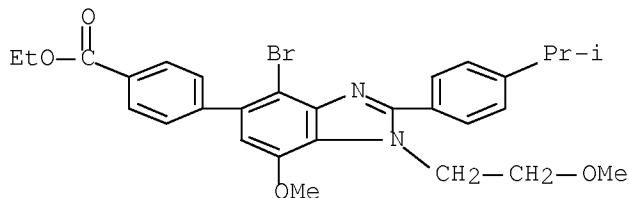
RN 860466-96-2 HCAPLUS

CN Benzoic acid, 3-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]-, ethyl ester (CA INDEX NAME)



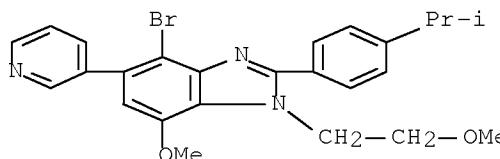
RN 860466-97-3 HCAPLUS

CN Benzoic acid, 4-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]-, ethyl ester (CA INDEX NAME)



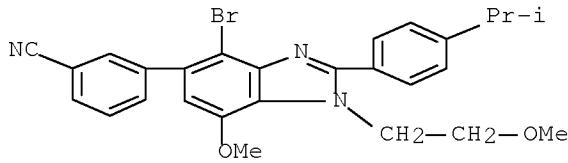
RN 860466-98-4 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(3-pyridinyl)- (CA INDEX NAME)



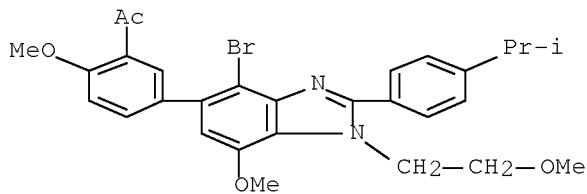
RN 860466-99-5 HCAPLUS

CN Benzonitrile, 3-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]-(CA INDEX NAME)



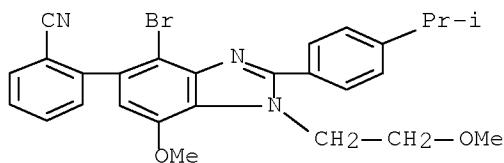
RN 860467-00-1 HCAPLUS

CN Ethanone, 1-[5-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]-2-methoxyphenyl]- (CA INDEX NAME)



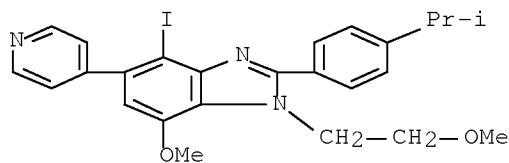
RN 860467-01-2 HCPLUS

CN Benzonitrile, 2-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



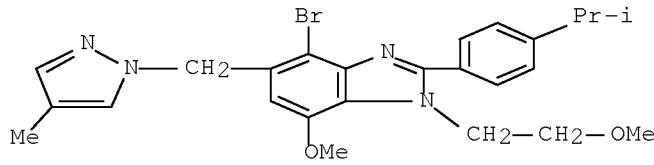
RN 860467-03-4 HCPLUS

CN 1H-Benzimidazole, 4-iodo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(4-pyridinyl)- (CA INDEX NAME)



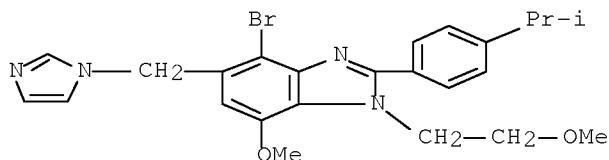
RN 860467-05-6 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[4-methyl-1H-pyrazol-1-ylmethyl]- (CA INDEX NAME)



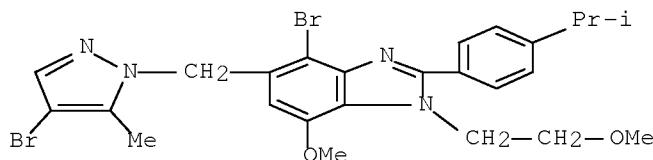
RN 860467-08-9 HCPLUS

CN 1H-Benzimidazole, 4-bromo-5-(1H-imidazol-1-ylmethyl)-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



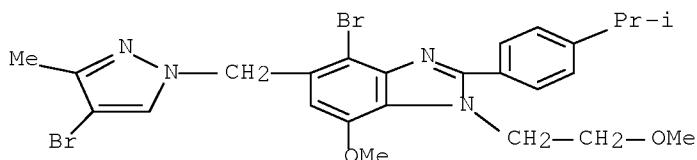
RN 860467-09-0 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-5-[(4-bromo-5-methyl-1H-pyrazol-1-yl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



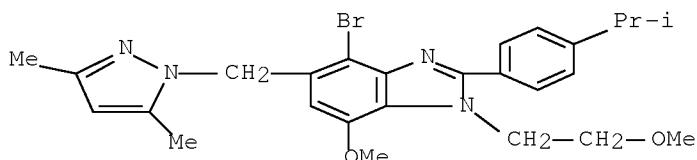
RN 860467-10-3 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-5-[(4-bromo-3-methyl-1H-pyrazol-1-yl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



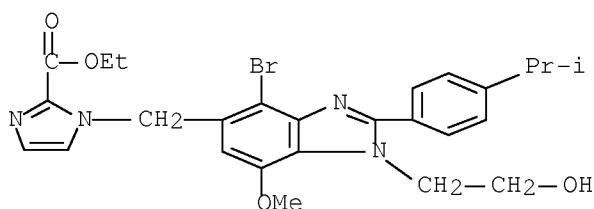
RN 860467-11-4 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-5-[(3,5-dimethyl-1H-pyrazol-1-yl)methyl]-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



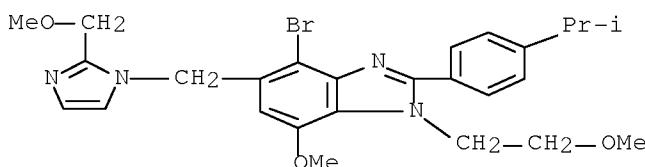
RN 860467-12-5 HCAPLUS

CN 1H-Imidazole-2-carboxylic acid, 1-[[4-bromo-1-(2-hydroxyethyl)-7-methoxy-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-, ethyl ester (CA INDEX NAME)



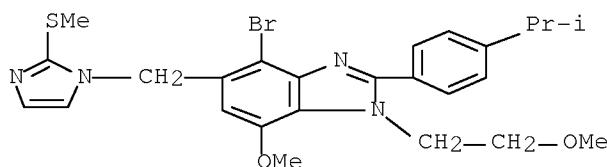
RN 860467-13-6 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[(2-methoxymethyl)-1H-imidazol-1-yl]methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



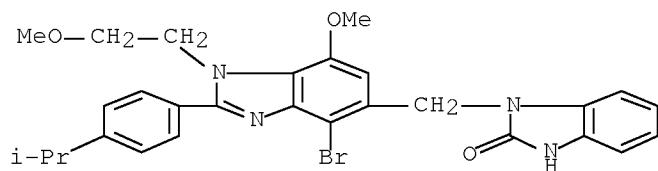
RN 860467-14-7 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[[2-(methylthio)-1H-imidazol-1-yl]methyl]- (CA INDEX NAME)

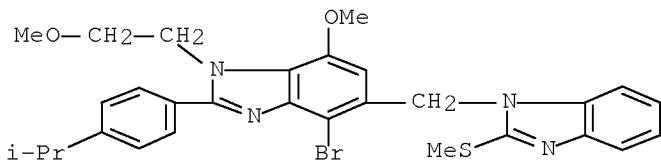


RN 860467-15-8 HCAPLUS

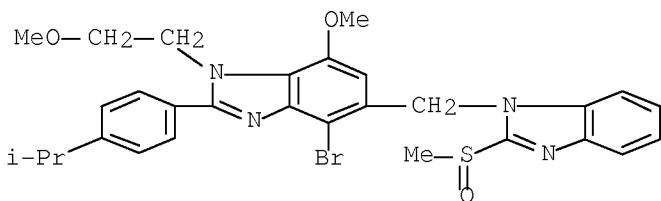
CN 2H-Benzimidazol-2-one, 1-[ [4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-1,3-dihydro- (CA INDEX NAME)



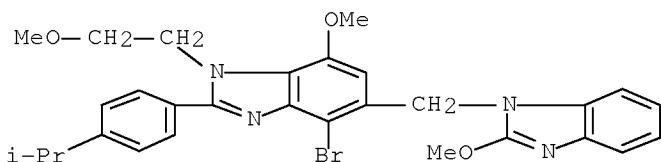
RN 860467-16-9 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[2-(methylthio)-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)



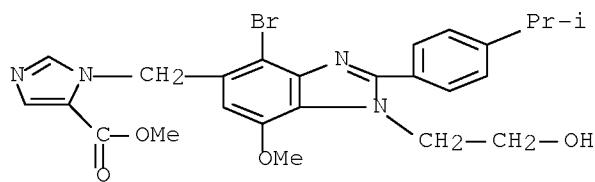
RN 860467-17-0 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[2-(methylsulfinyl)-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)



RN 860467-18-1 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-5-[2-methoxy-1H-benzimidazol-1-yl]methyl]-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)

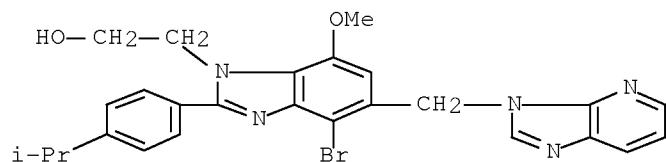


RN 860467-19-2 HCAPLUS  
 CN 1H-Imidazole-5-carboxylic acid, 1-[4-bromo-1-(2-hydroxyethyl)-7-methoxy-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-, methyl ester (CA INDEX NAME)



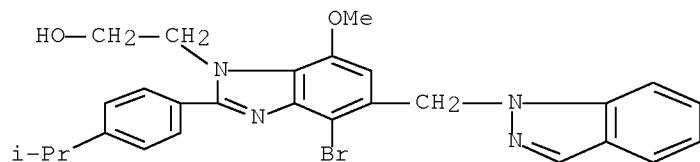
RN 860467-20-5 HCAPLUS

CN 1H-Benzimidazole-1-ethanol, 4-bromo-5-(3H-imidazo[4,5-b]pyridin-3-ylmethyl)-7-methoxy-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



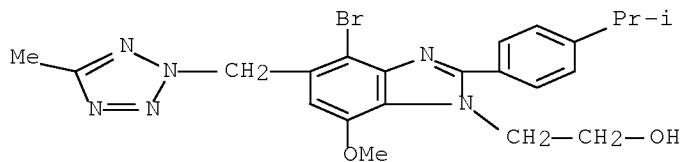
RN 860467-21-6 HCAPLUS

CN 1H-Benzimidazole-1-ethanol, 4-bromo-5-(1H-indazol-1-ylmethyl)-7-methoxy-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



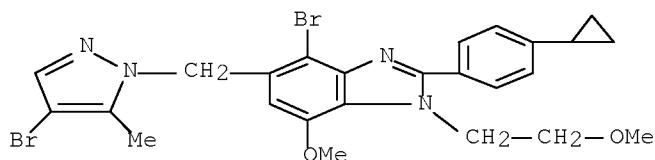
RN 860467-22-7 HCAPLUS

CN 1H-Benzimidazole-1-ethanol, 4-bromo-7-methoxy-2-[4-(1-methylethyl)phenyl]-5-[(5-methyl-2H-tetrazol-2-yl)methyl]- (CA INDEX NAME)



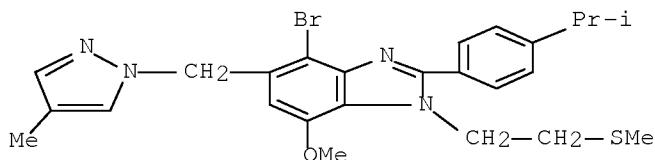
RN 860467-23-8 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-5-[(4-bromo-5-methyl-1H-pyrazol-1-yl)methyl]-2-(4-cyclopropylphenyl)-7-methoxy-1-(2-methoxyethyl)- (CA INDEX NAME)



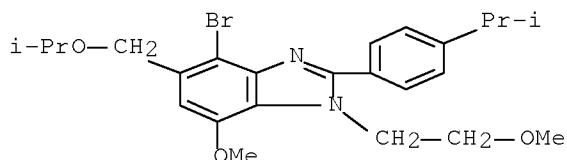
RN 860467-24-9 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-2-[4-(1-methylethyl)phenyl]-5-[(4-methyl-1H-pyrazol-1-yl)methyl]-1-[2-(methylthio)ethyl]- (CA INDEX NAME)



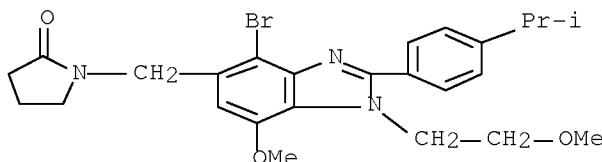
RN 860467-25-0 HCAPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[(1-methylethoxy)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



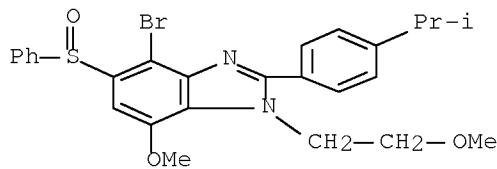
RN 860467-26-1 HCAPLUS

CN 2-Pyrrolidinone, 1-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methyl]- (CA INDEX NAME)

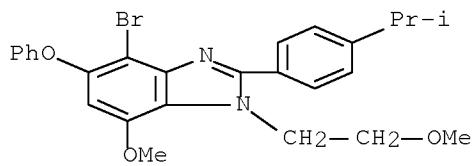


RN 860467-31-8 HCAPLUS

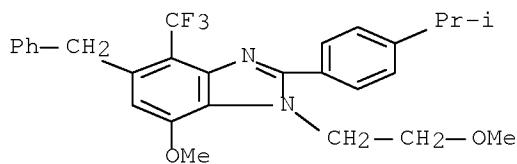
CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylsulfinyl)- (CA INDEX NAME)



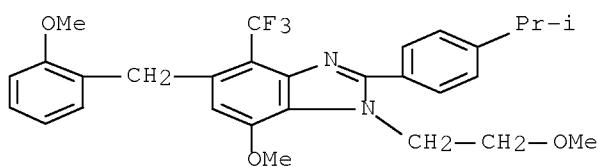
RN 860467-32-9 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-phenoxy- (CA INDEX NAME)



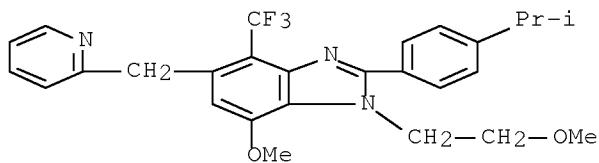
RN 860467-33-0 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



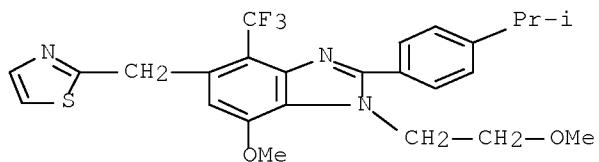
RN 860467-36-3 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-5-[(2-methoxyphenyl)methyl]-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



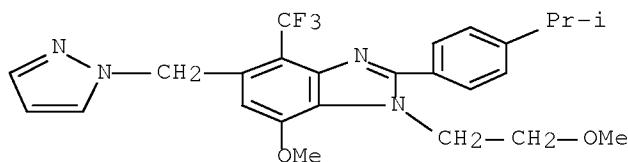
RN 860467-37-4 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-pyridinylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



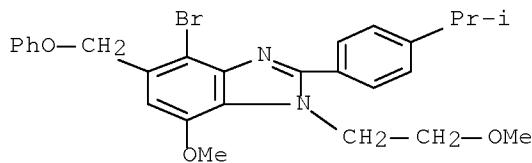
RN 860467-38-5 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-thiazolylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



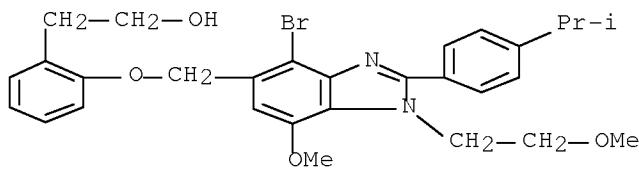
RN 860467-39-6 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(1H-pyrazol-1-ylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



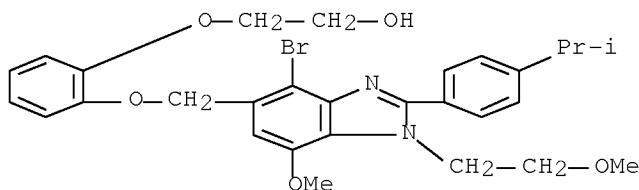
RN 860467-40-9 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenoxyethyl)- (CA INDEX NAME)



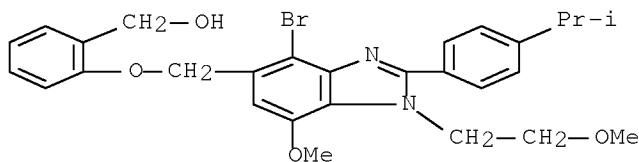
RN 860467-41-0 HCAPLUS  
 CN Benzeneethanol, 2-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methoxy- (CA INDEX NAME)



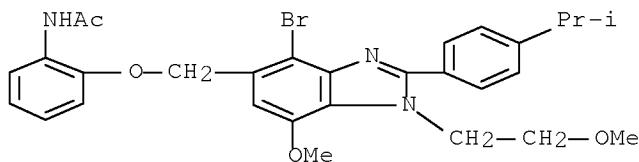
RN 860467-42-1 HCAPLUS  
 CN Ethanol, 2-[2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]phenoxy]- (CA INDEX NAME)



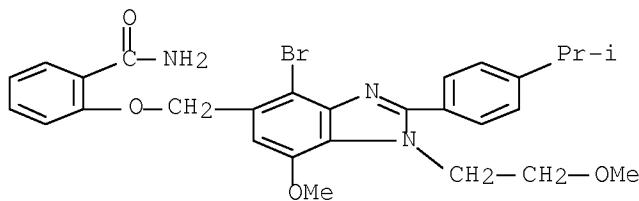
RN 860467-43-2 HCAPLUS  
 CN Benzenemethanol, 2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]- (CA INDEX NAME)



RN 860467-44-3 HCAPLUS  
 CN Acetamide, N-[(2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]phenyl]- (CA INDEX NAME)

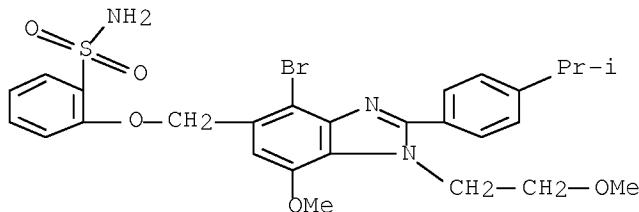


RN 860467-45-4 HCAPLUS  
 CN Benzamide, 2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]- (CA INDEX NAME)



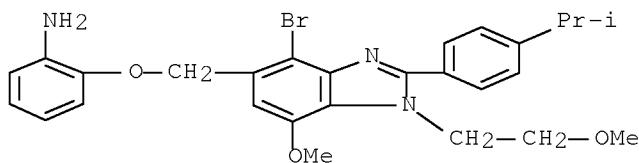
RN 860467-46-5 HCAPLUS

CN Benzenesulfonamide, 2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]- (CA INDEX NAME)



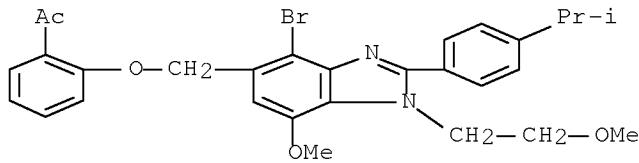
RN 860467-47-6 HCAPLUS

CN Benzenamine, 2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]- (CA INDEX NAME)



RN 860467-48-7 HCAPLUS

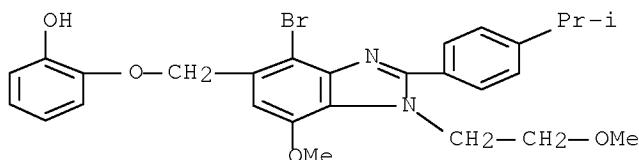
CN Ethanone, 1-[(2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]phenyl] (CA INDEX NAME)



RN 860467-49-8 HCAPLUS

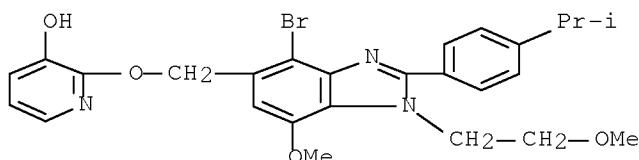
CN Phenol, 2-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-

methylethyl)phenyl]-1H-benzimidazol-5-yl]methoxy]- (CA INDEX NAME)



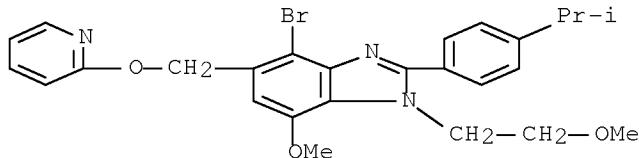
RN 860467-50-1 HCPLUS

CN 3-Pyridinol, 2-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methoxy]- (CA INDEX NAME)



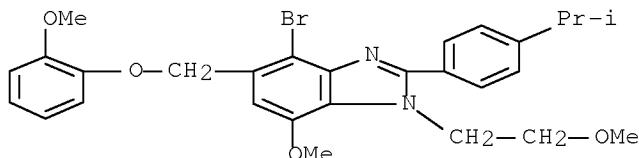
RN 860467-51-2 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[(2-pyridinyloxy)methyl]- (CA INDEX NAME)



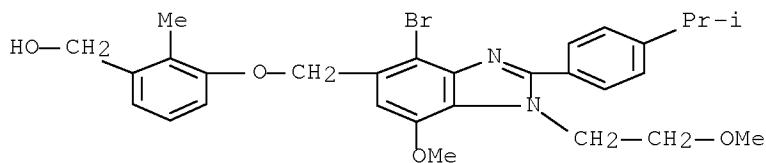
RN 860467-52-3 HCPLUS

CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-5-[(2-methoxyphenoxy)methyl]-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)

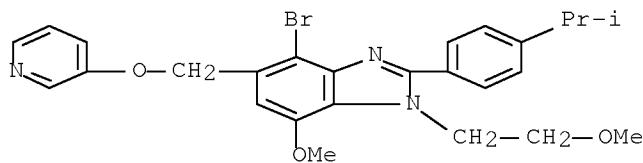


RN 860467-53-4 HCPLUS

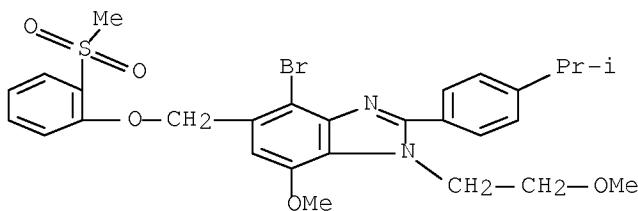
CN Benzenemethanol, 3-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methoxy]-2-methyl- (CA INDEX NAME)



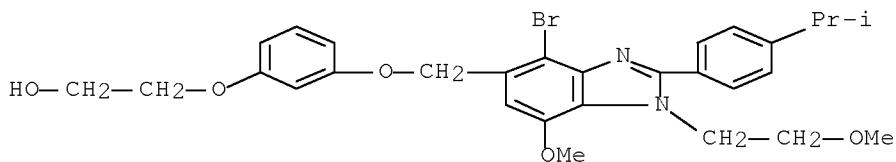
RN 860467-54-5 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[(3-pyridinyl)oxy]methyl- (CA INDEX NAME)



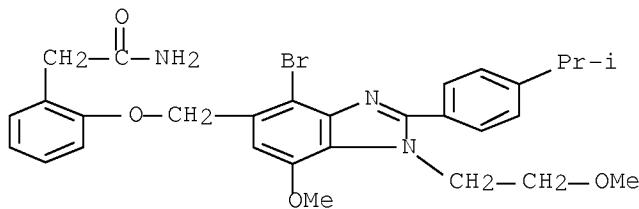
RN 860467-55-6 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[(2-methylsulfonyl)phenoxy]methyl- (CA INDEX NAME)



RN 860467-56-7 HCAPLUS  
CN Ethanol, 2-[3-[(4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl)methoxy]phenoxy]- (CA INDEX NAME)

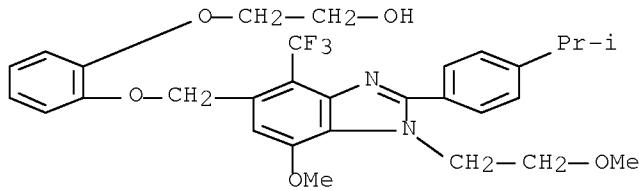


CN Benzeneacetamide, 2-[ [4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methoxy]- (CA INDEX NAME)



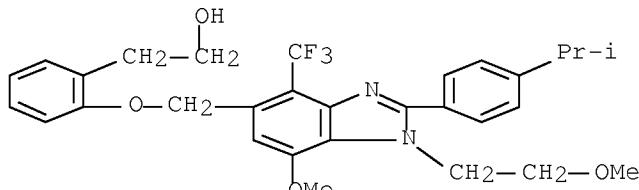
RN 860467-59-0 HCAPLUS

CN Ethanol, 2-[2-[ [7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)-1H-benzimidazol-5-yl]methoxy]phenoxy]- (CA INDEX NAME)



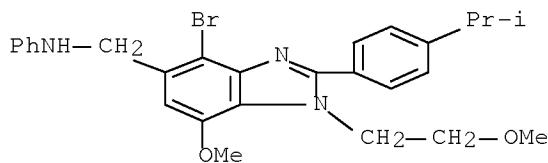
RN 860467-60-3 HCAPLUS

CN Benzenethanol, 2-[ [7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)-1H-benzimidazol-5-yl]methoxy]- (CA INDEX NAME)



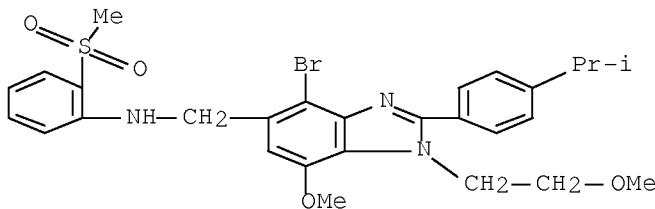
RN 860467-61-4 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-phenyl- (CA INDEX NAME)



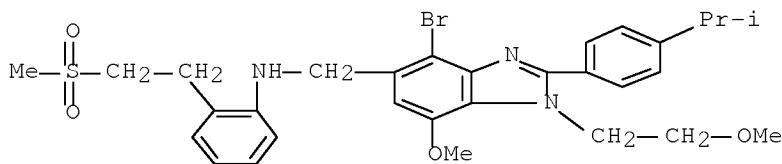
RN 860467-62-5 HCPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



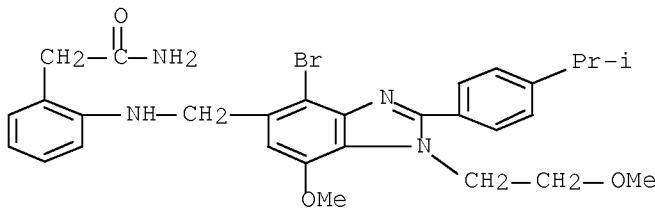
RN 860467-63-6 HCPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-[2-[2-(methylsulfonyl)ethyl]phenyl]- (CA INDEX NAME)



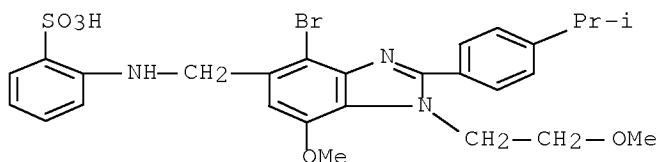
RN 860467-64-7 HCPLUS

CN Benzeneacetamide, 2-[[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)



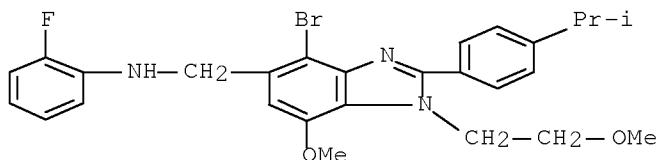
RN 860467-65-8 HCPLUS

CN Benzenesulfonic acid, 2-[[[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)



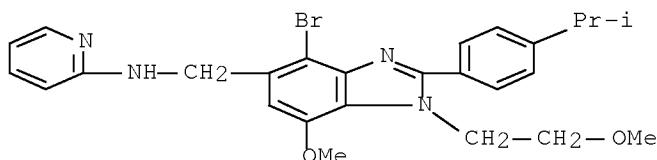
RN 860467-66-9 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-N-(2-fluorophenyl)-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



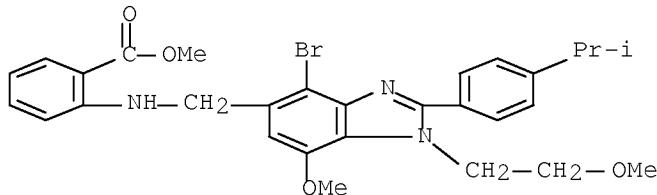
RN 860467-67-0 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-2-pyridinyl- (CA INDEX NAME)



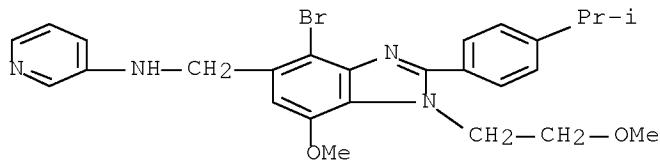
RN 860467-68-1 HCAPLUS

CN Benzoic acid, 2-[[[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]amino]-, methyl ester (CA INDEX NAME)



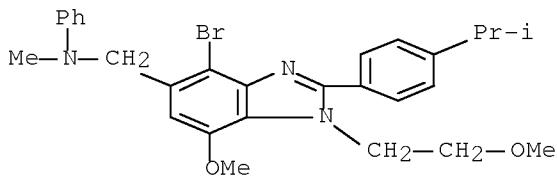
RN 860467-69-2 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-3-pyridinyl- (CA INDEX NAME)



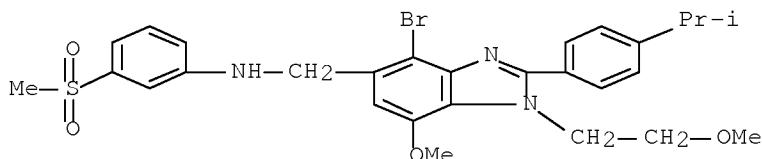
RN 860467-70-5 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-N-methyl-2-[4-(1-methylethyl)phenyl]-N-phenyl- (CA INDEX NAME)



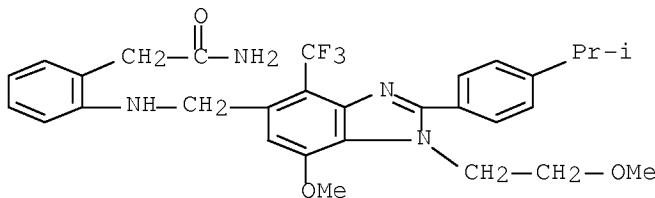
RN 860467-71-6 HCAPLUS

CN 1H-Benzimidazole-5-methanamine, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 860467-72-7 HCAPLUS

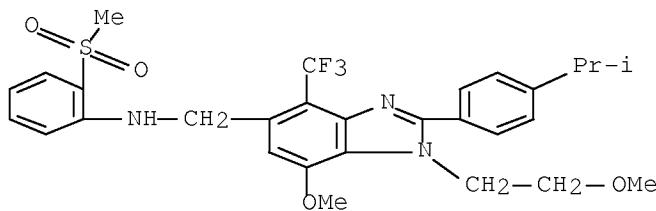
CN Benzeneacetamide, 2-[[[7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)



RN 860467-73-8 HCAPLUS

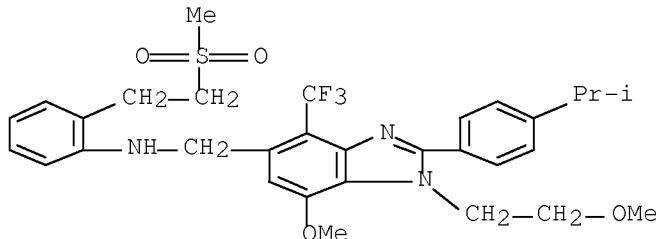
CN 1H-Benzimidazole-5-methanamine, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-

methylethyl)phenyl]-N-[2-(methylsulfonyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



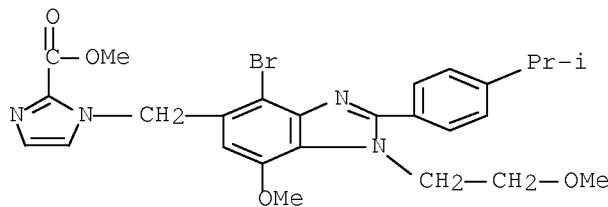
RN 860467-74-9 HCPLUS

CN 1H-Benzimidazole-5-methanamine, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-[2-[2-(methylsulfonyl)ethyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



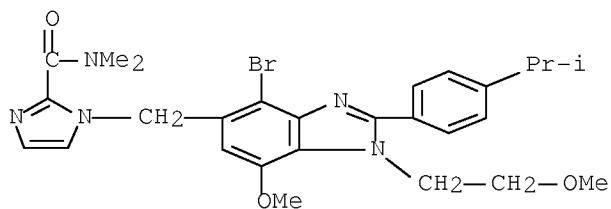
RN 860467-76-1 HCPLUS

CN 1H-Imidazole-2-carboxylic acid, 1-[[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-, methyl ester (CA INDEX NAME)

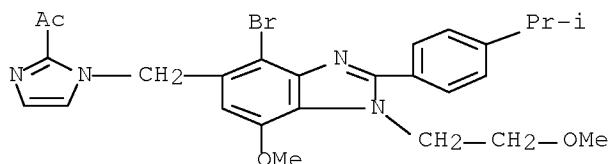


RN 860467-77-2 HCPLUS

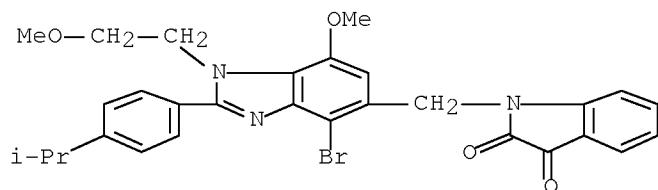
CN 1H-Imidazole-2-carboxamide, 1-[[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-N,N-dimethyl- (CA INDEX NAME)



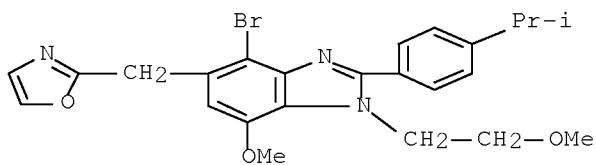
RN 860467-78-3 HCAPLUS  
CN Ethanone, 1-[1-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]methyl]-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 860467-79-4 HCAPLUS  
CN 1H-Indole-2,3-dione, 1-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]methyl]- (CA INDEX NAME)

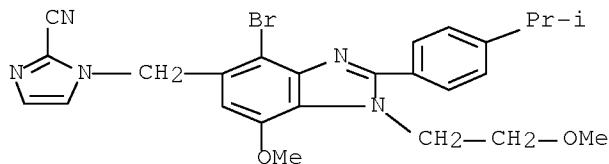


RN 860467-80-7 HCAPLUS  
CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-oxazolylmethyl)- (CA INDEX NAME)



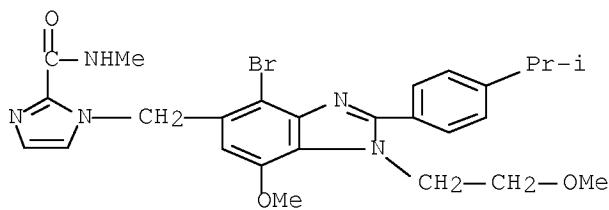
RN 860467-81-8 HCAPLUS  
CN 1H-Imidazole-2-carbonitrile, 1-[4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-

(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]- (CA INDEX NAME)



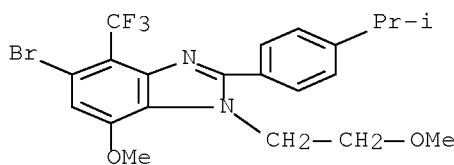
RN 860467-82-9 HCPLUS

CN 1H-Imidazole-2-carboxamide, 1-[ [4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-1H-benzimidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



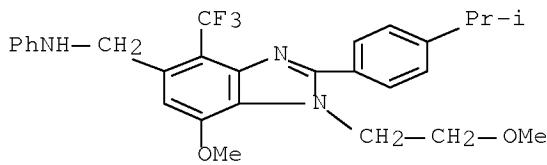
RN 860467-83-0 HCPLUS

CN 1H-Benzimidazole, 5-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



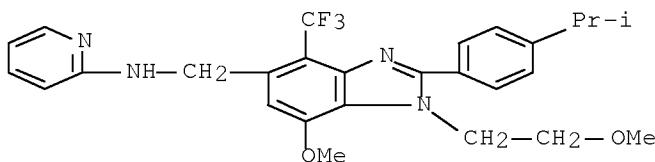
RN 860467-84-1 HCPLUS

CN 1H-Benzimidazole-5-methanamine, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-phenyl-4-(trifluoromethyl)- (CA INDEX NAME)



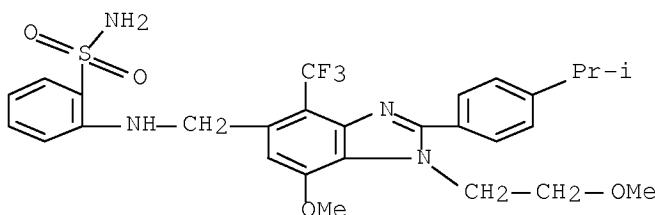
RN 860467-85-2 HCPLUS

CN 1H-Benzimidazole-5-methanamine, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-N-2-pyridinyl-4-(trifluoromethyl)- (CA INDEX NAME)



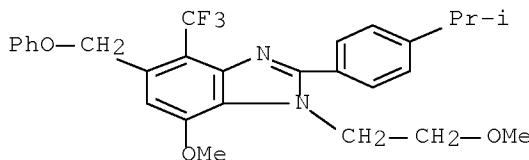
RN 860467-86-3 HCPLUS

CN Benzenesulfonamide, 2-[[[7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)



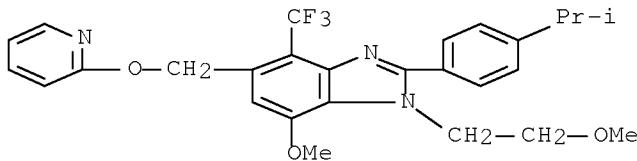
RN 860467-87-4 HCPLUS

CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenoxyethyl)-4-(trifluoromethyl)- (CA INDEX NAME)

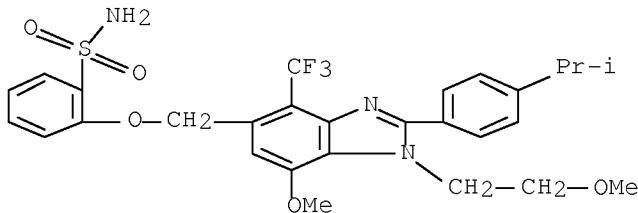


RN 860467-88-5 HCPLUS

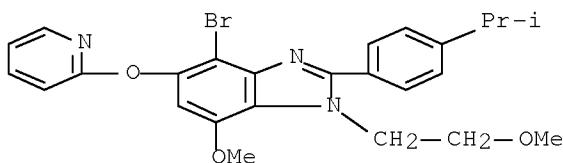
CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-[2-pyridinyloxy]methyl-4-(trifluoromethyl)- (CA INDEX NAME)



RN 860467-89-6 HCAPLUS  
 CN Benzenesulfonamide, 2-[ [7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)-1H-benzimidazol-5-yl]methoxy]-(CA INDEX NAME)

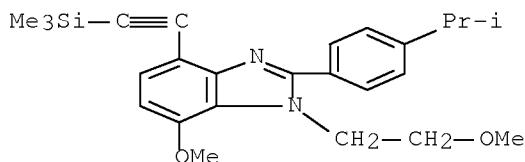


RN 860467-90-9 HCAPLUS  
 CN 1H-Benzimidazole, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(2-pyridinyloxy)-(CA INDEX NAME)

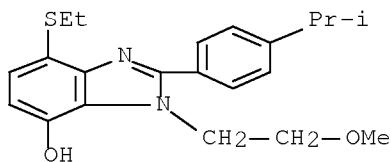


IT 860466-16-6P, 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-[ (trimethylsilyl)ethynyl]-1H-benzimidazole 860466-24-6P,  
 7-Ethylsulfanyl-2-(4-isopropylphenyl)-3-(2-methoxyethyl)-3H-benzimidazol-4-ol 860466-75-7P, 4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carboxaldehyde 860466-79-1P,  
 5-Benzyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-vinyl-1H-benzimidazole 860467-06-7P, Methanesulfonic acid  
 [4-bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methyl ester 860467-07-8P,  
 [4-Bromo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazol-5-yl]methanol 860467-34-1P,  
 2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole-5-carboxaldehyde 860467-58-9P,  
 5-Bromomethyl-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazole 860467-75-0P,  
 [2-(4-Isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-4-trifluoromethyl-1H-benzimidazol-5-yl]methanol  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)

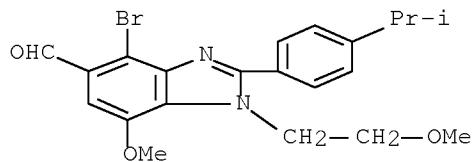
RN 860466-16-6 HCAPLUS  
 CN 1H-Benzimidazole, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-[2-(trimethylsilyl)ethynyl]-(CA INDEX NAME)



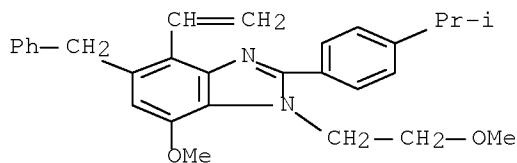
RN 860466-24-6 HCAPLUS  
 CN 1H-Benzimidazol-7-ol, 4-(ethylthio)-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



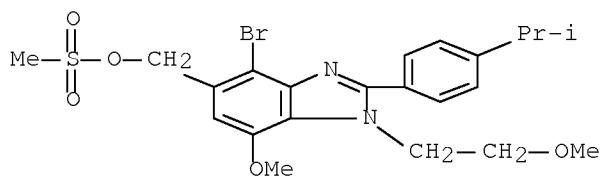
RN 860466-75-7 HCAPLUS  
 CN 1H-Benzimidazole-5-carboxaldehyde,  
 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



RN 860466-79-1 HCAPLUS  
 CN 1H-Benzimidazole, 4-ethenyl-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-5-(phenylmethyl)- (CA INDEX NAME)

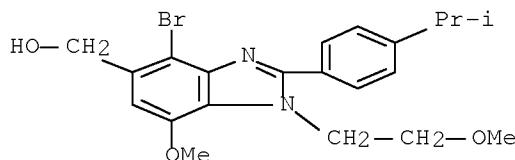


RN 860467-06-7 HCAPLUS  
 CN 1H-Benzimidazole-5-methanol, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-, 5-methanesulfonate (CA INDEX NAME)



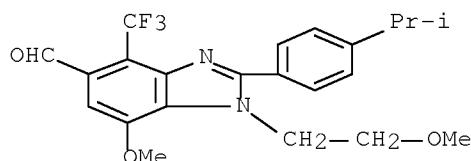
RN 860467-07-8 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 4-bromo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



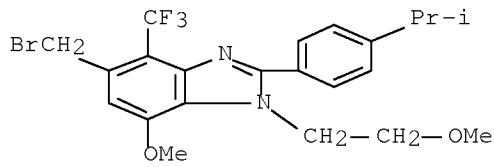
RN 860467-34-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde,  
7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



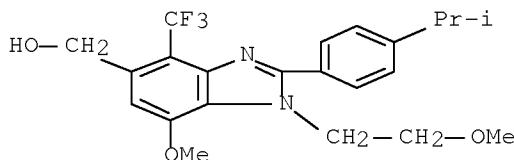
RN 860467-58-9 HCAPLUS

CN 1H-Benzimidazole, 5-(bromomethyl)-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



RN 860467-75-0 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

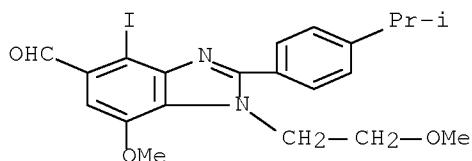


IT 860467-35-2, 4-Iodo-2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-1H-benzimidazole-5-carboxaldehyde 1034276-53-3  
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzimidazoles as antagonists of human parathyroid calcium-sensing receptor for treating osteoporosis and other bone conditions)

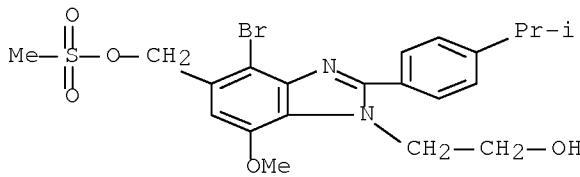
RN 860467-35-2 HCPLUS

CN 1H-Benzimidazole-5-carboxaldehyde,  
4-iodo-7-methoxy-1-(2-methoxyethyl)-2-[4-(1-methylethyl)phenyl]- (CA INDEX NAME)



RN 1034276-53-3 HCPLUS

CN 1H-Benzimidazole-1-ethanol, 4-bromo-7-methoxy-2-[4-(1-methylethyl)phenyl]-5-[(methylsulfonyl)oxy]methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:563095 HCPLUS Full-text

DOCUMENT NUMBER: 127:248108

ORIGINAL REFERENCE NO.: 127:48481a, 48484a

TITLE: Preparation of naphth[2,3-d]imidazole-4,9-diones and analogs as antitumor agents

INVENTOR(S): Lee, Kuo Hsiung; Kuo, Sheng-Chu; Ibuka, Toshiro

PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

## PATENT INFORMATION:

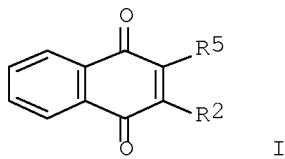
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730022	A1	19970821	WO 1997-US2508	19970214 <--
W: AU, BR, CA, CN, JP, KR, MX, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5789431	A	19980804	US 1996-601114	19960216 <--
CA 2248826	A1	19970821	CA 1997-2248826	19970214 <--
AU 9721293	A	19970902	AU 1997-21293	19970214 <--
AU 736202	B2	20010726		
CN 1206403	A	19990127	CN 1997-190353	19970214 <--
US 6174918	B1	20010116	US 1998-126624	19980730 <--
PRIORITY APPLN. INFO.:			US 1996-601114	A 19960216 <--
			WO 1997-US2508	W 19970214 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 127:248108

ED     Entered STN: 04 Sep 1997

GI



AB     Title compds. [I; R2 = halo or NHR; R = H, (un)substituted alkyl, Ph, etc.; R5 = NHCOR1; R1 = H, (halo)alkyl, Ph, (CH2)<sub>m</sub>CO2R6; R2R5 = NR4CR3:N; R3 = groups cited for R1; R4 = H, (halo)alkyl, Ph, CH2Ph, etc.; R6 = H, Me, Et; m = 2 or 3] were prepared. Thus, 2-amino-3-chloro-1,4-naphthoquinone was amidated by Ac2O and the aminated product cyclized to give I (R2R5 = NHCM<sub>2</sub>:N). Data for biol. activity of I were given.

IT 195448-32-9P 195448-33-0P

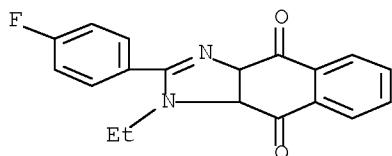
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of naphth[2,3-d]imidazole-4,9-diones and analogs as antitumor agents)

IT 195448-32-9P 195448-33-0P

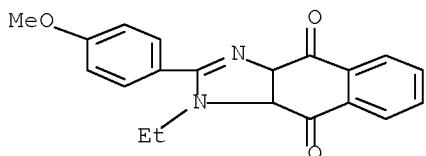
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of naphth[2,3-d]imidazole-4,9-diones and analogs as antitumor agents)

RN 195448-32-9 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione,  
1-ethyl-2-(4-fluorophenyl)-3a,9a-dihydro- (CA INDEX NAME)



RN 195448-33-0 HCAPLUS  
 CN 1H-Naphth[2,3-d]imidazole-4,9-dione,  
 1-ethyl-3a,9a-dihydro-2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)

L5 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1996:148282 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 124:260951  
 ORIGINAL REFERENCE NO.: 124:48343a,48346a  
 TITLE: Synthesis and Cytotoxicity of 1,2-Disubstituted Naphth[2,3-d]imidazole-4,9-diones and Related Compounds  
 AUTHOR(S): Kuo, Sheng-Chu; Ibuka, Toshiro; Huang, Li-Jiau; Lien, Jin-Cherng; Yean, Shyue-Ren; Huang, Shung-Chieh; Lednicer, Daniel; Morris-Natschke, Susan; Lee, Kuo-Hsiung  
 CORPORATE SOURCE: Graduate Institute of Pharmaceutical Chemistry, China Medical College, Taichung, 400, Taiwan  
 SOURCE: Journal of Medicinal Chemistry (1996), 39(7), 1447-51  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 14 Mar 1996  
 AB Substituted derivs. of 1-ethyl-2-methylnaphth[2,3-d]imidazole-4,9-dione were developed as anticancer drug candidates that are selective against slowly growing solid tumors. Their cytotoxic activity in the National Cancer Institute's in vitro cancer cell line panel is reported. In general, substitution of various alkyl, Ph, or benzyl moieties did not improve activity, and compound 5 remains the most active naphth[2,3-d]imidazole-4,9-dione derivative. However, high levels of activity and selectivity were found with several related 2-(acylamino)-3-chloro-1,4-naphthoquinones (2f-j). Compound 2i, 2-[(2-fluorophenyl)acetamido]-3-chloro-1,4-naphthoquinone, has been selected for further in vivo testing and as an addnl. lead compound for further structural modification.  
 IT 175090-34-3P 175090-35-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

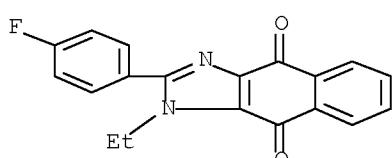
study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of naphth[2,3-d]imidazolediones and related compds. as neoplasm inhibitors)

IT 175090-34-3P 175090-35-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of naphth[2,3-d]imidazolediones and related compds. as neoplasm inhibitors)

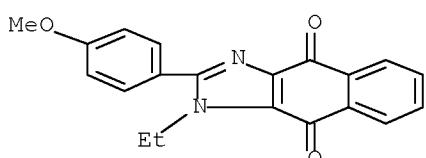
RN 175090-34-3 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 1-ethyl-2-(4-fluorophenyl)- (CA INDEX NAME)



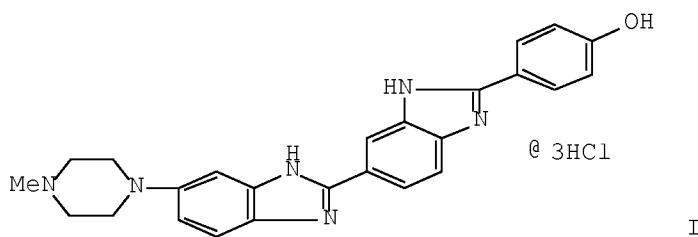
RN 175090-35-4 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 1-ethyl-2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L5 ANSWER 5 OF 8 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1991:484811 HCPLUS Full-text  
 DOCUMENT NUMBER: 115:84811  
 ORIGINAL REFERENCE NO.: 115:14382h,14383a  
 TITLE: A prototype bioreductive DNA groove binding ligand  
 AUTHOR(S): Haworth, I. S.; Burt, C.; Gago, F.; Reynolds, C. A.;  
 Richards, W. G.  
 CORPORATE SOURCE: Phys. Chem. Lab., Univ. Oxford, Oxford, OX1 3QZ, UK  
 SOURCE: Anti-Cancer Drug Design (1991), 6(1), 59-70  
 CODEN: ACDDEA; ISSN: 0266-9536  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 06 Sep 1991  
 GI



AB Mol. mechanics calcns. have been used to evaluate the potential bioreductive behavior of several DNA minor groove binding ligands containing quinone/hydroquinone redox systems. The proposed structures are analogs of the Hoechst 33258 (I) with modifications of the benzimidazole rings. Binding energies of simple analogs indicate the reduced forms bind more strongly to the DNA minor groove. N-Methylation of the imidazole ring(s) produces structures which can form extended quinone methides. These also show stronger binding in the reduced form and it is speculated that such structures might provide a basis for the design of groove binding ligands which will act as bioreductive alkylating agents.

IT 135497-74-4 135497-75-5 135497-78-8  
 135497-79-9 135497-80-2 135520-43-3  
 135520-45-5 135520-46-6

RL: PROC (Process)

(DNA groove binding of, structure in relation to)

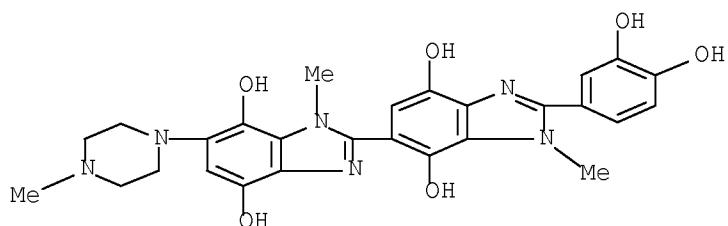
IT 135497-74-4 135497-75-5 135497-78-8  
 135497-79-9 135497-80-2 135520-43-3  
 135520-45-5 135520-46-6

RL: PROC (Process)

(DNA groove binding of, structure in relation to)

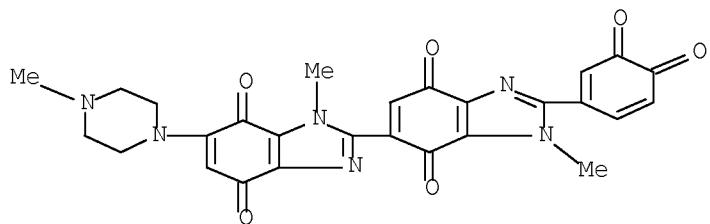
RN 135497-74-4 HCAPLUS

CN [2,6'-Bi-1H-benzimidazole]-4,4',7,7'-tetrol,  
 2'-(3,4-dihydroxyphenyl)-1,1'-dimethyl-6-(4-methyl-1-piperazinyl)- (9CI)  
 (CA INDEX NAME)

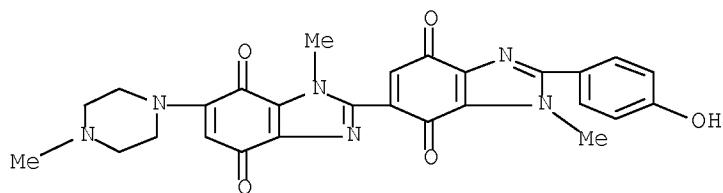


RN 135497-75-5 HCAPLUS

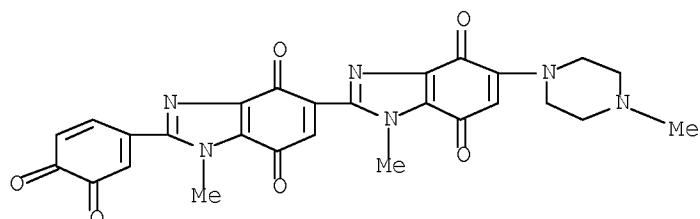
CN [2,6'-Bi-1H-benzimidazole]-4,4',7,7'-tetrone,  
 2'-(3,4-dioxo-1,5-cyclohexadien-1-yl)-1,1'-dimethyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



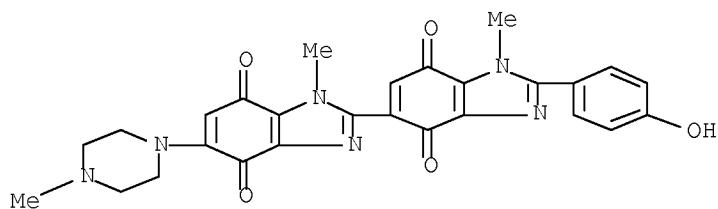
RN 135497-78-8 HCAPLUS  
 CN [2,6'-Bi-1H-benzimidazole]-4,4',7,7'-tetrone,  
 2'-(4-hydroxyphenyl)-1,1'-dimethyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA  
 INDEX NAME)



RN 135497-79-9 HCAPLUS  
 CN [2,5'-Bi-1H-benzimidazole]-4,4',7,7'-tetrone,  
 2'-(3,4-dioxo-1,5-cyclohexadien-1-yl)-1,1'-dimethyl-5-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

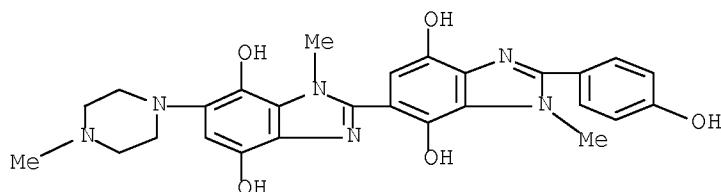


RN 135497-80-2 HCAPLUS  
 CN [2,5'-Bi-1H-benzimidazole]-4,4',7,7'-tetrone,  
 2'-(4-hydroxyphenyl)-1,1'-dimethyl-5-(4-methyl-1-piperazinyl)- (CA INDEX  
 NAME)



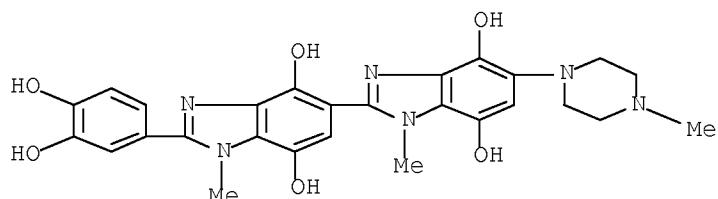
RN 135520-43-3 HCAPLUS

CN [2,6'-Bi-1H-benzimidazole]-4,4',7,7'-tetrol,  
2'-(4-hydroxyphenyl)-1,1'-dimethyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA  
INDEX NAME)



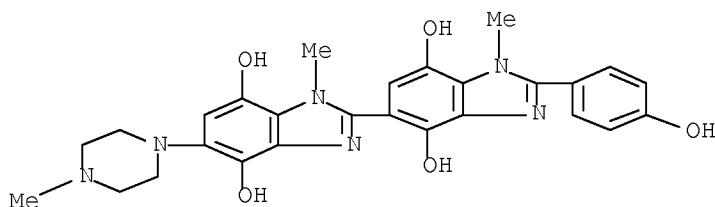
RN 135520-45-5 HCAPLUS

CN [2,5'-Bi-1H-benzimidazole]-4,4',7,7'-tetrol,  
2'-(3,4-dihydroxyphenyl)-1,1'-dimethyl-5-(4-methyl-1-piperazinyl)- (CA  
INDEX NAME)



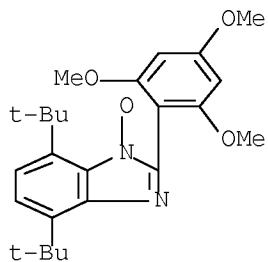
RN 135520-46-6 HCAPLUS

CN [2,5'-Bi-1H-benzimidazole]-4,4',7,7'-tetrol,  
2'-(4-hydroxyphenyl)-1,1'-dimethyl-5-(4-methyl-1-piperazinyl)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L5 ANSWER 6 OF 8 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1975:547458 HCPLUS [Full-text](#)  
 DOCUMENT NUMBER: 83:147458  
 ORIGINAL REFERENCE NO.: 83:23167a, 23170a  
 TITLE: Aminyl oxides(nitroxides). XX. Formation of aminyl oxides in the reaction of nitrile oxides with hydroxylamines  
 AUTHOR(S): Aurich, Hans G.; Stork, Karl  
 CORPORATE SOURCE: Fachbereich Chem., Univ. Marburg, Marburg, Fed. Rep. Ger.  
 SOURCE: Chemische Berichte (1975), 108(8), 2764-80  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 ED Entered STN: 12 May 1984  
 GI For diagram(s), see printed CA Issue.  
 AB Nitrile oxides R<sub>2</sub>CNO [R<sub>2</sub> = 2,4,6-R<sub>5</sub>C<sub>6</sub>H<sub>2</sub> (R<sub>5</sub> = H, MeO, Me), Me, iso-Pr, tert-Bu] reacted with hydroxylamines R<sub>1</sub>NHOH [R<sub>1</sub> = H, Me, iso-Pr, tert-Bu, Ph, 4-Me<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 3,5-(Me<sub>3</sub>C)2C<sub>6</sub>H<sub>3</sub>, 2,5-(Me<sub>3</sub>C)2C<sub>6</sub>H<sub>3</sub>] to give amidinyl oxides R<sub>1</sub>N•(O)CR<sub>2</sub>:NOH. When Me<sub>2</sub>CHNHOH was used, only Me<sub>2</sub>CHN•(O)C[C<sub>6</sub>H<sub>2</sub>(OMe)3-2,4,6] was detected; in other cases, oxidative ring closure gave secondary radicals I (R<sub>3</sub> = R<sub>4</sub> = Me). I were also formed directly from R<sub>2</sub>CNO and R<sub>3</sub>R<sub>4</sub>C:NOH. Primary radicals R<sub>1</sub>N•(O)CR<sub>2</sub>:NOH [R<sub>1</sub> = Ph, 4-Me<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 3,5-(Me<sub>3</sub>C)2C<sub>6</sub>H<sub>3</sub>, formed from the corresponding R<sub>1</sub>NHOH, were cyclized to secondary radicals II [R<sub>2</sub> = Me, Ph, 2,4,6-(MeO)3C<sub>6</sub>H<sub>2</sub>; R<sub>5</sub> = H, 5-Me<sub>3</sub>C, 4,6-(Me<sub>3</sub>C)2] or III (R<sub>2</sub> = CHMe<sub>2</sub>, CMe<sub>3</sub>). R<sub>2</sub>CNO acted as oxidizing agent in each case. With amines R<sub>1</sub>NH<sub>2</sub> (R<sub>1</sub> as above, but ≠ H, Me) R<sub>2</sub>CNO gave amidoximes R<sub>1</sub>NHCR<sub>2</sub>:NOH but no radicals. Oxidation of R<sub>1</sub>NHCR<sub>2</sub>:NOH [R<sub>1</sub> = Ph, 3,5-, 2,5-(Me<sub>3</sub>C)2C<sub>6</sub>H<sub>2</sub>] gave benzimidazole oxides IV.  
 IT 56754-86-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and ESR of)  
 IT 56754-86-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and ESR of)  
 RN 56754-86-0 HCPLUS  
 CN 1H-Benzimidazol-1-yloxy, 4,7-bis(1,1-dimethylethyl)-2-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



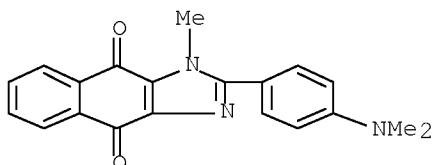
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

L5 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1968:8764 HCAPLUS Full-text  
DOCUMENT NUMBER: 68:8764  
ORIGINAL REFERENCE NO.: 68:1663a  
TITLE: Polarographic study of ring-substituted naphtho[2,3-d]imidazole-4,9-diones and their quaternary salts  
AUTHOR(S): Kuznetsov, V. S.; Sobina, N. A.; Kheifets, L. Ya.; Efros, L. S.  
CORPORATE SOURCE: Leningr. Tekhnol. Inst. im. Lensoveta, Leningrad, USSR  
SOURCE: Zhurnal Obshchey Khimii (1967), 37(8), 1802-9  
CODEN: ZOKHA4; ISSN: 0044-460X  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
ED Entered STN: 12 May 1984  
AB Polarographic half-wave potentials were tabulated for 42 substituted naphtho-[2,3-d]imidazole-4,9-diones containing the following 2-substituents: Me, Ph, Cl, CF<sub>3</sub>, NMe<sub>2</sub>, p-C<sub>6</sub>H<sub>4</sub>NMe<sub>2</sub>, and anthraquinonyl; 1-Me analogs with the following 2-substituents: Me, Ph, Cl, CF<sub>3</sub>, OMe, OPh, NH<sub>2</sub>, NHMe, NMe<sub>2</sub>, p-C<sub>6</sub>H<sub>4</sub>NMe<sub>2</sub>, NHPh, OH, and anthraquinonyl; similar substances with 1-phenyl substituent, and 1,3-dimethyl or 1,3-diphenyl-4,9-dihydro-4,9-dioxonaphthimidazolium salts with indicated 2-substituents and 2-Me analogs with the above substituents in the 1-position, and 1,3-disubstituted 2-methyl-4,9-dihydro-4,9-dioxoanaphtho[2,3-d]-imidazolium salts (HCl) with Me, Et, iso-Pr, and Ph substituents. Generally, the 1,2-disubstituted diones above had polarographic characteristics similar to those of anthraquinone analogs; those without a substituent in the 1-position were reduced on Hg in much more complex series of reactions than those with such substituents. The uncharged imidazole ring in those diones transmits to the quinoidal ring mainly the inductive effects of substituents, while a neg. charged imidazole ring transmits both the inductive and the conjugation effects. Alkyl or Ph substituents in the above diones at the nuclear N atoms had little effect on polarography of the diones. The half-wave potential for reduction of anions of the above diones rose with increasing electron donor capability of the substituents. Heating 1,2,4,9-tetrahydronaphtho[2,3-d]imidazole-2,4,9-trione in POCl<sub>3</sub> in a stream of HCl 6 hrs. gave after evaporation and treatment with ice 70% 2-chloronaphtho[2,3-d]imidazole-4,9-dione, decomposing 267-9°. This heated with Me<sub>2</sub>NH in Me<sub>2</sub>NCHO 15 hrs. gave after heating 1 hr. with added NaOH and followed by neutralization, 60% 2-dimethylamino analog, decomposing 325-8°.  
IT 15030-16-7 15030-24-7  
RL: PROC (Process)  
(polarography of)

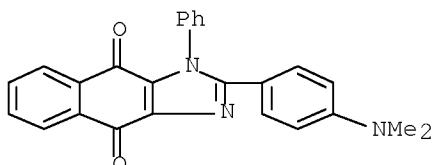
IT 15030-16-7 15030-24-7

RL: PROC (Process)  
(polarography of)

RN 15030-16-7 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 2-[4-(dimethylamino)phenyl]-1-methyl-  
(CA INDEX NAME)

RN 15030-24-7 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 2-[4-(dimethylamino)phenyl]-1-phenyl-  
(CA INDEX NAME)

L5 ANSWER 8 OF 8 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1967:403069 HCPLUS Full-text

DOCUMENT NUMBER: 67:3069

ORIGINAL REFERENCE NO.: 67:587a,590a

TITLE: Heterocyclic derivatives of substituted  
1,4-naphthoquinones. VI. Derivatives of  
naphtho[2,3-d]imidazole-4,9-dione

AUTHOR(S): Kuznetsov, V. S.; Efros, L. S.

CORPORATE SOURCE: Lensovet Inst. Tekhnol., Leningrad, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(2),  
393-402

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB cf. preceding abstract A mixture of 5.64 g. 2,3-diamino-1,4-naphthoquinone  
(I), 5 ml. ClCO<sub>2</sub>Me, and 30 ml. pyridine was kept 1 hr. at room temperature.  
Addition of 10 ml. dioxane precipitated the product, which was crystallized  
from 1:1 dioxane-alc. to yield 55% II (R<sub>1</sub> = R<sub>3</sub> = H, R<sub>2</sub> = CO<sub>2</sub>Me), m. 238-9°.  
This compound boiled in alc.-NaOH gave 90% 1,2,4,9-tetrahydronaphth[2,3-d]imidazole-2,4,9-trione, m. 361-3°. Condensation of I with p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>COCl  
gave 50% II (R<sub>1</sub> = R<sub>3</sub> = H, R<sub>2</sub> = p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CO), m. 205-6° (benzene). Boiling  
1.6 g. of this compound in 50 ml. alc. containing 1.2 g. KOH gave after  
acidification and filtration 95% III (R<sub>1</sub> = H, R<sub>2</sub> = p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CO), m. >300°

(HCONMe<sub>2</sub>). Action of cold NaNO<sub>2</sub> on 2-methylamino-3-chloro-1,4-naphthoquinone gave 2-(N-nitroso-N-methylamino) derivative, which without isolation was converted with MeNH<sub>2</sub> to 2-methylamino-3-(N-nitroso-N-methylamino)-1,4-naphthoquinone, m. 166-9° (alc.). Reduction of the latter with Zn powder in alc. HCl gave II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = H), m. 110-12° (heptane). Heating 10 min. 0.02 mole II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = H) with 3 equivs. BzCl in a benzene-pyridine mixture gave 80% II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = Bz), m. 183-4° (alc.). Similar procedures gave other II which then were cyclized to III, [compound, % yield, m.p. (solvent), m.p. of HCl (a) and (or) perchlorate (b) salt given]: II (R<sub>1</sub> = Me, R<sub>2</sub> = H, R<sub>3</sub> = Bz), 70, 247-8° (benzene), -; II (R<sub>1</sub> = Me, R<sub>2</sub> = H, R<sub>3</sub> = CF<sub>3</sub>), 60, -, -; II (R<sub>1</sub> = Ph, R<sub>2</sub> = H, R<sub>3</sub> = Bz), 65, 190-1° (benzene-ligroine), -; II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = CF<sub>3</sub>), 65, 195-7° (alc.-xylene), -; II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = OMe), 70, 196-7° (alc.-H<sub>2</sub>O, -; II (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CF<sub>3</sub>), 85, 197-8° (benzene-ligroine), -; III (R<sub>1</sub> = Me, R<sub>2</sub> = Ph), 70, 194-5° (alc.), 194-6° (a) (iso-PrOH); III (R<sub>1</sub> = Me, R<sub>2</sub> = CF<sub>3</sub>), 75, 170-1° (benzene-ligroine), 304-7° (b); III (R<sub>1</sub> = Me, R<sub>2</sub> = p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>), 25, 246-7° (HCONMe<sub>2</sub>), -; III (R<sub>1</sub> = Ph, R<sub>2</sub> = H), 70, 239° (xylene), 338-40° (b) (H<sub>2</sub>O-HCONMe<sub>2</sub>); III (R<sub>1</sub> = R<sub>2</sub> = Ph), 100, 238-9° (benzene-ligroine), >400° (a,b) (H<sub>2</sub>O, HCONMe<sub>2</sub>); III (R<sub>1</sub> = Ph, R<sub>2</sub> = CF<sub>3</sub>), 100, 238-9° (alc.-benzene), 338-42° (a) (alc.-ether), 350-2° (b); III (R<sub>1</sub> = Ph, R<sub>2</sub> = p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>), 35, 310-13° (benzene-AcOH), 280-90° (a), 234-7° (b); III (R<sub>1</sub> = R<sub>2</sub> = Me), -, -, 305-8° (b) (H<sub>2</sub>O); III (R<sub>1</sub> = Et, R<sub>2</sub> = Me), -, -, 262-5° (b) (H<sub>2</sub>O); III (R<sub>1</sub> = iso-Pr, R<sub>2</sub> = Me), -, -, 258-62° (b) (H<sub>2</sub>O). A solution of 0.64 g. IV in 50 ml. 1% NaOH was stirred 30 min. at 90° with 2 ml. Me<sub>2</sub>SO<sub>4</sub>, then 3 hrs. at 90° with 2 ml. addnl. Me<sub>2</sub>SO<sub>4</sub>. The product was filtered, washed with water, and recrystd. from aqueous HCONMe<sub>2</sub> to give 80% 1,2,4,9-tetrahydro-1,3-dimethylnaphth[2,3-d]imidazole-2,4,9-trione, m. 228-9°.

IT 15030-16-7P 15030-24-7P

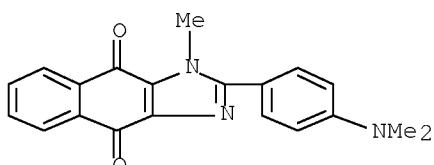
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 15030-16-7P 15030-24-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

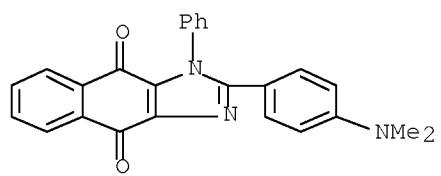
RN 15030-16-7 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 2-[4-(dimethylamino)phenyl]-1-methyl-  
(CA INDEX NAME)



RN 15030-24-7 HCPLUS

CN 1H-Naphth[2,3-d]imidazole-4,9-dione, 2-[4-(dimethylamino)phenyl]-1-phenyl-  
(CA INDEX NAME)



Inventor search history

=> d his L11

(FILE 'HCAPLUS' ENTERED AT 12:58:34 ON 20 JAN 2010)  
 L11 38 S L8-L10

=> d que L22  
 L22 NOT FOUND

The L-number has not been used in the current session or has been deleted.

=> d que L11

L6	100 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON GERSPACHER M?/AU
L7	98 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WEILER S?/AU
L8	2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6 AND L7
L9	36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L6 OR L7) AND NOVARTIS?/CO,CS,PA,SO
L10	10 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L6 OR L7) AND (BENZIMIDAZ? OR IMIDAZOL?)
L11	38 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L8 OR L9 OR L10)

=> d his L15

(FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:05:35 ON 20 JAN 2010)  
 L15 50 S L12-L14

FILE 'HCAPLUS' ENTERED AT 13:07:06 ON 20 JAN 2010

FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:07:16 ON 20 JAN 2010

=> d que L15

L6	100 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON GERSPACHER M?/AU
L7	98 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WEILER S?/AU
L8	2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6 AND L7
L9	36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L6 OR L7) AND NOVARTIS?/CO,CS,PA,SO
L10	10 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L6 OR L7) AND (BENZIMIDAZ? OR IMIDAZOL?)
L12	0 SEA L8
L13	47 SEA L9
L14	3 SEA L10
L15	50 SEA (L12 OR L13 OR L14)

=> dup rem L11 L15

FILE 'HCAPLUS' ENTERED AT 13:07:58 ON 20 JAN 2010  
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PROCESSING COMPLETED FOR L11

PROCESSING COMPLETED FOR L15

L16 48 DUP REM L11 L15 (40 DUPLICATES REMOVED)

ANSWERS '1-38' FROM FILE HCPLUS

ANSWER '39' FROM FILE MEDLINE

ANSWERS '40-47' FROM FILE BIOSIS

ANSWER '48' FROM FILE DRUGU

**Inventor search results**

=> d L16 1-48 ibib ab

L16 ANSWER 1 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:344572 HCPLUS Full-text

DOCUMENT NUMBER: 146:414114

TITLE: The 7 TM G-protein-coupled receptor target family

AUTHOR(S): Jacoby, Edgar; Bouhelal, Rochdi; Gerspacher, Marc; Seuwen, Klaus

CORPORATE SOURCE: Novartis Institutes for Biomedical Research, Basel, 4002, Switz.

SOURCE: ChemMedChem (2006), 1(8), 760-782  
CODEN: CHEMGX; ISSN: 1860-7179

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Chemical biol. approaches have a long history in the exploration of the G-protein-coupled receptor (GPCR) family, which represents the largest and most important group of targets for therapeutics. The anal. of the human genome revealed a significant number of new members with unknown physiol. function which are today the focus of many reverse pharmacol. drug-discovery programs. As the seven hydrophobic transmembrane segments are a defining common structural feature of these receptors, and as signaling through heterotrimeric G proteins is not demonstrated in all cases, these proteins are also referred to as seven transmembrane (7 TM) or serpentine receptors. This review summarizes important historic milestones of GPCR research, from the beginning, when pharmacol. was mainly descriptive, to the age of modern mol. biol., with the cloning of the first receptor and now the availability of the entire human GPCR repertoire at the sequence and protein level. It shows how GPCR-directed drug discovery was initially based on the careful testing of a few specifically made chemical compds. and is today pursued with modern drug-discovery approaches, including combinatorial library design, structural biol., mol. informatics, and advanced screening technologies for the identification of new compds. that activate or inhibit GPCRs specifically. Such compds., in conjunction with other new technologies, allow us to study the role of receptors in physiol. and medicine, and will hopefully result in novel therapies. We also outline how basic research on the signaling and regulatory mechanisms of GPCRs is advancing, leading to the discovery of new GPCR-interacting proteins and thus opening new perspectives for drug development. Practical examples from GPCR expression studies, HTS (high-throughput screening), and the design of monoamine-related GPCR-focused combinatorial libraries illustrate ongoing GPCR chemical biol. research. Finally, we outline future progress that may relate today's discoveries to the development of new medicines. OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 126 THERE ARE 126 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:964172 HCPLUS Full-text

DOCUMENT NUMBER: 143:472245

TITLE: Selective and combined neurokinin receptor antagonists

AUTHOR(S): Gerspacher, Marc

CORPORATE SOURCE: Novartis Institutes for Biomedical Research  
Basel, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Progress in Medicinal Chemistry (2005), 43, 49-103

CODEN: PMDCAY; ISSN: 0079-6468

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review discusses the potential clin. usefulness of neurokinin/tachykinin antagonists. Neurokinins also known as tachykinins are a family of small peptides with a common C-terminal sequence that consists of five amino acids Phe-X-Gly-Leu-Met-NH<sub>2</sub>, where X represents a variable amino acid. OS.CITING REF COUNT: 4  
THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 156 THERE ARE 156 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:346241 HCAPLUS Full-text

DOCUMENT NUMBER: 141:81689

TITLE: Discovery and SAR of potent, orally available and brain-penetrable 5,6-dihydro-4H-3-thia-1-aza-benzo[e]azulen- and 4,5-dihydro-6-oxa-3-thia-1-aza-benzo[e]azulen derivatives as neuropeptide Y Y5 receptor antagonists

AUTHOR(S): Rueeger, Heinrich; Gerspacher, Marc; Buehlmayer, Peter; Rigollier, Pascal; Yamaguchi, Yasuchika; Schmidlin, Tibur; Whitebread, Steven; Nuesslein-Hildesheim, Barbara; Nick, Hanspeter; Criscione, Leoluca

CORPORATE SOURCE: Novartis Institutes for Biomedical Research Basel, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(10), 2451-2457

CODEN: BMCL8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:81689

AB Combination of structural elements from a potent Y5 antagonist with thiazole fragments that exhibit weak Y5 affinities followed by lead optimization led to the discovery of (5,6-dihydro-4H-3-thia-1-aza-benzo[e]azulen-2-yl)-piperidin-4-ylmethyl-amino and (4,5-dihydro-6-oxa-3-thia-1-aza-benzo[e]azulen-2-yl)-piperidin-4-ylmethyl-amino derivs. Both classes of compds. are capable of delivering potent and selective orally and centrally bioavailable NPY Y5 receptor antagonists.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2005:314377 HCAPLUS Full-text

DOCUMENT NUMBER: 143:38177

TITLE: The airways pharmacology of DNK333, a potent, selective, non-peptide dual NK1/NK2 receptor antagonist

AUTHOR(S): Lewis, C. A.; El-Hashim, A. Z.; Gerspacher, M.; Hoshiko, K.; Mazzoni, L.; Pfannkuche, H.-J.; Subramanian, N.; Fozard, J. R.

CORPORATE SOURCE: Novartis Horsham Research Centre, Horsham, RH12 SAB, UK

SOURCE: Drug Development Research (2004), 63(4), 161-173

CODEN: DDREDK; ISSN: 0272-4391

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English  
 AB The airways' pharmacol. of DNK333 ((1R,3R,2E)-N-[3,4-dichlorobenzyl]-4-[hexahydro-2-oxo-1H-azepin-3-yl]amino]-N-methyl-3,5bis(trifluoromethyl)benzamide), a potent and selective dual NK1/NK2 neurokinin receptor antagonist is described in the present paper. DNK333 bound with high and similar affinities to human NK1 and NK2 receptors. In guinea-pig isolated trachea, DNK333 induced concentration-dependent blockade of the contractile responses to NK1- or NK2-receptor agonists. In anesthetized guinea-pigs, DNK333 shifted the bronchoconstrictor dose-response curves induced by NK1- and NK2-receptor agonists by 21.8- (3 mg/kg) and 6.8-fold (10 mg/kg), resp. At 10 mg/kg, a 12-h duration of action was observed. Nasal perfusion of substance P and capsaicin to anesthetized guinea-pigs induced extravasation, which was inhibited dose-dependently by DNK333 (ED50 values 72 and 70 µg/kg, resp.). Exposure of conscious guinea-pigs to 0.6 M citric acid resulted in cough and an increase in enhanced pause of respiratory function (Penh). Oral administration of DNK333 (0.3, 3, or 10 mg/kg, -2 h) inhibited cough by 62, 63, and 82%, resp. and the increase in Penh by 85.5 and 77.2% (3 and 10 mg/kg, resp.). Bronchoconstrictor responses in anesthetized guinea-pigs to i.v. injections of methacholine and substance P were increased 60 min after LPS challenge (1 mg/kg, i.v.). DNK333 (1 and 10 mg/kg) dosed intraduodenally 30 min prior to LPS challenge inhibited airway hyperreactivity in a dose-dependent manner. In conclusion, DNK333 is a potent, orally bioavailable, dual NK1/NK2 receptor antagonist with a long duration of action. It inhibits bronchoconstriction, extravasation, cough, and airway hyperreactivity induced by endogenous release of neuropeptides, which renders DNK333 suitable for exploring the role of tachykinins in respiratory disease. OS.CITING REF COUNT: 3

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2003:487521 HCAPLUS Full-text

DOCUMENT NUMBER: 139:197355

TITLE: Stereoselective Preparation of N-[(R,R)-(E)-1-(3,4-dichlorobenzyl)-3-(2-oxoazepan-3-yl)carbamoyl]allyl-N-methyl-3,5-bis(trifluoromethyl)benzamide, a Potent and Orally Active Dual Neurokinin NK1/NK2 Receptor Antagonist  
 Gerspacher, Marc; Lewis, Christine; Ball, Howard A.; Howes, Colin; Subramanian, Natarajan; Ryffel, Karin; Fozard, John R.

AUTHOR(S):  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Journal of Medicinal Chemistry (2003), 46(16), 3508-3513

CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:197355

AB In a program aimed at the development of neurokinin antagonists, N-[(R,R)-(E)-1-(3,4-dichlorobenzyl)-3-(2-oxoazepan-3-yl)carbamoyl]allyl-N-methyl-3,5-bis(trifluoromethyl)benzamide (I, DNK333) has been discovered as a potent and balanced neurokinin (tachykinin) NK1/NK2 receptor antagonist. Enantiomerically pure (>99.5% ee) I can be prepared in 6 + 1 synthetic steps starting from com. available optically active BOC-D-3,4-dichlorophenylalanine in an overall yield of ca. 25-30%. I showed potent affinities to cloned human NK1 ( $pKi = 8.38$ ) and NK2 ( $pKi = 8.02$ ) receptors. When I was compared to the other possible three diastereoisomers, it could be demonstrated that only the R,R-isomer I exhibits potent and balanced affinity for the cloned human NK1 and NK2 receptors. I

exhibited favorable pharmacokinetic properties in guinea pigs following oral administration and demonstrated in vivo activity in pharmacol. models of substance P- and neurokinin A (NKA)-induced bronchoconstriction in guinea pigs after i.v. and in squirrel monkeys after oral application.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 6  
ACCESSION NUMBER: 2002:543709 HCAPLUS Full-text  
DOCUMENT NUMBER: 138:89562  
TITLE: Biphenyl derivatives as novel dual NK1/NK2-receptor antagonists  
AUTHOR(S): Mah, Robert; Gerspacher, Marc; von Sprecher, Andreas; Stutz, Stefan; Tschinke, Vincenzo; Anderson, Gary P.; Bertrand, Claude; Subramanian, Natarajan; Ball, Howard A.

CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(16), 2065-2068  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:89562

AB In a continuation of our efforts to simplify the structure of our neurokinin antagonists, a series of substituted biphenyl derivs. has been prepared. Several compds. exhibit potent affinities for both the NK1 receptor (<10 nM) and for the NK2 receptor (<50 nM). Details on the design, synthesis, biol. activities, SAR and conformational anal. of this new class of dual NK1/NK2 receptor antagonists are presented. OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(9 CITINGS)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2002:190258 HCAPLUS Full-text  
TITLE: Design and synthesis of dual neurokinin (NK1/ NK2) receptor antagonists for the treatment of airway diseases: The discovery of DNK333

AUTHOR(S): Gerspacher, Marc

CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Abstracts of Papers, 223rd ACS National Meeting, Orlando, FL, United States, April 7-11, 2002 (2002), MEDI-139. American Chemical Society: Washington, D. C.

CODEN: 69CKQP

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB For many years, the synthesis of dual neurokinin (NK1/ NK2) antagonists has been an important research goal for a large number of pharmaceutical companies. There is ample evidence that neurokinins play an important role in airway disease induction and progression via the activation of NK1 and NK2 receptors. After several years of research aimed at selective NK1 antagonists, we at Novartis entered the dual NK1/NK2 antagonist area with the identification of a series of new N-[1-(4-chlorobenzyl)-3-carbamoyl]allyl-N-

methyl-benzamides as potent NK1 antagonists exhibiting addnl. NK2 affinities. Intense drug discovery efforts in this series of compds. led to the discovery of the potent and balanced orally active and long acting dual NK1/NK2 antagonist N-[(R,R)-(E)-1-(3,4-dichlorobenzyl)-3-(2-oxo-azepan-3-yl)carbamoyl]allyl-N- methyl-3,5-bis(trifluoromethyl)benzamide (DNK333, receptor binding affinity to hrNK1: pKi, 7.9 and hrNK2: pKi, 8.02). In this presentation the design, synthesis and pharmacol. properties of these structurally novel dual neurokinin (NK1/ NK2) antagonists will be disclosed.

L16 ANSWER 8 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 8  
 ACCESSION NUMBER: 2001:872208 HCAPLUS Full-text  
 DOCUMENT NUMBER: 136:177473  
 TITLE: Dual neurokinin NK1/NK2 antagonists:  
 N-[(R,R)-(E)-1-arylmethyl-3-(2-oxo-azepan-3-yl)carbamoyl]allyl-N-methyl-3,5-bis(trifluoromethyl)benzamides and  
 3-[N'-3,5-bis(trifluoromethyl)benzoyl-N-arylmethyl-N'-methylhydrazino]-N-[(R)-2-oxo-azepan-3-yl]propionamides  
 AUTHOR(S): Gerspacher, Marc; La Vecchia, Luigi; Mah, Robert; von Sprecher, Andreas; Anderson, Gary P.; Subramanian, Natarajan; Hauser, Kathleen; Bammerlin, Heinrich; Kimmel, Sabine; Pawelzik, Viviane; Ryffel, Karin; Ball, Howard A.  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel, CH-4002, Switz.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 3081-3084  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:177473  
 AB Based on the structure of N-[(R,R)-(E)-1-(4-chlorobenzyl)-3-(2-oxoazepan-3-yl)carbamoyl]allyl-N-methyl-3,5-bis(trifluoromethyl)benzamide (I), attempts to improve the NK2 affinity have resulted in the discovery of N-[(R,R)-(E)-1-(3,4-dichlorobenzyl)-3-(2-oxoazepan-3-yl)carbamoyl]allyl-N- methyl-3,5-bis(trifluoromethyl)benzamide (DNK333) exhibiting a 5-fold improved affinity to the NK2 receptor in comparison to I. Simplification of the structure via elimination of a chiral center led to 3-[N'-3,5-bis(trifluoromethyl)benzoyl-N-(3,4-dichlorobenzyl)-N'- methylhydrazino]-N-[(R)-2-oxo-azepan-3-yl]propionamide, a potent and fairly balanced NK1/NK2 antagonist. OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS  
 RECORD (18 CITINGS)  
 REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 9  
 ACCESSION NUMBER: 2000:458496 HCAPLUS Full-text  
 DOCUMENT NUMBER: 133:222570  
 TITLE: N-[(R,R)-(E)-1-(4-Chlorobenzyl)-3-(2-oxoazepan-3-yl)carbamoyl]allyl]-N-methyl-3,5-bis(trifluoromethyl)benzamide: an orally active neurokinin NK1/NK2 antagonist  
 AUTHOR(S): Gerspacher, Marc; Von Sprecher, Andreas; Mah, Robert; Anderson, Gary P.; Bertrand, Claude; Subramanian, Natarajan; Hauser, Kathleen; Ball, Howard A.  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel,

SOURCE: CH-4002, Switz.  
 Bioorganic & Medicinal Chemistry Letters (2000),  
 10(13), 1467-1470  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The stereoselective synthesis of the title compound (I) and its NK1 and NK2 receptor binding properties are reported. In addition the potent inhibitory effects in vivo on sar9-SP- and  $\beta$ -Ala-NKA-induced airway bronchoconstriction in guinea pigs are demonstrated.

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS

RECORD (12 CITINGS)  
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 10  
 ACCESSION NUMBER: 2000:331888 HCAPLUS Full-text  
 TITLE: 5-Aryl-4-benzoylamino-pent-2-ene-carboxamides as combined NK1- and NK2-antagonists.  
 AUTHOR(S): Gerspacher, Marc; von Sprecher, Andreas;  
 Mah, Robert; Anderson, Gary P.; Bertrand, Claude;  
 Subramanian, Natarajan; Hauser, Kathleen; Ryffel, Karin; Pawelzik, Viviane; Ball, Howard A.  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel,  
 CH-4002, Switz.  
 SOURCE: Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), MEDI-277. American Chemical Society: Washington, D. C.  
 CODEN: 69CLAC

DOCUMENT TYPE: Conference; Meeting Abstract  
 LANGUAGE: English  
 AB There is an emerging evidence that neurokinins play an important role in airway disease induction and progression via the activation of NK1 and NK2 receptors. Therefore neurokinin receptor antagonists, especially dual NK1/NK2 antagonists, may represent an attractive new treatment option for asthma and other airway diseases. From a series of 5-aryl-4-benzoylamino-pent-2-ene-carboxamides (4R)-[N'-Methyl-N'(3,5-bistrifluoromethyl-benzoyl)-amino-5-(4-chlorophenyl)-pent-2-ene-N-[(R)- $\epsilon$ -caprolactam-3-yl]-carboxamide (1) has been identified as a promising NK-receptor antagonist. The stereoselective synthesis and the NK1 and NK2 antagonistic properties of 1 will be presented. 1: IC50=0.5nM (Inh. Of 3H-SP-bind. To bovine retina); IC50=24nM (Inh. Of 125I-NKA-bind. To human NK2 expr. CHO cells).

L16 ANSWER 11 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 11  
 ACCESSION NUMBER: 1999:731707 HCAPLUS Full-text  
 DOCUMENT NUMBER: 132:87545  
 TITLE: Dual neurokinin NK1/NK2 receptor antagonists  
 AUTHOR(S): Gerspacher, Marc; Von Sprecher, Andreas  
 CORPORATE SOURCE: Pharmaceutical Research, Novartis Pharma AG, Basel, CH-4002, Switz.  
 SOURCE: Drugs of the Future (1999), 24(8), 883-892  
 CODEN: DRFUD4; ISSN: 0377-8282  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review, with 69 refs., of currently available dual NK1/NK2 receptor antagonist as potential drug candidates for the treatment of airway disease.

OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS

10/585,480

REFERENCE COUNT: 68 RECORD (23 CITINGS)  
THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 12  
ACCESSION NUMBER: 1998:310436 HCPLUS Full-text  
DOCUMENT NUMBER: 129:54282  
ORIGINAL REFERENCE NO.: 129:11313a,11316a  
TITLE: Synthesis and SAR of a novel, potent and structurally simple LTD4 antagonist of the quinoline class  
AUTHOR(S): Von Sprecher, Andreas; Gerspacher, Marc; Beck, Andreas; Kimmel, Sabine; Wiestner, Hansruedi; Anderson, Gary P.; Niederhauser, Ulrich; Subramanian, Natarajan; Bray, Michael A.  
CORPORATE SOURCE: Research Department, Novartis Pharma AG, Basel, CH-4002, Switz.  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(8), 965-970  
CODEN: BMCL8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The two geminal Et groups in the succinic acid moiety of CGP57698 (4-[3-[(7-fluoro-2-quinolinylmethoxy)phenyl]amino]-2,2-diethyl-4-oxobutanoic acid) are responsible for the high in vitro and in vivo potency of this peptidoleukotriene antagonist of the quinoline type. The synthesis and structure activity relationships of CGP57698 and its analogs are described.  
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)  
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 13 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 13  
ACCESSION NUMBER: 1998:539561 HCPLUS Full-text  
DOCUMENT NUMBER: 129:286033  
ORIGINAL REFERENCE NO.: 129:58161a,58164a  
TITLE: Neurokinin antagonists as potential therapies for inflammation and rheumatoid arthritis  
AUTHOR(S): Von Sprecher, Andreas; Gerspacher, Marc; Anderson, Gary P.  
CORPORATE SOURCE: Novartis Pharma AG, Basel, CH-4002, Switz.  
SOURCE: IDrugs (1998), 1(1), 73-91  
CODEN: IDRUFN; ISSN: 1369-7056  
PUBLISHER: Current Drugs Ltd.  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
AB A review, with .apprx.60 refs. focusing on the clin. potential of neurokinin antagonists in inflammatory diseases, especially rheumatoid arthritis. Rheumatoid arthritis.  
OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)  
REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 14  
ACCESSION NUMBER: 1998:375500 HCPLUS Full-text  
DOCUMENT NUMBER: 129:144825  
ORIGINAL REFERENCE NO.: 129:29411a,29414a  
TITLE: CGP57698: a structurally simple, highly potent

AUTHOR(S): peptido-leukotriene (PLT) antagonist of the quinoline type  
 Von Sprecher, Andreas; Gerspacher, Marc;  
 Beck, Andreas; Anderson, Gary P.; Niederhauser,  
 Ulrich; Subramanian, Natarajan; Ball, Howard A.;  
 Gentsch, Conrad; Vassout, Annick; Felner, Aina;  
 Bittiger, Helmut; Hauser, Kathleen; Giese, Karl;  
 Kraetz, Joseph; Bray, Michael A.  
 CORPORATE SOURCE: Novartis Pharma AG, Basel, CH-4002, Switz.  
 SOURCE: Advances in Experimental Medicine and Biology (1997),  
 433(Recent Advances in Prostaglandin, Thromboxane, and  
 Leukotriene Research), 169-172  
 CODEN: AEMBAP; ISSN: 0065-2598  
 PUBLISHER: Plenum Publishing Corp.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Data on the safety and pharmacol. of CGP57698 are presented.  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 15 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 15  
 ACCESSION NUMBER: 1988:469679 HCPLUS Full-text  
 DOCUMENT NUMBER: 109:69679  
 ORIGINAL REFERENCE NO.: 109:11609a,11612a  
 TITLE: The preparation of poly (dT)-5'-transferrin conjugates  
 and hybridization studies with poly (dA)-tailed  
 linearized pBR322 plasmid DNA  
 AUTHOR(S): Weiler, Solly; Ariatti, Mario; Hawtrey,  
 Arthur O.  
 CORPORATE SOURCE: Dep. Biochem., Univ. Durban, Durban, 4000, S. Afr.  
 SOURCE: Biochemical Pharmacology (1988), 37(12), 2405-10  
 CODEN: BCPCA6; ISSN: 0006-2952  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The formation of transferrin-DNA complexes intended for ligand-directed  
 transfection studies has been achieved through a hybridization technique  
 involving complementary homodeoxypolynucleotide chains attached to the  
 participating protein and DNA species. Oligothymidylate residues (pT)<sub>n</sub>  
 obtained by dicyclohexylcarbodiimide (CDI) polymerization of thymidine-5'-  
 monophosphate (5'-TMP) were activated to the 5'-imidazolides which on  
 incubation with transferrin yielded the 5'-linked phosphoramidates (pT)<sub>n</sub>-5'-  
 transferrin. Homopolymeric chain extension of (pT)<sub>5</sub>-5'-transferrin by  
 terminal transferase and dTTP at 30° for 30 min yielded (pT)<sub>300</sub>-5'-  
 transferrin. Cleavage of the phosphoramidate link in the polymer-modified  
 transferrin at 37° was pronounced after 30 min although at 25° hydrolysis was  
 <5% after 4h. Poly(dT)-5'-transferrin readily hybridized with [<sup>3</sup>H]poly(dA)-  
 tailed PstI-linearized pBR322 DNA. Resultant complexes were demonstrated by  
 nitrocellulose filter binding and immunopptn. with anti-transferrin antibody.  
 In contrast with poly(dT)-5'-transferrin, poly(dT)-5'-transferrin-poly(dA)-  
 tailed pBR322 DNA complexes were stable at 37°, suggesting that annealing is  
 followed by further stabilizing interactions between the DNA and protein  
 components.

L16 ANSWER 16 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2009:976245 HCPLUS Full-text  
 DOCUMENT NUMBER: 151:267078  
 TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as tyrosine  
 kinase, especially JAK kinase, inhibitors  
 INVENTOR(S): Gaul, Christoph; Gerspacher, Marc; Holzer,

PATENT ASSIGNEE(S): Philipp; Pissot Soldermann, Carole  
 Novartis A.-G., Switz.  
 SOURCE: PCT Int. Appl., 315pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009098236	A1	20090813	WO 2009-EP51281	20090204
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20090203688	A1	20090813	US 2009-366218	20090205

PRIORITY APPLN. INFO.: EP 2008-151137 A 20080206

#### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:267078

AB The invention is related to the preparation of pyrrolopyrimidines I [R1 = (un)substituted heterocyclyl, aryl, cycloalkyl; R2, R3, R2a, R3a = independently H, halo, lower alkoxy, haloalkyloxy, etc.; R4 = A1NR6R7; A1 = \*-(CR5R5)n-, \*-(CR5R5)nCO-, \*-(CR5R5)nSO2-, \*-CONR9(CR5R5)n-, wherein the atom marked \* is bonded to the Ph ring; or R4 = (CR5R5)R8, CN, COR5; R5 = independently at each occurrence H, lower alkyl, lower haloalkyl, cycloalkyl, halocycloalkyl or form together with the C to which they are attached a cycloalkyl; NR6R7 = (un)substituted heterocyclyl; or R6 = H, (un)substituted alkyl; R7 = (un)substituted alkyl; R2 and/or R3 are connected to R5 or R7 to form a cyclic moiety fused to the Ph ring to which R2/R3 are attached; R8 = OH, lower alkylsulfinyl, cycloalkylsulfonyl, cycloalkyloxy, etc.; R9 = H, lower alkyl; R10 = H, lower alkyl, lower haloalkyl, cycloalkyl, halocycloalkyl; n = 0-2], their salts and their pharmaceuticals containing them for use in the treatment of one or more protein tyrosine kinase mediated diseases. Thus, coupling of (5-bromo-2-chloropyrimidin-4-yl)amine (preparation given) with tributyl(2-ethoxyethyl)stannane (preparation given), cyclization of [2-chloro-5-(2-ethoxyvinyl)pyrimidin-4-yl]amine, amination of 4-bromophenyl Me sulfone with 2-chloro-7H-pyrrolo[2,3-d]pyrimidine and a second amination of chloropyrrolopyrimidine intermediate gave pyrrolopyrimidine II. I selectively inhibited JAK2 when compared to CMet, cKit, ALK and PDGFR $\alpha$  kinases.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2009:675763 HCPLUS Full-text  
 DOCUMENT NUMBER: 151:8738  
 TITLE: Preparation and biological activity of C2-C5-alkyl-imidazole-bisphosphonates  
 INVENTOR(S): Weiler, Sven; Widler, Leo; Rondeau, Jean-Michel; Cottesta, Simona; Jahnke, Wolfgang  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: PCT Int. Appl., 25pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009068567	A1	20090604	WO 2008-EP66245	20081126
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090143337	A1	20090604	US 2008-323696	20081126
PRIORITY APPLN. INFO.:			EP 2007-122016	A 20071130
OTHER SOURCE(S):	CASREACT 151:8738; MARPAT 151:8738			
AB	C2-C5-Alkyl-substituted [(imidazol-1-yl)-1-hydroxy-1-phosphono-ethyl]-phosphonic acids I, as well as methods or processes for their manufacture, their use in the manufacture of pharmaceutical formulations, their use in the treatment of diseases, methods of using them in the treatment of diseases, pharmaceutical formulations encompassing them and/or the compds. for use in the treatment of diseases, are described. The compds. are able to inhibit excessive or inappropriate bone resorption and for the treatment of other diseases which are caused by excessive prenylation of target proteins, such as Hutchinson-Gilford progeria syndrome. The compds. are I (wherein one of R1 and R2 is hydrogen and the other is C2-C5-alkyl that is branched or unbranched, and can be in free form, in the form of an ester, and/or of a salt).			
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L16 ANSWER 18 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:487279 HCAPLUS Full-text

DOCUMENT NUMBER: 150:472717

TITLE: Preparation of substituted imidazo[1,2-a]pyridines as ALK-5 and/or ALK-4 receptor modulators

INVENTOR(S): Shaw, Duncan; Leblanc, Catherine; Lizos, Dimitrios; Ritchie, Cathy; Furminger, Vikki; Lewis, Sarah; Hornsperger, Benoit; Stiefl, Nikolaus Johannes; Weiler, Sven

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 180pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009050183	A2	20090423	WO 2008-EP63841	20081015

WO 2009050183 A3 20090716  
 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,  
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,  
 FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,  
 KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,  
 ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,  
 PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
 IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: EP 2007-118726 A 20071017  
 EP 2008-151336 A 20080212

OTHER SOURCE(S): MARPAT 150:472717

AB Title compds. I [X = CH and derivs., N; R1 = halo, CN, CO<sub>2</sub>H, (un)substituted aryl, heterocyclyl, alkyl; R2 = H, halo, OH, cyclo/alkyl, (un)substituted 5-6 membered (hetero)aryl, etc.; R3 = H, halo, (un)substituted alkynyl, aryl, heterocyclyl; R20 = H, halo, NH<sub>2</sub> and derivs., OH and derivs.; with provisos], useful for treating diseases mediated by the ALK-5 and/or ALK-4 receptor, were prepared and formulated. Thus, cyclization of (4-chloropyridin-2-yl)amine with chloroacetaldehyde, bromination of 7-chloroimidazo[1,2-a]pyridine, Pd-coupling of the bromide with [3-(1H-pyrazol-1-yl)phenyl]boronic acid and a second Pd-coupling with pyridin-3-ylboronic acid gave 3-[3-(pyrazol-1-yl)phenyl]-7-(pyridin-3-yl)imidazo[1,2-a]pyridine. Some biol. data is given.  
 Pharmaceutical compns. that contain the compds. I and processes for preparing the compds. are also described.

L16 ANSWER 19 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2009:115386 HCPLUS Full-text  
 DOCUMENT NUMBER: 150:168374  
 TITLE: Preparation of substituted imidazopyridazines as ALK-5 and/or ALK-4 receptor modulators  
 INVENTOR(S): Lizos, Dimitros; Weiler, Sven; Stiefl, Nikolaus Johannes  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.  
 SOURCE: PCT Int. Appl., 70pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009013335	A1	20090129	WO 2008-EP59705	20080724
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

EP 2007-113214 A 20070726

OTHER SOURCE(S): CASREACT 150:168374; MARPAT 150:168374

AB The title compds. I [R1 = (un)substituted aryl, heterocyclyl; R2 = (un)substituted aryl, heteroaryl, biaryl, etc.; R5 = H or NH<sub>2</sub>], useful for treating diseases mediated by the ALK-5 and/or ALK-4 receptor, were prepared and formulated. E.g., a multi-step synthesis of II, starting from glyoxylic acid and chloroacetaldehyde, was given. Exemplified compds. I generally have IC<sub>50</sub> values below 1 μM. For example, II have an IC<sub>50</sub> of 0.083 μM. Pharmaceutical compns. that contain the compds. I and processes for preparing the compds. are also described.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1480501 HCPLUS Full-text

DOCUMENT NUMBER: 150:56197

TITLE: Preparation of quinoxalines, particularly heterocyclyl-substituted diarylquinoxalines, as inhibitors of the tyrosine kinase activity of Janus kinases for use in the treatment of immune and proliferative disorders

INVENTOR(S): Gerspacher, Marc; Furet, Pascal; Vangrevelinghe, Eric; Pissot Soldermann, Carole; Gaul, Christoph; Holzer, Philipp

PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: PCT Int. Appl., 177pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008148867	A2	20081211	WO 2008-EP57058	20080606
WO 2008148867	A3	20090409		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2008258486	A1	20081211	AU 2008-258486	20080606
PRIORITY APPLN. INFO.:			EP 2007-109880	A 20070608
			EP 2007-150266	A 20071220
			WO 2008-EP57058	W 20080606

OTHER SOURCE(S): CASREACT 150:56197; MARPAT 150:56197

AB Quinoxalines I [R1 = (un)substituted carbocyclyl, heterocyclyl; R2 = (un)substituted aryl, heteroaryl; R3, R4, R5 = H, organic or inorg. substituent; R6 = H] such as II are prepared as inhibitors of Janus kinases for use in the treatment of proliferative or immune disorders such as tumor diseases (cancer), organ transplant rejection, multiple sclerosis, rheumatoid arthritis, and type 1 diabetes. Condensation of Et glycinate hydrochloride and 1-bromo-3-fluoro-2-nitrobenzene, reduction of the nitro group with Raney nickel and concomitant

cyclocondensation to a dihydroquinoxalinone, oxidation with sodium hydroxide and hydrogen peroxide, conversion of the quinoxalinone to a chloroquinoxaline with phosphoryl chloride, and chemoselective Suzuki coupling of the chloroquinoxaline with 3,4,5-trimethoxyphenylboronic acid provides the bromoquinoxaline III; Suzuki coupling of III with 4-(4-morpholinylcarbonyl)-2-fluorobenzeneboronic acid yields II. The IC<sub>50</sub> values for the inhibition of JAK1, JAK2, JAK3, and TYK2 by selected compds. of the invention are determined; for example, II inhibits JAK2 and JAK3 with IC<sub>50</sub> values of 82 nM and 4.4 μM, resp., while the IC<sub>50</sub> values for its inhibition of JAK1 and TYK2 are not determined

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L16 ANSWER 21 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2008:411236 HCPLUS Full-text  
DOCUMENT NUMBER: 148:403230  
TITLE: Preparation of diaryloxadiazole derivatives for use as antiinflammatory and immunosuppressive agents  
INVENTOR(S): Albert, Rainer; Cooke, Nigel Graham; Lewis, Ian; Weiler, Sven; Zecri, Frederic  
PATENT ASSIGNEE(S): Novartis A.-G., Switz.  
SOURCE: PCT Int. Appl., 35 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008037476	A1	20080403	WO 2007-EP8431	20070927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007302262	A1	20080403	AU 2007-302262	20070927
CA 2664268	A1	20080403	CA 2007-2664268	20070927
EP 2081916	A1	20090729	EP 2007-818514	20070927
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
MX 2009003129	A	20090406	MX 2009-3129	20090323
KR 2009057070	A	20090603	KR 2009-706364	20090327
CN 101522646	A	20090902	CN 2007-80036221	20090327
PRIORITY APPLN. INFO.:			EP 2006-121495	A 20060929
			WO 2007-EP8431	W 20070927

OTHER SOURCE(S): MARPAT 148:403230

AB Title compds. I [R1 = substituted Ph, pyridinyl, pyranyl, biphenylyl, etc.; R2 = SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR11CO<sub>2</sub>H, R7NR8R9, or (un)substituted heterocycle; R3 and R4 = H; or one = H or alkyl, while the other = alkyl or haloalkoxy; R7 = cycloalkylene or substituted alkylene; R8 and R9 independently = H, (un)substituted alkyl, R10CO, or (un)substituted heterocycle; or together with the N which they are attached form (un)substituted heterocycle; R10 = alkyl, cycloalkyl, Ph, or phenylalkyl; R11 = alkylene optionally interrupted by O, S,

or C=CH<sub>2</sub>; with several provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as antiinflammatory and immunosuppressive agents. Thus, e.g., II was prepared by Suzuki coupling of 4-chloro-3-trifluoromethylbenzoic acid with phenylboronic acid followed by heterocyclization with N-hydroxy-4-sulfamoyl-3-trifluoromethoxybenzamidine (preparation given). I were evaluated in S1P1 GTP [ $\gamma$ -35S] binding assays, e.g., III demonstrated an EC<sub>50</sub> value of < 100 (nM).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 22 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2008:345755 HCPLUS Full-text  
 DOCUMENT NUMBER: 148:379605  
 TITLE: Benzoxazoles and oxazolopyridines as janus kinases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of tumor  
 INVENTOR(S): Gerspacher, Marc; Furet, Pascal;  
 Vangrevelinghe, Eric  
 PATENT ASSIGNEE(S): Novartis AG, Switz.  
 SOURCE: PCT Int. Appl., 153 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008031594	A1	20080320	WO 2007-EP7983	20070913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1900729	A1	20080319	EP 2006-120733	20060915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007296916	A1	20080320	AU 2007-296916	20070913
CA 2660987	A1	20080320	CA 2007-2660987	20070913
EP 2066647	A1	20090610	EP 2007-802300	20070913
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20100009978	A1	20100114	US 2009-440298	20090306
MX 2009002812	A	20090331	MX 2009-2812	20090313
KR 2009064389	A	20090618	KR 2009-705248	20090313
CN 101516860	A	20090826	CN 2007-80033990	20090313
NO 2009001469	A	20090415	NO 2009-1469	20090415
PRIORITY APPLN. INFO.:			EP 2006-120733 A	20060915
			WO 2007-EP7983 W	20070913

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 148:379605

AB The invention relates to 2,7-disubstituted benzoxazoles and 2,4-disubstituted oxazolo[5,4-c]pyridines of formula I and their salts, to processes for the preparation of the compds., to the use in the treatment (including prophylaxis) of the animal, especially human, body (especially with regard to a proliferative disease), to the use alone or in combination with one or more other pharmaceutically active compds., to the treatment of protein tyrosine kinase (JAK) mediated disease (such as tumor), to the manufacture of a pharmaceutical preparation for use in the treatment of such a disease, to a method for the treatment of such a disease and a pharmaceutical preparation for the treatment of a disease as mentioned. The invention compds. inhibit, for example, JAK2- and JAK3-mediated diseases. Compds. of formula I wherein X is N and (un)substituted methine; preferably X is CH; R1 and R2 are independently (un)substituted aryl and (un)substituted heterocyclyl; R3 is CN, OH, C1-7 alkyl, amino, NH-C1-7 alkyl and N(C1-7 alkyl)2; R4 is OH, amino; preferably R3 and R4 are H; and their salts, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their JAK inhibitory activity. From the assay, it was determined that II exhibited an IC<sub>50</sub> value of 0.01 μM against JAK2.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 23 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2008:91035 HCPLUS Full-text  
 DOCUMENT NUMBER: 148:191950  
 TITLE: Preparation of 2,4-di(aryl amino)-pyrimidine-5-carboxamides as JAK kinases inhibitors  
 INVENTOR(S): Duthaler, Rudolf; Gerspacher, Marc; Holzer, Philipp; Streiff, Markus; Thoma, Gebhard; Waelchli, Rudolf; Zerwes, Hans-Guenter  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 49 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008009458	A1	20080124	WO 2007-EP6452	20070719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007276369	A1	20080124	AU 2007-276369	20070719
CA 2657260	A1	20080124	CA 2007-2657260	20070719
EP 2046759	A1	20090415	EP 2007-786207	20070719
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

JP 2009544592	T 20091217	JP 2009-519874	20070719
US 20100010025	A1 20100114	US 2009-374524	20090121
KR 2009031787	A 20090327	KR 2009-703540	20090220
CN 101506177	A 20090812	CN 2007-80031367	20090223
PRIORITY APPLN. INFO.:		EP 2006-117632	A 20060721
		WO 2007-EP6452	W 20070719

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:191950

AB The title compds. I [R1, R2 = H, XSO<sub>m</sub>Y (wherein X = a direct bond, alkylene, O or NR<sub>5</sub>; R<sub>5</sub> = H or alkyl; Y = alkyl or (un)substituted NH<sub>2</sub>; m = 1 or 2); R<sub>3</sub> = CO<sub>2</sub>H, CONH<sub>2</sub> or CSNH<sub>2</sub>; R<sub>4</sub> = (un)substituted (hetero)aryl; n = 1 or 2; with the proviso], exhibiting JAK-3 and JAK-2 kinase inhibiting activities, were prepared E.g., a 5-step synthesis of II, starting from Et 2-methylsulfonyl-6-oxo-1,6-dihdropyrimidine-5-carboxylate and 3,5-dimethoxyphenylamine, was given. Compds. I have a IC<sub>50</sub> value of from 1-1000 nM when tested in JAK kinase assays.

Pharmaceutical composition comprising the compound I is claimed. OS.CITING REF

COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 24 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1130100 HCAPLUS Full-text

DOCUMENT NUMBER: 152:29716

TITLE: Kinetic study of human full-length wild-type JAK2 and V617F mutant proteins

AUTHOR(S): Erdmann, Dirk; Allard, Bertrand; Bohn, Jacqueline; De Pover, Alain; Floersheimer, Andreas; Fontana, Patrizia; Gerspacher, Marc; Hau, Jean Christophe; Hofmann, Francesco; Radimerski, Thomas; Wille, Roman; Zimmermann, Catherine; Chene, Patrick

CORPORATE SOURCE: Disease Area Oncology, Novartis Institutes for Biomedical Research, Basel, CH-4002, Switz.

SOURCE: Open Enzyme Inhibition Journal (2008), 1, 80-84

CODEN: OEIJAD; ISSN: 1874-9402

URL: <http://www.bentham.org/open/toeij/openaccess2.htm>

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB The Janus kinase 2 (JAK2) is a drug target in particular because a missense mutation in this gene (V617F) has been identified in various human diseases. We report here the first kinetic study of the human full-length wild type and V617F JAK2 proteins and of their isolated kinase domain. The kinetic parameters of both full-length proteins are similar revealing that the mutation does not affect JAK2 catalytic activity suggesting that it has a more complex role in the regulation of JAK2 activity. Our study also shows that the domains located outside the kinase domain have little influence on JAK2 catalytical activity.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 25 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1177654 HCAPLUS Full-text

DOCUMENT NUMBER: 147:448640

TITLE: Preparation of chromen-2-one derivatives as S1P<sub>1</sub> receptor agonists

INVENTOR(S): Baenteli, Rolf; Cooke, Nigel Graham; Weiler, Sven; Zecri, Frederic

PATENT ASSIGNEE(S): Novartis A.-G., USA; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115820	A1	20071018	WO 2007-EP3184	20070410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007236114	A1	20071018	AU 2007-236114	20070410
CA 2644951	A1	20071018	CA 2007-2644951	20070410
EP 2010511	A1	20090107	EP 2007-724125	20070410
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009534315	T	20090924	JP 2009-504631	20070410
IN 2008DN07643	A	20081024	IN 2008-DN7643	20080909
US 20090318546	A1	20091224	US 2008-296317	20081007
CN 101421260	A	20090429	CN 2007-80012837	20081009
MX 2008013123	A	20081021	MX 2008-13123	20081010
KR 2009004945	A	20090112	KR 2008-724840	20081010
PRIORITY APPLN. INFO.:			GB 2006-7389	A 20060412
			WO 2007-EP3184	W 20070410

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:448640; MARPAT 147:448640

AB The title compds. I [R1, R2 = H, halo, NO<sub>2</sub>, etc.; or R1 and R2 form together (un)substituted cycloalkyl or heterocyclic residue; R3 = H, halo, alkyl, etc.; R4 = alkyl-NRcRd (wherein alkyl is optionally substituted by two alkyl residues on the same carbon atom wherein the two alkyl residues optionally form together with the C atom to which they are bound cycloalkyl; Rc, Rd = H, alkyl, haloalkyl, etc.; or NRcRd = (un)substituted heterocyclic residue; and R4 is in position 3 or 4); R5 = H, OH, halo, etc.; and R5 is in position 2 or 3; or R4 and R5 are in position 4 and 3, resp., and form together a heterocyclic residue; ring A comprises no heteroatom or one or two ring heteroatom; with the proviso that R1 and R2 are not both H], useful for treating or preventing disorders or diseases mediated by T lymphocytes, in particular in transplantation, were prepared E.g., a multi-step synthesis of I [R1 = Pr; R2 = OMe; R3 = H; R4 = 4-CH<sub>2</sub>NH<sub>2</sub>; R5 = H], starting from 2-hydroxy-4-methoxybenzaldehyde and allyl bromide, was given. Compds. I were tested in *in vitro* GPCR activation assay measuring GTP [ $\gamma$ -35S] binding to membranes prepared from CHO cells expressing human EDG receptors, and showed binding affinity to S1P receptors, e.g. S1P<sub>1</sub> receptors with an EC<sub>50</sub> of < 1  $\mu$ M. Pharmaceutical compns. comprising the compds. I were disclosed. OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 26 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:1145375 HCAPLUS Full-text  
 DOCUMENT NUMBER: 147:448773  
 TITLE: Preparation of isopropylphenyl pyridylmethyl benzimidazoles for promoting the release of parathyroid hormone.  
 INVENTOR(S): Gerspacher, Marc; Krawinkler, Karl Heinz  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 35 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007112913	A2	20071011	WO 2007-EP2763	20070328
WO 2007112913	A3	20071221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007234021	A1	20071011	AU 2007-234021	20070328
CA 2644380	A1	20071011	CA 2007-2644380	20070328
EP 2004629	A2	20081224	EP 2007-723708	20070328
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009531363	T	20090903	JP 2009-501943	20070328
ZA 2008006833	A	20090527	ZA 2008-6833	20080807
IN 2008DN06927	A	20081024	IN 2008-DN6927	20080812
CN 101400669	A	20090401	CN 2007-80008752	20080911
MX 2008012403	A	20081007	MX 2008-12403	20080926
KR 2008110769	A	20081219	KR 2008-723787	20080929
NO 2008004543	A	20081021	NO 2008-4543	20081028
PRIORITY APPLN. INFO.:			GB 2006-6426	A 20060330
			WO 2007-EP2763	W 20070328

OTHER SOURCE(S): MARPAT 147:448773  
 AB Title compds. [I; R = halo, (substituted) alkyl; X = O, NH, CH<sub>2</sub>, CO, SO<sub>2</sub>, SO<sub>2</sub>S; Y = (substituted) alkyl, SR<sub>1</sub>, SOR<sub>1</sub>, SO<sub>2</sub>R<sub>1</sub>, OR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, 2-(4-isopropylphenyl)-7-methoxy-1-(2-methoxyethyl)-5-(2-prop-2-ynylloxy)pyridin-3-ylmethyl)-4-trifluoromethyl-1H-benzimidazole (preparation given) showed antagonistic activity at the human parathyroid calcium sensing receptor with IC<sub>50</sub> = 1.8 nM.

L16 ANSWER 27 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:284124 HCAPLUS Full-text  
 DOCUMENT NUMBER: 146:309335  
 TITLE: Aminoalcohol compounds for the treatment of autoimmune diseases

INVENTOR(S): Albert, Rainer; Cooke, Nigel Graham;  
 Nuesslein-Hildesheim, Barbara; Weiler, Sven  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma  
 G.m.b.H.  
 SOURCE: PCT Int. Appl., 28pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007028821	A2	20070315	WO 2006-EP66150	20060907
WO 2007028821	A3	20070503		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006289100	A1	20070315	AU 2006-289100	20060907
CA 2620554	A1	20070315	CA 2006-2620554	20060907
EP 1926483	A2	20080604	EP 2006-793341	20060907
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2009507810	T	20090226	JP 2008-529636	20060907
ZA 2008001694	A	20090930	ZA 2008-1694	20080221
US 20080200438	A1	20080821	US 2008-65096	20080228
MX 2008003170	A	20080318	MX 2008-3170	20080306
CN 101257899	A	20080903	CN 2006-80032898	20080307
NO 2008001727	A	20080606	NO 2008-1727	20080407
KR 2008046231	A	20080526	KR 2008-708413	20080408
PRIORITY APPLN. INFO.:			US 2005-715990P	P 20050909
			WO 2006-EP66150	W 20060907

OTHER SOURCE(S): MARPAT 146:309335

AB The invention provides methods for treating various autoimmune diseases, e.g. multiple sclerosis, peripheral neuritis, optic neuritis, amyotrophic lateral sclerosis, and uveitis, using specific aminoalc. derivs. OS.CITING REF COUNT: 2  
 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

L16 ANSWER 28 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:896300 HCAPLUS Full-text  
 DOCUMENT NUMBER: 147:335308  
 TITLE: The GPCR - 7TM receptor target family  
 AUTHOR(S): Jacoby, Edgar; Bouhelal, Rochdi; Gerspacher, Marc; Seuwen, Klaus  
 CORPORATE SOURCE: Novartis Institute for Biomedical Research, Basel, 4056, Switz.  
 SOURCE: Chemical Biology (2007), Volume 3, 933-978.  
 Editor(s): Schreiber, Stuart L.; Kapoor, Tarun M.; Wess, Guenther. Wiley-VCH Verlag GmbH & Co. KGaA: Weinheim, Germany.

CODEN: 69JPZG; ISBN: 978-3-527-31150-7

DOCUMENT TYPE: Conference; General Review  
 LANGUAGE: English

AB A review discusses how GPCR-directed drug discovery was initially based on the careful testing of few specifically made chemical compds. and is today pursued with modern drug discovery approaches, including combinatorial library design, structural biol., and mol. informatics, as well as advanced screening technologies for the identification of new compds. activating or inhibiting GPCRs specifically. Such compds., in conjunction with other new technol., allows to study the role of receptors in physiol. and medicine, and hopefully result in novel therapies. This discussion also outlines how basic research on the signaling and regulatory mechanisms of GPCRs is advancing, leading to the discovery of new GPCR-interacting proteins, and thus opening new perspectives for drug development. Practical examples from GPCR expression studies, high-throughput screening (HTS), and the design of monoamine-related GPCR-focused combinatorial libraries illustrate ongoing GPCR chemical biol. research. Finally, this discussion outlines future progress that may relate today's discoveries to the development of new medicines.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 29 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:1311129 HCPLUS Full-text  
 DOCUMENT NUMBER: 146:62699  
 TITLE: Preparation of polycyclic oxadiazoles or isoxazoles as S1P receptor ligands  
 INVENTOR(S): Albert, Rainer; Weiler, Sven; Zecri, Frederic  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 53pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006131336	A1	20061214	WO 2006-EP5422	20060607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006256968	A1	20061214	AU 2006-256968	20060607
CA 2610310	A1	20061214	CA 2006-2610310	20060607
EP 1893591	A1	20080305	EP 2006-754184	20060607
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008545767	T	20081218	JP 2008-515134	20060607
IN 2007DN08843	A	20080627	IN 2007-DN8843	20071116
CN 101184739	A	20080521	CN 2006-80018692	20071128

MX 2007015422	A	20080221	MX 2007-15422	20071206
KR 2008014009	A	20080213	KR 2007-728641	20071207
US 20080306124	A1	20081211	US 2008-916610	20080703
PRIORITY APPLN. INFO.:			GB 2005-11684	A 20050608
			GB 2005-25064	A 20051208
			GB 2006-405	A 20060110
			WO 2006-EP5422	W 20060607

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:62699; MARPAT 146:62699

AB Title compds. represented by the formula I [wherein X = -N=, Y = O; X = -O-, Y = -N=; R1 = substituted biphenyl, 4-phenoxyphenyl or 4-(phenylalkoxy)phenyl; R2 = (un)substituted alkyl, amino, sulfamoyl, etc.; and physiol. hydrolyzable derivs., hydrates or solvates thereof] were prepared as sphingosine-1-phosphate (S1P) receptor ligands. For example, II was provided in a multi-step synthesis starting from 4-chloro-3-trifluoromethylbenzoic acid. I showed binding affinity to human S1P1 receptor with EC50 < 1 nM, are active in in vitro FLIPR calcium flux assay at a concentration of from 10-12-3.10-5 nM, and have EC50 of less than 10 mg/kg in in vivo screening assays for measurement of blood lymphocyte depletion. Thus, I and their pharmaceutical compns. are useful as S1P receptor ligands, particularly as immunosuppressants.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 30 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:248343 HCAPLUS Full-text

TITLE: Role of sphingosine-1-phosphate receptor modulators in the prevention of transplant rejection

AUTHOR(S): Albert, Rainer; Beerli, Christian; Brinkmann, Volker; Buhlmayer, Peter; Bruns, Christian; Cooke, Nigel; Ettymayer, Peter; Francotte, Eric; Gray, Nathanael; Guerini, Danilo; Hoegenauer, Klemens; Hinterding, Klaus; Nussbaumer, Peter; Nusslein-Hildesheim, Barbara; Pally, Charles; Pan, Shifeng; Spanka, Carsten; Streiff, Markus; Weiller, Sven; Wagner, Trixie; Zecri, Frederic; Zollinger, Marcus Novartis Institute for Biomedical Research, Basel, N/A, Switz.

CORPORATE SOURCE: SOURCE: Abstracts of Papers, 231st ACS National Meeting, Atlanta, GA, United States, March 26-30, 2006 (2006), MEDI-191. American Chemical Society: Washington, D. C.

CODEN: 69HYEC DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk) LANGUAGE: English

AB FTY720 is a novel immunomodulator which is highly effective in animal models of transplantation and autoimmunity. In vivo phosphorylation of FTY720 in rats and humans results exclusively in the (S)-configured FTY720 mono-phosphate 1. FTY720 mono-phosphate 1 signals as an agonist through four of the five sphingosine-1-phosphate (S1P) receptors. This presentation describes the SAR, in-vitro and in-vivo characterization of sub-type selective S1P receptor modulators and the use of these compds. to investigate the role of S1P receptors in the prevention of acute rejection of transplanted organs in rodents. The contribution of S1P-1 and S1P-3 receptor agonism to the transient reduction in heart rate observed with FTY720 will also be discussed.

L16 ANSWER 31 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:673269 HCAPLUS Full-text

DOCUMENT NUMBER: 143:153379  
 TITLE: Preparation of benzimidazoles as antagonists  
 of parathyroid calcium-sensing receptor for treating  
 osteoporosis and other bone conditions  
 INVENTOR(S): Gerspacher, Marc; Weiller, Sven  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma  
 G.m.b.H.  
 SOURCE: PCT Int. Appl., 151 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005068433	A1	20050728	WO 2005-EP291	20050113
WO 2005068433	A9	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005205141	A1	20050728	AU 2005-205141	20050113
AU 2005205141	B2	20081211		
CA 2552403	A1	20050728	CA 2005-2552403	20050113
EP 1709006	A1	20061011	EP 2005-700898	20050113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1930133	A	20070314	CN 2005-80008174	20050113
BR 2005006889	A	20070612	BR 2005-6889	20050113
JP 2007520477	T	20070726	JP 2006-548267	20050113
SG 149831	A1	20090227	SG 2009-257	20050113
RU 2361863	C2	20090720	RU 2006-129348	20050113
IN 2006CN02544	A	20070608	IN 2006-CN2544	20060712
KR 2006113993	A	20061103	KR 2006-714148	20060713
KR 796398	B1	20080121		
MX 2006008063	A	20060920	MX 2006-8063	20060714
US 20090170921	A1	20090702	US 2006- <b>585480</b>	20060731
NO 2006003662	A	20061012	NO 2006-3662	20060814
PRIORITY APPLN. INFO.:			GB 2004-781	A 20040114
			WO 2005-EP291	W 20050113

OTHER SOURCE(S): CASREACT 143:153379; MARPAT 143:153379  
 AB Title compds. I [R1 = (un)substituted lower cyclo/thio/alkyl, alkoxy, alkenyl, etc.; R2 = (un)substituted cyclo/lower alkyl, hetero/aryl, aryl-lower alkyl, etc.; R3 = halo, CN, (un)substituted hetero/aryl, etc.; R4 = H, halo, CN, OH, etc.; R5 = H, halo, CN, OH, hetero/aryl, etc.; R6 = halo, CN, (un)substituted lower alk(en)yl, hetero/aryl, etc.; R7 = one or more substituents independently selected from H, halo, OH, NH<sub>2</sub> and derivs., etc.; their pharmaceutically acceptable salts and prodrug esters] were prepared for promoting the release of parathyroid hormone. For example, reacting 4-bromo-2-(4-isopropylphenyl)-7-methoxy-1H-benzimidazole (preparation given) with (2-bromoethyl) Me ether gave benzimidazole II. I had IC<sub>50</sub> in the range of 10 nM or less to 1000 nM for the human parathyroid

calcium-sensing receptor (hPcaR) in assays measuring the inhibition of Ca-induced inositol phosphate formation in CCL39 fibroblasts stably transfected with hPcaR, demonstrating their antagonistic activity. Thus, I and their compns., are useful for preventing or treating bone conditions associated with increased Ca depletion or resorption or in which stimulation of bone formation and Ca fixation is desirable.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 32 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:546414 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:89103  
TITLE: Preparation of arylquinazolines and related derivatives for promoting the release of parathyroid hormone  
INVENTOR(S): Altmann, Eva; Beerli, Rene; Gerspacher, Marc ; Renaud, Johanne; Weiler, Sven; Widler, Leo  
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 190 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056365	A2	20040708	WO 2003-EP14741	20031222
WO 2004056365	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2509151	A1	20040708	CA 2003-2509151	20031222
AU 2003296710	A1	20040714	AU 2003-296710	20031222
AU 2003296710	B2	20071115		
EP 1585521	A2	20051019	EP 2003-813593	20031222
EP 1585521	B1	20080618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016877	A	20051025	BR 2003-16877	20031222
CN 1732002	A	20060208	CN 2003-80107417	20031222
JP 2006516247	T	20060629	JP 2004-561413	20031222
EP 1844780	A2	20071017	EP 2007-110683	20031222
EP 1844780	A3	20080305		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AT 398450	T	20080715	AT 2003-813593	20031222
NZ 540849	A	20080829	NZ 2003-540849	20031222
ES 2308041	T3	20081201	ES 2003-813593	20031222
CN 101445486	A	20090603	CN 2008-10169603	20031222
RU 2358972	C2	20090620	RU 2005-123329	20031222

ZA 2005004386	A	20090729	ZA 2005-4386	20050530
MX 2005006866	A	20050816	MX 2005-6866	20050622
IN 2005CN01363	A	20070622	IN 2005-CN1363	20050622
KR 801348	B1	20080211	KR 2005-711734	20050622
US 20060079685	A1	20060413	US 2005-540359	20050623
NO 2005003498	A	20050718	NO 2005-3498	20050718
HK 1084043	A1	20090213	HK 2006-104555	20060413
KR 2007097136	A	20071002	KR 2007-721424	20070918
AU 2007231842	A1	20071129	AU 2007-231842	20071105
PRIORITY APPLN. INFO.:				
			GB 2002-30015	A 20021223
			AU 2003-296710	A3 20031222
			CN 2003-80107417	A3 20031222
			EP 2003-813593	A3 20031222
			WO 2003-EP14741	W 20031222
			KR 2005-711734	A3 20050622

OTHER SOURCE(S): MARPAT 141:89103

AB Title compds. I [Y = O, S; R1 = OH, SH, halo, NO<sub>2</sub>, etc.; R2 = halo, alkyl, alkenyl, etc.; R3 = alkyl, benzyl, etc.] are prepared For instance, (2-amino-5-((propargyl)oxy)phenyl)(4-isopropylphenyl)methanone is alkylated with 6-bromomethyl-2,3-dimethoxyquinoxaline (dioxane, K<sub>2</sub>CO<sub>3</sub>, 80°, 2 days) and the resulting intermediate treated with sodium isocyanate to give II. Compds. of the invention have IC<sub>50</sub> in the range of 10 nM to 50 μM for the parathyroid calcium-sensing receptor. I are useful for treating bone conditions associated with increased calcium depletion or resorption.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 33 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:278886 HCPLUS Full-text

DOCUMENT NUMBER: 139:22036

TITLE: An Enantioselective Synthesis of FR182877 Provides a Chemical Rationalization of Its Structure and Affords Multigram Quantities of Its Direct Precursor  
Vanderwal, Christopher D.; Vosburg, David A.; Weiler, Sven; Sorensen, Erik J.

AUTHOR(S):  
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Journal of the American Chemical Society (2003), 125(18), 5393-5407

PUBLISHER: CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: American Chemical Society

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:22036

AB The evolution of a strategy culminating in an efficient, enantioselective synthesis of the potent microtubule-stabilizing agent FR182877 is described. Guided by a proposed biogenesis of this complex natural product, a solution emerged that involved the first reported example of a double transannular Diels-Alder reaction to fashion the key elements of its hexacyclic structure. This pivotal transformation creates a complex pentacycle I from a 19-membered macrocyclic pentaene, forming seven new stereogenic centers in a fully diasterecontrolled fashion. The efficiency of the approach ultimately enabled the preparation of multigram quantities of the direct precursor of FR182877 for conversion to the relatively unstable natural product when required. The reactivity of the strained, bridgehead olefin of this secondary metabolite with biol. relevant nucleophiles is also described. OS.CITING REF COUNT: 60 THERE ARE 60 CAPLUS RECORDS THAT CITE THIS

REFERENCE COUNT: 182 RECORD (60 CITINGS)  
 THERE ARE 182 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L16 ANSWER 34 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:977796 HCPLUS Full-text  
 DOCUMENT NUMBER: 138:39293  
 TITLE: Preparation of quinazoline derivatives as antagonists  
 of calcium-sensing parathyroid hormone receptors  
 useful for osteoporosis and other bone conditions  
 INVENTOR(S): Beerli, Rene; Tommasi, Ruben Alberto; Weiler,  
 Sven; Widler, Leo  
 PATENT ASSIGNEE(S): Novartis Ag, Switz.;  
 Novartis-Erfindungen Verwaltungsgesellschaft  
 M.B.H.  
 SOURCE: PCT Int. Appl., 206 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102782	A2	20021227	WO 2002-EP6606	20020614
WO 2002102782	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2449234	A1	20021227	CA 2002-2449234	20020614
AU 2002325242	A1	20030102	AU 2002-325242	20020614
AU 2002325242	B2	20061109		
EP 1401451	A2	20040331	EP 2002-758236	20020614
EP 1401451	B1	20091111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1516587	A	20040728	CN 2002-811933	20020614
HU 2004000205	A2	20040728	HU 2004-205	20020614
HU 2004000205	A3	20041028		
JP 2005502605	T	20050127	JP 2003-505324	20020614
JP 4118801	B2	20080716		
NZ 529716	A	20060428	NZ 2002-529716	20020614
RU 2302244	C2	20070710	RU 2003-137571	20020614
BR 2002010920	A	20080408	BR 2002-10920	20020614
CN 101559062	A	20091021	CN 2009-10133512	20020614
AT 447958	T	20091115	AT 2002-758236	20020614
IL 159000	A	20091118	IL 2002-159000	20020614
ZA 2003009042	A	20040521	ZA 2003-9042	20031120
IN 2003CN01980	A	20060106	IN 2003-CN1980	20031211
NO 2003005573	A	20040216	NO 2003-5573	20031212
NO 326384	B1	20081124		
US 20040180912	A1	20040916	US 2003-480559	20031212
MX 2003011626	A	20040405	MX 2003-11626	20031215
IN 2008CN00608	A	20090814	IN 2008-CN608	20080205
JP 2008214349	A	20080918	JP 2008-73014	20080321

## PRIORITY APPLN. INFO.:

GB 2001-14701	A 20010615
GB 2001-14702	A 20010615
CN 2002-811933	A3 20020614
JP 2003-505324	A3 20020614
WO 2002-EP6606	W 20020614
IN 2003-CN1980	A3 20031211

## OTHER SOURCE(S): MARPAT 138:39293

AB Quinazoline derivs. (shown as I; variables defined below; e.g. 6-nitro-1-isopropyl-4-(4-isopropylphenyl)-1H-quinazolin-2-one (1)), or a pharmaceutically-acceptable and -cleavable ester, or acid addition salt thereof, are useful for the preparation of a medicament for promoting the release of parathyroid hormone, e.g. for preventing or treating bone conditions which are associated with increased Ca depletion or resorption or in which stimulation of bone formation and Ca fixation in the bone is desirable, e.g. osteoporosis. For I: -NR2CR4- = -N:C(R')-4 or -NR2C(:Y)-; Y is O or S; R1 = 1-3 substituents = OH, SH, halo, NO<sub>2</sub>, optionally substituted (lower alkyl, lower alkoxy, lower alkenyl, lower alkenyloxy, lower alkynyl, lower alkynyloxy, lower alkanoyl, lower alkyl sulfone, lower alkyl sulfoxide or amino); R2 is H or optionally substituted (lower alkyl, aryl, aryl-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl or carbonyl lower alkyl); R3 = 1-3 substituents = halo, optionally substituted (lower alkyl, cycloalkyl, lower alkoxy or amino); R4' = cyano, halo, azide or optionally substituted (lower alkyl, lower alkoxy, lower thioalkoxy, aryloxy, aryl lower alkoxy or amino). I typically have IC<sub>50</sub>s in the range 50 μM-≤10 nM in assays measuring antagonistic activity at the human parathyroid calcium-sensing receptor. 190 Example preps. are included. For example, 1 was prepared by first preparing (2-chloro-5-nitrophenyl)(4-isopropylphenyl)methanol (81%) from 60 mmol of 2-chloro-5-nitrobenzaldehyde in 140 mL anhydrous THF at -75° and 4-isopropylphenylmagnesium bromide. In the 2nd step, 2-chloro-5-nitro-4'-isopropylbenzophenone was prepared (96%) from the 1st intermediate in acetone at 0° and Jones reagent. In the 3rd step, 2-isopropylamino-5-nitro-4'-isopropylbenzophenone was prepared (100%) from the 2nd intermediate and isopropylamine at 65° for 10 h in a sealed tube. In the final step, the crude benzophenone derivative was taken up in 10 mL benzene and treated slowly with 1.2 mmol of chlorosulfonyl isocyanate in benzene to give 40% 1.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 35 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:833286 HCAPLUS Full-text

DOCUMENT NUMBER: 135:357853

TITLE: Preparation of butenoic acids derivatives and their use in the treatment of rhinitis

INVENTOR(S): Gerspacher, Marc; Hoshiko, Kenichiro

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085696	A1	20011115	WO 2001-EP5007	20010503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,				

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,  
 VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-10958 A 20000505

OTHER SOURCE(S): MARPAT 135:357853

AB A compound of the general formula (I; wherein R1 is Ph that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, C1-7 alkyl, trifluoromethyl, hydroxy and C1-7 alkoxy; R2 is hydrogen or C1-7 alkyl; R3 is hydrogen, C1-7 alkyl or Ph that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, C1-7 alkyl, trifluoromethyl, hydroxy and C1-7 alkoxy; R4 is Ph (that is unsubstituted or is substituted by 1, 2 or 3 substituents selected from the group halogen, C1-7 alkyl, trifluoromethyl, hydroxy and C1-7 alkoxy), naphthyl, 1H-indol-3-yl, or C1-C7-alkyl-indol-3-yl; R5 and R6 are each independently of the other hydrogen or C1-7 alkyl, at least one of R5 and R6 being hydrogen, and R7 is C3-8 cycloalkyl, D-azacycloheptan-2-on-3-yl or L-azacycloheptan-2-on-3-yl) in free form or in the form of a pharmaceutically acceptable salt is used for the preparation of a medicament for use in the treatment of rhinitis. Thus, to a solution of 1 g (4RS)-4-(methylamino)-4-(3,4-dichlorobenzyl)but-2-enoic acid-N-((S)-epsilon-caprolactam-3-yl)amide (preparation given) and 2 mL triethylamine in 25 mL dichloromethane, 3,5-bis(trifluoromethyl)benzoyl chloride (0.6 mL) was added dropwise at 0° and the reaction mixture was stirred at 0° for 0.5 h and at room temperature for another 2 h to give (4R)- and (4S)-4-[N-Methyl-N-(3,5-bis(trifluoromethyl)benzoyl)amino]-4-(3,4-dichlorobenzyl)but-2-enoic acid-N-((S)-epsilon-caprolactam-3-yl)amide (II). Oral administration of (4R)-II (III) to guinea-pigs 2 h prior to substance P perfusion significantly ( $P<0.05$ ) inhibited substance P-induced increase in nasal extravasation in a dose-dependent manner with the estimated ED<sub>50</sub> value of 72 pg/kg.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 36 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:661421 HCPLUS Full-text  
 DOCUMENT NUMBER: 135:226988  
 TITLE: Preparation of condensed thiazolamines as neuropeptide Y5 antagonists  
 INVENTOR(S): Schmidlin, Tibur; Rueeger, Heinrich; Gerspacher, Marc  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064675	A1	20010907	WO 2001-EP2339	20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,				

VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2000-10010475 A 20000303  
DE 2000-10010476 A 20000303

OTHER SOURCE(S): MARPAT 135:226988

AB The title compds. [I; R1 = (un)substituted alkyl, cycloalkyl, Ph, etc.; R2 = H, SO3H, PO3H2; R3 = H, alkyl, alkoxy, etc.; X = CH2, O; X1 = CO, SO2; X2 = alkylene] and their pharmaceutically acceptable salts which act against the binding of the neuropeptide Y (NPY) to the Y5-receptor subtype (NPY-antagonism), and might be used in particular for the treatment of adiposity, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = Me; R2 = H; R3 = 9-F; X = CH2; X1 = CO; X2 = CH2] which showed a reduction in food intake of 57% in rats, was given. OS.CITING

REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 37 OF 48 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1998:147305 HCAPLUS Full-text  
 DOCUMENT NUMBER: 128:204815  
 ORIGINAL REFERENCE NO.: 128:40507a,40510a  
 TITLE: Acylaminoalkenylene-amide derivatives as NK1 and NK2 antagonists  
 INVENTOR(S): Gerspacher, Marc; Von Sprecher, Andreas; Mah, Robert; Roggo, Silvio; Stutz, Stefan  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Gerspacher, Marc; Von Sprecher, Andreas; Mah, Robert; Roggo, Silvio; Stutz, Stefan  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807694	A1	19980226	WO 1997-EP4436	19970813
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264065	A1	19980226	CA 1997-2264065	19970813
CA 2264065	C	20080205		
AU 9742993	A	19980306	AU 1997-42993	19970813
AU 721850	B2	20000713		
EP 923550	A1	19990623	EP 1997-918984	19970813
EP 923550	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9711350	A	19990817	BR 1997-11350	19970813
CN 1233238	A	19991027	CN 1997-198633	19970813
CN 1119328	C	20030827		
NZ 334736	A	20000929	NZ 1997-334736	19970813

HU 2000001165	A2	20001128	HU 2000-1165	19970813
HU 2000001165	A3	20020228		
HU 226395	B1	20081128		
JP 2001503387	T	20010313	JP 1998-510371	19970813
JP 3654908	B2	20050602		
RU 2185375	C2	20020720	RU 1999-106157	19970813
IL 128631	A	20020912	IL 1997-128631	19970813
AT 224875	T	20021015	AT 1997-918984	19970813
PT 923550	E	20030131	PT 1997-918984	19970813
ES 2184083	T3	20030401	ES 1997-918984	19970813
SK 283991	B6	20040707	SK 1999-221	19970813
CZ 294233	B6	20041110	CZ 1999-581	19970813
PL 193731	B1	20070330	PL 1940-3317	19970813
ZA 9707493	A	19980803	ZA 1997-7493	19970821
IN 1997MA01847	A	20050304	IN 1997-MA1847	19970821
TW 438777	B	20010607	TW 1997-86111854	19970822
NO 9900786	A	19990325	NO 1999-786	19990219
NO 312292	B1	20020422		
HK 1021372	A1	20030620	HK 1999-106019	19991221
US 6319917	B1	20011120	US 2000-655170	20000905
PRIORITY APPLN. INFO.:			CH 1996-2061	A 19960822
			WO 1997-EP4436	W 19970813
			US 1999-242594	A1 19990930

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 128:204815

AB Title compds. I [R = (un)substituted Ph; R1 = H or alkyl; R2 = H, alkyl, or (un)substituted Ph; R3 = (un)substituted Ph, naphthyl, 1H-indol-3-yl, or 1-lower-alkylindol-3-yl; R4' and R4'' = H or alkyl, at least 1 being H; R5 = C3-8 cycloalkyl, D-azacycloheptan-2-on-3-yl, or L-azacycloheptan-2-on-3-yl] and salts are disclosed. The compds. have valuable pharmaceutical properties, and are effective especially as NK1 and NK2 receptor antagonists. For example, (4R)-[N'-methyl-N'-(tert-butoxycarbonyl)amino]-5-(1-methylindol-3-yl)pent- 2-enoic acid (preparation given) was amidated with D-3-amino- $\epsilon$ -caprolactam using EDC and DMAP in CH<sub>2</sub>C<sub>12</sub>, followed by removal of the BOC group and a second amidation with 3,5-bis(trifluoromethyl)benzoyl chloride, to give title compound II. In the NK1 bronchospasm test in guinea pigs, I had ED<sub>50</sub> values of about 0.05-1 mg/kg orally.

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
(9 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 38 OF 48 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:529538 HCPLUS Full-textTITLE: 5-aryl-4-benzoylamino-pent-2-ene-carboxamides: A new class of NK1- and dual NK1/NK2 antagonists  
AUTHOR(S): Gerspacher, M.; von Sprecher, A.; Mah, R.; Roggo, S.; Ofner, S.; Auberson, Y.; Betschart, C.; Schilling, W.; Anderson, G. P.; Ball, H.; Bertrand, C.; Subramanian, N.; Hauser, K.

CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Book of Abstracts, 216th ACS National Meeting, Boston, August 23-27 (1998), MEDI-052. American Chemical Society: Washington, D. C.

CODEN: 66KYA2

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB In recent years neurokinin antagonists, especially dual antagonists of NK1 and NK2 receptors have been proposed as potential anti-asthma drugs. In a research program aimed at the discovery of NK1/ NK2 antagonists, a series of

5-aryl-4-benzoylamino-pentene-carboxamides have been identified as NK antagonists. It was discovered that in this series of NK antagonists the nature of the amide substituent (R) plays a crucial role in determining the potency as well as the ratio of NK1- vs. NK2-binding affinity of the compds.:.

L16 ANSWER 39 OF 48 MEDLINE on STN  
 ACCESSION NUMBER: 2006529149 MEDLINE Full-text  
 DOCUMENT NUMBER: PubMed ID: 16902930  
 TITLE: The 7 TM G-protein-coupled receptor target family.  
 AUTHOR: Jacoby Edgar; Bouhelal Rochdi; Gerspacher Marc;  
 Seuwen Klaus  
 CORPORATE SOURCE: Novartis Institutes for Biomedical Research, 4002  
 Basel, Switzerland.. [edgar.jacoby@novartis.com](mailto:edgar.jacoby@novartis.com)  
 SOURCE: ChemMedChem, (2006 Aug) Vol. 1, No. 8, pp. 761-82. Ref:  
 126  
 Journal code: 101259013. ISSN: 1860-7179.  
 PUB. COUNTRY: Germany: Germany, Federal Republic of  
 DOCUMENT TYPE: Historical  
 Journal; Article; (JOURNAL ARTICLE)  
 (RESEARCH SUPPORT, NON-U.S. GOV'T)  
 General Review; (REVIEW)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 200610  
 ENTRY DATE: Entered STN: 7 Sep 2006  
 Last Updated on STN: 18 Oct 2006  
 Entered Medline: 17 Oct 2006

AB Chemical biology approaches have a long history in the exploration of the G-protein-coupled receptor (GPCR) family, which represents the largest and most important group of targets for therapeutics. The analysis of the human genome revealed a significant number of new members with unknown physiological function which are today the focus of many reverse pharmacology drug-discovery programs. As the seven hydrophobic transmembrane segments are a defining common structural feature of these receptors, and as signaling through heterotrimeric G proteins is not demonstrated in all cases, these proteins are also referred to as seven transmembrane (7 TM) or serpentine receptors. This review summarizes important historic milestones of GPCR research, from the beginning, when pharmacology was mainly descriptive, to the age of modern molecular biology, with the cloning of the first receptor and now the availability of the entire human GPCR repertoire at the sequence and protein level. It shows how GPCR-directed drug discovery was initially based on the careful testing of a few specifically made chemical compounds and is today pursued with modern drug-discovery approaches, including combinatorial library design, structural biology, molecular informatics, and advanced screening technologies for the identification of new compounds that activate or inhibit GPCRs specifically. Such compounds, in conjunction with other new technologies, allow us to study the role of receptors in physiology and medicine, and will hopefully result in novel therapies. We also outline how basic research on the signaling and regulatory mechanisms of GPCRs is advancing, leading to the discovery of new GPCR-interacting proteins and thus opening new perspectives for drug development. Practical examples from GPCR expression studies, HTS (high-throughput screening), and the design of monoamine-related GPCR-focused combinatorial libraries illustrate ongoing GPCR chemical biology research. Finally, we outline future progress that may relate today's discoveries to the development of new medicines.

L16 ANSWER 40 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:591763 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200600585377  
 TITLE: Role of sphingosine-1-phosphate receptor modulators in the prevention of transplant rejection.  
 AUTHOR(S): Albert, Rainer [Reprint Author]; Beerli, Christian; Brinkmann, Volker; Buehmayer, Peter; Bruns, Christian; Cooke, Nigel; Ettymayer, Peter; Francotte, Eric; Gray, Nathanael; Guerini, Danilo; Hoegenauer, Klemens; Hinterding, Klaus; Nussbaumer, Peter; Nuesslein-Hildesheim, Barbara; Pally, Charles; Pan, Shifeng; Spanka, Carsten; Streiff, Markus; Weiler, Sven; Wagner, Trixie; Zecri, Frederic; Zollinger, Marcus  
 CORPORATE SOURCE: Novartis Inst Biomed Res, Basel, Switzerland  
 nigel\_graham.cooke@novartis.com  
 SOURCE: Abstracts of Papers American Chemical Society, (MAR 26 2006) Vol. 231, pp. 191-MEDI.  
 Meeting Info.: 231st National Meeting of the American-Chemical-Society. Atlanta, GA, USA. March 26 -30, 2006. Amer Chem Soc.  
 CODEN: ACSRAL. ISSN: 0065-7727.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 8 Nov 2006  
 Last Updated on STN: 8 Nov 2006

L16 ANSWER 41 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2005:537406 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200510332529  
 TITLE: Selective and combined neurokinin receptor antagonists.  
 AUTHOR(S): Gerspacher, Marc [Reprint Author]  
 CORPORATE SOURCE: Novartis Pharma AG, Novartis Inst Biomed Res Basel, CH-4002 Basel, Switzerland  
 marc.gerspacher@pharma.novartis.com  
 SOURCE: Lawton, G; King, FD [Editor]. Prog. Med. Chem., (2005) pp. 49-103. Progress in Medicinal Chemistry.  
 Publisher: ELSEVIER SCIENCE BV, SARA BURGERHARTSTRAAT 25, PO BOX 211, 1000 AE AMSTERDAM, NETHERLANDS. Series: PROGRESS IN MEDICINAL CHEMISTRY.  
 CODEN: PMDCAY. ISSN: 0079-6468. ISBN: 0-444-51572-0(H).  
 DOCUMENT TYPE: Book; (Book Chapter)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 1 Dec 2005  
 Last Updated on STN: 1 Dec 2005

L16 ANSWER 42 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2005:264143 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200510057349  
 TITLE: A new class of non-competitive antagonists of the human calcium-sensing receptor releasing parathyroid hormone (PTH) from parathyroid glands.  
 AUTHOR(S): Seuwen, K. [Reprint Author]; Halleux, C.; Bouhelal, R.; Kneissel, M.; Gamse, R.; Buhl, T.; Wolf, R. M.; Breitwieser, G.; Beerli, R.; Weiler, S.; Widler, L.  
 CORPORATE SOURCE: Novartis Inst Biomed Res, Oper Ep, Discovery Technol, Basel, Switzerland  
 SOURCE: Journal of Bone and Mineral Research, (OCT 2004) Vol. 19,

pp. S196.  
 Meeting Info.: 26th Annual Meeting of the  
 American-Society-for-Bone-and-Mineral-Research. Seattle,  
 WA, USA. October 01 -05, 2004. Amer Soc Bone & Mineral Res.  
 CODEN: JBMREJ. ISSN: 0884-0431.

DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 21 Jul 2005  
 Last Updated on STN: 21 Jul 2005

L16 ANSWER 43 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
 STN  
 ACCESSION NUMBER: 2002:603205 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200200603205  
 TITLE: Design and synthesis of dual neurokinin (NK1/NK2) receptor  
 antagonists for the treatment of airway diseases: The  
 discovery of DNK333.  
 AUTHOR(S): Gerspacher, Marc [Reprint author]  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel,  
 CH-4002, Switzerland  
 marc.gerspacher@pharma.novartis.com  
 SOURCE: Abstracts of Papers American Chemical Society, (2002) Vol.  
 223, No. 1-2, pp. MEDI 139. print.  
 Meeting Info.: 223rd National Meeting of the American  
 Chemical Society. Orlando, FL, USA. April 07-11, 2002.  
 CODEN: ACSRAL. ISSN: 0065-7727.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 27 Nov 2002  
 Last Updated on STN: 20 Jan 2003

L16 ANSWER 44 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
 STN  
 ACCESSION NUMBER: 2002:93277 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200200093277  
 TITLE: Acylaminoalkenylene-amide derivatives as NK1 and NK2  
 antagonists.  
 AUTHOR(S): Gerspacher, Marc [Inventor, Reprint author]; von  
 Sprecher, Andreas [Inventor]; Mah, Robert [Inventor];  
 Roggo, Silvio [Inventor]; Stutz, Stefan [Inventor]  
 CORPORATE SOURCE: Gipf-Oberfrick, Switzerland  
 ASSIGNEE: Novartis AG, Basel, Switzerland  
 PATENT INFORMATION: US 6319917 20011120  
 SOURCE: Official Gazette of the United States Patent and Trademark  
 Office Patents, (Nov. 20, 2001) Vol. 1252, No. 3.  
<http://www.uspto.gov/web/menu/patdata.html>. e-file.  
 CODEN: OGUPE7. ISSN: 0098-1133.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 24 Jan 2002  
 Last Updated on STN: 25 Feb 2002

AB Compounds of formula I ##STR1## wherein R1, R1 -R3, R4 ', R4 " and R5 are as  
 defined in the description, have valuable pharmaceutical properties and are  
 effective especially as NK1 and NK2 antagonists. They are prepared in a  
 manner known per se.

L16 ANSWER 45 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

STN  
 ACCESSION NUMBER: 2000:222730 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200000222730  
 TITLE: 5-aryl-4-benzoylamino-pent-2-ene-carboxamides as combined  
 NK1- and NK2-antagonists.  
 AUTHOR(S): Gerspacher, Marc [Reprint author]; von Sprecher,  
 Andreas; Mah, Robert [Reprint author]; Anderson, Gary P.;  
 Bertrand, Claude; Subramanian, Natarajan [Reprint author];  
 Hauser, Kathleen [Reprint author]; Ryffel, Karin [Reprint  
 author]; Pawelzik, Viviane [Reprint author]; Ball, Howard  
 A. [Reprint author]  
 CORPORATE SOURCE: Pharma Research, Novartis Pharma AG, Basel,  
 CH-4002, Switzerland  
 SOURCE: Abstracts of Papers American Chemical Society, (2000) Vol.  
 219, No. 1-2, pp. MEDI 277. print.  
 Meeting Info.: 219th Meeting of the American Chemical  
 Society. San Francisco, California, USA. March 26-30, 2000.  
 American Chemical Society.  
 CODEN: ACSRAL. ISSN: 0065-7727.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 31 May 2000  
 Last Updated on STN: 5 Jan 2002

L16 ANSWER 46 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
 STN  
 ACCESSION NUMBER: 1999:451603 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV199900451603  
 TITLE: 1-aryl-2-acylamino-ethane compounds and their use as  
 neurokinin especially neurokinin 1 antagonists.  
 AUTHOR(S): Gerspacher, Marc [Inventor, Reprint author]; Von  
 Sprecher, Andreas [Inventor]; Roggo, Silvio [Inventor];  
 Mah, Robert [Inventor]; Ofner, Silvio [Inventor]; Veenstra,  
 Siem Jacob [Inventor]; Betschart, Claudia [Inventor];  
 Auberson, Yves [Inventor]; Schilling, Walter [Inventor]  
 CORPORATE SOURCE: Gipf-Oberfrick, Switzerland  
 ASSIGNEE: Novartis AG  
 PATENT INFORMATION: US 5929067 19990727  
 SOURCE: Official Gazette of the United States Patent and Trademark  
 Office Patents, (Jul. 27, 1999) Vol. 1224, No. 4. print.  
 CODEN: OGUPE7. ISSN: 0098-1133.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 26 Oct 1999  
 Last Updated on STN: 26 Oct 1999

L16 ANSWER 47 OF 48 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
 STN  
 ACCESSION NUMBER: 1998:422710 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV199800422710  
 TITLE: 5-Aryl-4-benzoylamino-pent-2-ene-carboxamides: A new class  
 of NK1- and dual NK1/NK2 antagonists.  
 AUTHOR(S): Gerspacher, M.; Von Sprecher, A.; Mah, R.; Roggo,  
 S.; Ofner, S.; Auberson, Y.; Betschart, C.; Schilling, W.;  
 Anderson, G. P.; Ball, H.; Bertrand, C.; Subramanian, N.;  
 Hauser, K.  
 CORPORATE SOURCE: Pharma Res., Novartis Pharma AG, CH-4002 Basel,  
 Switzerland  
 SOURCE: Abstracts of Papers American Chemical Society, (1998) Vol.

216, No. 1-3, pp. MEDI 52. print.  
 Meeting Info.: 216th National Meeting of the American  
 Chemical Society. Boston, Massachusetts, USA. August 23-27,  
 1998. American Chemical Society.  
 CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 Conference; (Meeting Poster)

LANGUAGE: English

ENTRY DATE: Entered STN: 2 Oct 1998  
 Last Updated on STN: 2 Oct 1998

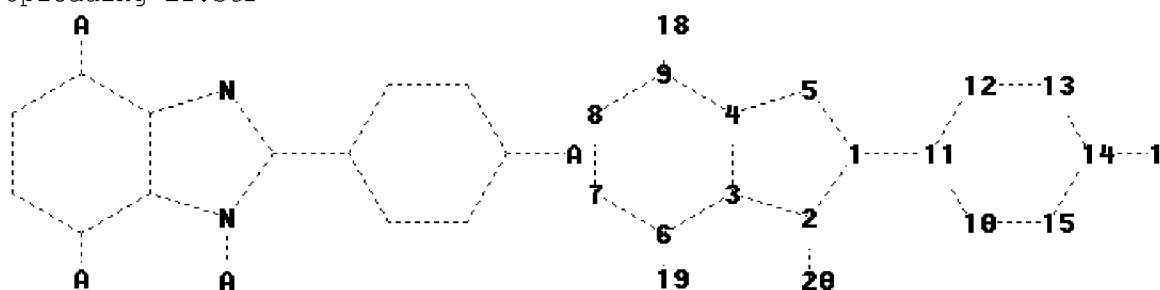
L16 ANSWER 48 OF 48 DRUGU COPYRIGHT 2010 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 1998-41743 DRUGU C P Full-text  
 TITLE: 5-Aryl-4-benzoylamino pentene-carboxamides: a new class of  
 NK1- and dual NK1/NK2 antagonists.  
 AUTHOR: Gerspacher N; von Sprecher A; Mah R; Roggo S; Ofner  
 S; Auberson Betschart C; Schilling W; Anderson G P  
 CORPORATE SOURCE: Novartis  
 LOCATION: Basle, Switz.  
 SOURCE: Abstr.Pap.Am.Chem.Soc. (216 Meet., Pt. 2, MEDI 052, 1998)  
 CODEN: ACSRAL ISSN: 0065-7727  
 AVAIL. OF DOC.: Pharma Research, Novartis Pharma AG, CH-4002 Basle,  
 Switzerland.

LANGUAGE: English  
 DOCUMENT TYPE: Journal  
 FIELD AVAIL.: AB; LA; CT  
 FILE SEGMENT: Literature

AB In recent years neurokinin (NK) antagonists, especially dual antagonists of NK1 and NK2 receptors, have been proposed as potential agents for the treatment of asthma. The synthesis of a series of 5-aryl-4-benzoylamino pentene-carboxamides (1-3) and their evaluation as NK antagonists were presented. SAR studies showed that the nature of the amide substituent R played a crucial role in determining the potency as well as the ratio of NK1- vs. NK2-binding affinity. The respective IC<sub>50</sub> values of (1-3) for the inhibition of (<sup>3</sup>H)-SP binding to NK1 receptors in bovine retina were 10, 0.8 and 3 nM. The corresponding IC<sub>50</sub> values for inhibition of (<sup>125</sup>I)-NKA binding to human NK2 receptors in CHO cells were 47, 55 and greater than 1000 nM. (conference abstract).

Structures uploaded into STN REGISTRY

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

ring/chain nodes :

17 18 19 20

chain bonds :

1-11 2-20 6-19 9-18 14-17

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14

14-15

exact/norm bonds :

1-2 1-5 1-11 2-3 2-20 3-4 3-6 4-5 4-9 6-7 6-19 7-8 8-9 9-18 10-11

10-15 11-12 12-13 13-14 14-15 14-17

Connectivity :

5:2 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS

Full search history

=> d his full

(FILE 'HOME' ENTERED AT 12:56:56 ON 20 JAN 2010)

FILE 'REGISTRY' ENTERED AT 12:57:07 ON 20 JAN 2010  
L1           STRUCTURE UPLOADED  
            D L1  
L2           3 SEA SSS SAM L1  
            D SCAN  
L3           216 SEA SSS FUL L1  
            SAVE TEMP L3 BAS480STL1/A

FILE 'HCAPLUS' ENTERED AT 12:58:34 ON 20 JAN 2010  
L4           14 SEA SPE=ON ABB=ON PLU=ON L3  
            D L4 1-14 TI  
            D L5 1-5 AU  
L5           8 SEA SPE=ON ABB=ON PLU=ON L4 AND (AY<2006 OR PY<2006 OR  
            PRY<2006 OR REVIEW/DT)  
            SAVE TEMP L5 BAS480HCST/A  
            E GERSPACHER M?/AU  
L6           100 SEA SPE=ON ABB=ON PLU=ON GERSPACHER M?/AU  
            E WEILER S?/AU  
L7           98 SEA SPE=ON ABB=ON PLU=ON WEILER S?/AU  
L8           2 SEA SPE=ON ABB=ON PLU=ON L6 AND L7  
L9           36 SEA SPE=ON ABB=ON PLU=ON (L6 OR L7) AND NOVARTIS?/CO,CS,PA,S  
            O  
L10          10 SEA SPE=ON ABB=ON PLU=ON (L6 OR L7) AND (BENZIMIDAZ? OR  
            IMIDAZOL?)  
L11          38 SEA SPE=ON ABB=ON PLU=ON (L8 OR L9 OR L10)

FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:05:35 ON 20 JAN 2010  
L12          0 SEA SPE=ON ABB=ON PLU=ON L8  
L13          47 SEA SPE=ON ABB=ON PLU=ON L9  
L14          3 SEA SPE=ON ABB=ON PLU=ON L10  
L15          50 SEA SPE=ON ABB=ON PLU=ON (L12 OR L13 OR L14)  
            D STAT QUERY L5

FILE 'HCAPLUS' ENTERED AT 13:07:06 ON 20 JAN 2010  
            D L5 1-8 IBIB ED ABS HITRN HITSTR

FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:07:16 ON 20 JAN 2010  
            D QUE L11  
            D QUE L15

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:07:58 ON 20  
JAN 2010  
L16          48 DUP REM L11 L15 (40 DUPLICATES REMOVED)  
            ANSWERS '1-38' FROM FILE HCAPLUS  
            ANSWER '39' FROM FILE MEDLINE  
            ANSWERS '40-47' FROM FILE BIOSIS  
            ANSWER '48' FROM FILE DRUGU  
            D L16 1-48 IBIB AB

FILE HOME

FILE REGISTRY

10/585,480

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 19 JAN 2010 HIGHEST RN 1202629-39-7  
DICTIONARY FILE UPDATES: 19 JAN 2010 HIGHEST RN 1202629-39-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

#### FILE HCPLUS

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FILE COVERS 1907 - 20 Jan 2010 VOL 152 ISS 4  
FILE LAST UPDATED: 19 Jan 2010 (20100119/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

#### FILE MEDLINE

FILE LAST UPDATED: 19 Jan 2010 (20100119/UP). FILE COVERS 1949 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National Library of Medicine (NLM). Additional information is available at

[http://www.nlm.nih.gov/pubs/techbull/nd09/nd09\\_medline\\_data\\_changes\\_2010.html](http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010.html)

See HELP RLOAD for details.

MEDLINE was last reloaded on February 21, 2009.

10/585,480

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS  
FILE COVERS 1926 TO DATE.  
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 13 January 2010 (20100113/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE  
FILE COVERS 1974 TO 20 Jan 2010 (20100120/ED)

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

For further assistance, please contact your local helpdesk.

FILE DRUGU  
FILE LAST UPDATED: 20 JAN 2010 <20100120/UP>  
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<  
  
>>> FILE COVERS 1983 TO DATE <<<  
>>> THESAURUS AVAILABLE IN /CT <<<